```
=> d his

     (FILE 'HOME' ENTERED AT 09:35:14 ON 01 NOV 2007)

     FILE 'REGISTRY' ENTERED AT 09:35:34 ON 01 NOV 2007
L1              STRUCTURE UPLOADED
L2           17 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 09:36:03 ON 01 NOV 2007
L3         1283 S L2
L4          113 S L3 AND PREP/RL
L5           68 S L3 AND (CRYST? OR POLYMORPH? OR XRD OR "X-RAY" OR "X RAY" OR
L6          162 S L4 OR L5
L7            1 S US200!-524478/APPS
L8          161 S L6 NOT L7

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=> d l1
L1 HAS NO ANSWERS
L1                STR
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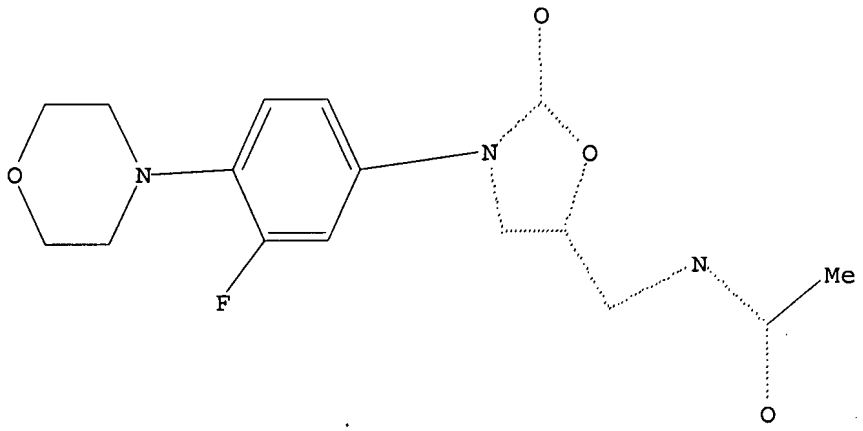
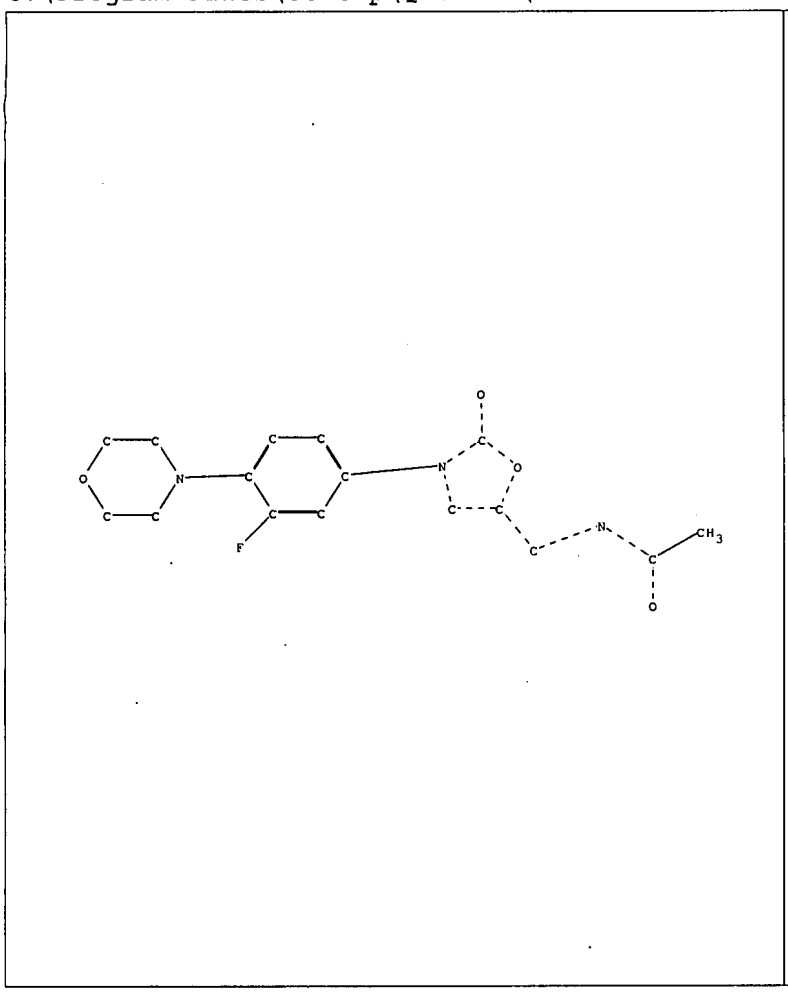
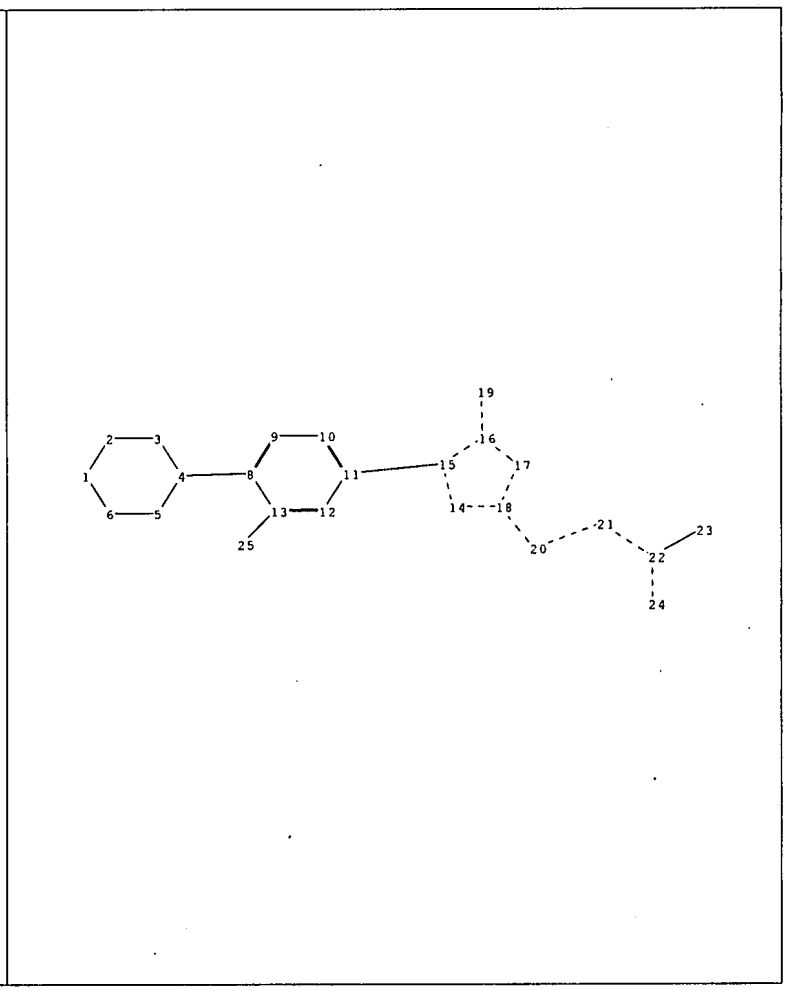


Structure attributes must be viewed using STN Express query preparation.

C:\Program Files\Stnexp\Queries\10524478-final.str





chain nodes :
19 20 21 22 23 24 25
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
4-8 11-15 13-25 16-19 18-20 20-21 21-22 22-23 22-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-18 15-16
16-17 17-18
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-8 5-6 11-15 13-25 14-15 14-18 15-16 16-17 16-19
17-18 18-20 20-21 21-22 22-23 22-24
normalized bonds :
8-9 8-13 9-10 10-11 11-12 12-13
isolated ring systems :
containing 1 : 8 : 14 :

Connectivity :
2:2 E exact RC ring/chain 3:2 E exact RC ring/chain 5:2 E exact RC ring/chain
6:2 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS
21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:347014 CAPLUS
DN 142:397757
TI Preparation of a crystalline form of linezolid
IN Mohan Rao, Dodda; Krishna Reddy, Pingili
PA Symed Labs Limited, India
SO PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005035530	A1	20050421	WO 2003-IN336	20031016
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003278592	A1	20050427	AU 2003-278592	20031016
	IN 2003CN01638	A	20051125	IN 2003-CN1638	20031016
	EP 1673370	A1	20060628	EP 2003-769887	20031016
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
	US 2006128703	A1	20060615	US 2005-524478	20050211 <--
PRAI	WO 2003-IN336	A	20031016		

AB The present invention relates to a novel crystalline form of linezolid, to processes for its preparation and to a pharmaceutical composition containing it.

Linezolid was heated at 130-140° for 4 h to give the Form III.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 1 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:1022990 CAPLUS Full-text
DN 147:330473
TI High oxazolidinone content solid dosage forms
IN Krishnan, Anandi
PA Glenmark Pharmaceuticals Limited, India
SO PCT Int. Appl., 24pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

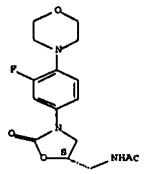
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007102082	A1	20070913	WO 2007-IB573	20070309

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI IN 2006-MU346 A 20060309
US 2006-819040P P 20060706

AB A high drug content oral solid dosage form is provided comprising about 50 mg to about 800 mg oxazolidinone or a pharmaceutically acceptable salt, hydrate or crystalline form thereof and a lactose-based water soluble excipient. A tablet contained Linezolid 600, lactose monohydrate (Pharmatose 200M) 58.4, mannitol (Pearlitol SD 200) 118.6, croscarmellose sodium (Ac Di Sol) 29.4, CM-cellulose sodium 42.0, magnesium stearate 4.2, and Opadry Y -1-7000 16.8 mg.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(high oxazolidinone content solid dosage forms)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



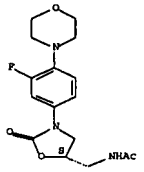
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:959469 CAPLUS Full-text
DN 147:377130
TI Non-aqueous titration method for determining content of 3,5-disubstituted oxazolidone compounds
IN Wang, Ying; Zhu, Jin; Liu, Jinsong; Lu, Tao
PA Sichuan Beilike Biotechnology Co., Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 29pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 101021487	A	20070822	CN 2007-10088397	20070319
PRAI CN 2007-10088397		20070319		

AB The title method comprises dissolving 3,5-disubstituted oxazolidone compds. 0.1-1 g in a mixture of glacial acetic acid (or acetic anhydride) and formic acid (in an amount of 0.5-100 times in weight of 3,5-disubstituted oxazolidone compds.); adding crystal violet indicator solution 0.05-0.3 mL; titrating with 0.01-0.1 mol/L perchloric acid solution until the solution turns blue green color; and calculating the content of 3,5-disubstituted oxazolidone compds. based on defined formula. The method is simple and accurate.
IT 165800-03-3
RL: ANT (Analyte); ANST (Analytical study)
(non-aqueous titration method for determination of disubstituted oxazolidone compds.)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

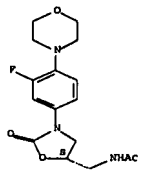
Absolute stereochemistry. Rotation (-).



L8 ANSWER 3 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:923502 CAPLUS Full-text
DN 147:356359
TI A distal methyl substituent attenuates mitochondrial protein synthesis

inhibition in oxazolidinone antibacterials
AU Renslo, Adam R.; Atuegbu, Andy; Herradura, Prudencio; Jaishankar, Priyadarshini; Ji, Mingzhe; Leach, Karen L.; Huband, Michael D.; Dermyer, Michael R.; Wu, Luping; Prasad, J. V. N. Vara; Gordeev, Mikhail F.
CS Pfizer Global Research and Development, Fremont, CA, 94555, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(18), 5036-5040
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
AB Oxazolidinone analogs bearing substituted piperidine or azetidine C-rings are described. Analogs with a Me group at the 3-position of the azetidine ring or the 4-position of the piperidine ring exhibited reduced mitochondrial protein synthesis inhibition while retaining good antibacterial potency.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(distal Me substituent attenuates mitochondrial protein synthesis inhibition in oxazolidinone antibacterials)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

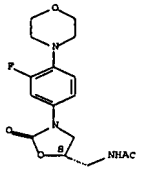


RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:862461 CAPLUS Full-text
DN 147:412810
TI Sulfenamides as prodrugs of NH-acidic compounds: A new prodrug option for the amide bond
AU Guarino, Victor R.; Karunaratne, Veranja; Stella, Valentino J.
CS Department of Pharmaceutical Chemistry, The University of Kansas, Lawrence, KS, 66047, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(17), 4910-4913
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
AB The objective of this report is to introduce the novel concept of utilizing sulfenamides as prodrugs for compds. containing an NH-acidic functionality,

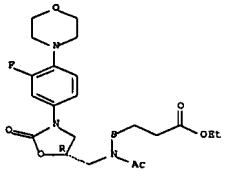
particularly weakly acidic amide-type functionalities (amides, ureas, carbamates, etc.). Included are the syntheses and physicochem. characterizations of some model sulfenamides to illustrate the promise of this new prodrug technol.
IT 165800-03-3DP, Linezolid, prodrugs 514815-11-3P 514815-12-4P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfenamides as prodrugs of NH-acidic compds.)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



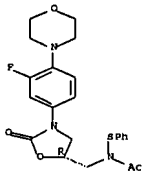
RN 514815-11-3 CAPLUS
CN Propanoic acid, 3-[[acetyl[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]amino]thio]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



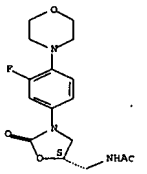
RN 514815-12-4 CAPLUS
CN Acetamide, N-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-(phenylthio)- (CA INDEX NAME)

Absolute stereochemistry.



IT 165800-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(sulfenamides as prodrugs of NH-acidic compds.)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

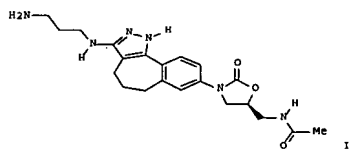
Absolute stereochemistry. Rotation (-).



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:810188 CAPLUS Full-text
DN 147:385884
TI Synthesis and SAR of novel conformationally restricted oxazolidinones possessing Gram-positive and fastidious Gram-negative antibacterial activity. Part 2: Amino substitutions on heterocyclic D-ring system
AU Choy, Allison L.; Prasad, J. V. N. Vara; Boyer, Frederick E.; Huband, Michael D.; Dermyer, Michael R.
CS Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(16), 4699-4702
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal

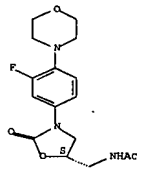
LA English
GI



I

AB A series of conformationally restricted oxazolidinones, e.g., I, was synthesized, in which the pyrazole ring was substituted with various amino groups. Several analogs exhibited potent activity against both Gram-pos. and fastidious Gram-neg. organisms. Certain amino-substituted analogs also exhibited improved aqueous solubility compared to the corresponding un-substituted pyrazole-ring analogs.
IT 165800-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazole derivs. using heterocyclization of [(bromo)benzosuberonyl](acetylamino)oxazolidinone with thiosemicarbazides as key step, and their antibacterial activity and SAR)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

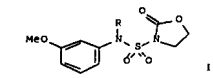
Absolute stereochemistry. Rotation (-).



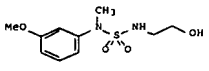
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:751279 CAPLUS Full-text

DN 147:322870
TI Synthesis of substituted N-aryl-N'-sulfamoyloxazolidin-2-ones with potential antibacterial activity
AU Nessaib, Mounir; Abdaoui, Mohamed; Djahoudi, Abed el Ghani; Kadri, Mekki; Winum, Jean-Yves
CS Laboratoire de Chimie Appliquee, Universite 08 Mai 1945, Guelma, BP 401, Algeria
SO Recent Patents on Anti-Infective Drug Discovery (2007), 2(2), 131-139
CODEN: RPADCX; ISSN: 1574-891X
PB Bentham Science Publishers Ltd.
DT Journal
LA English
GI



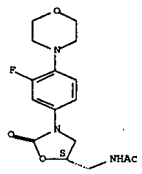
I



II

AB N-Aryl-N'-sulfamoyloxazolidin-2-ones, e.g., I (R = H, CH3), were synthesized starting from chlorosulfonyl isocyanate (CSI) by carbamoylation, sulfamoylation and intramol. cyclization reactions followed by methylation. N-Aryl-N-methyl-N'-sulfamoyl amino alcs., e.g., II, were prepared via decarboxylative heterocyclic reopening reaction of N-aryl-N-methyl-N'-sulfamoyloxazolidin-2-ones. This step is based on a new hydrolysis method using a solid support, which allows isolation of a new amino-alc. ester. Measurements of the hydro-solubility by determination partition coefficient (log p) in water/octanol system were carried out by spectrophotometry. The antibacterial activities in vitro of some synthesized compds. were evaluated on a "Staphylococcus aureus" strain in a Muller-Hintone medium, to show some good activity. All the synthesized compds. are characterized by IR, 1H NMR and mass spectroscopy (ESI-MS).
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and antibacterial activity of aryl sulfamoyloxazolidinones via carbamoylation, sulfamoylation and intramol. cyclization of chlorosulfonyl isocyanate, bromoethanol and primary aromatic amines)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

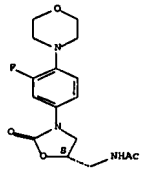
L8 ANSWER 7 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:618173 CAPLUS Full-text
DN 147:52884
TI Process for preparation of desfluoro linezolid as reference standard
IN Braude, Viviana; Finkelstein, Nina
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SO PCT Int. Appl., 28pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007064818	A1	20070607	WO 2006-US45886	20061201
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2007197529	A1	20070823	US 2006-607423	20061130
PRAI	US 2005-742026P	P	20051201		

OS CASREACT 147:52884
AB The present invention pertains to a process for the preparation of desfluoro linezolid as a reference standard for quant. and qual. anal. of linezolid. For example, 1-fluoro-4-nitrobenzene was reacted with morpholine to give N-(4-nitrophenyl)morpholine, which was reduced to 4-(4- morpholinyl)aniline, and then reacted with benzyl chloroformate to obtain 4-(4-morpholinyl)-N-benzyloxycarbonylaniline as an intermediate. The intermediate above was reacted with (R)-glycidyl butyrate, then with methanesulfonyl chloride, and further with sodium azide to give 5-(azidomethyl)-3-[4-(4-morpholinyl)phenyl]-2-oxazolidinone. The azide intermediate was reduced and then reacted with acetic anhydride to obtain desfluoro linezolid as final product.

IT 165800-03-3, Linezolid
RL: ANT (Analyte); ANST (Analytical study)
(preparation of desfluoro linezolid as reference standard)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

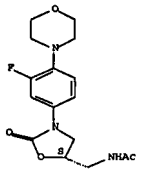


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:598749 CAPLUS Full-text
TI Vancomycin-resistant enterococci, Mexico City
AU Cuellar-Rodriguez, Jennifer; Galindo-Fraga, Arturo; Guevara, Victor; Perez-Jimenez, Carolina; Espinosa-Aguilar, Luis; Rolon, Ana Lilia; Hernandez-Cruz, Araceli; Lopez-Jacome, Esau; Bobadilla-del-Valle, Miriam; Martinez-Gamboa, Areli; Ponce-de-Leon, Alfredo; Sifuentes-Osornio, Jose
CS Instituto Nacional de Ciencias Medicas y Nutricion Salvador Zubiran, Mexico City, Mex.
SO Emerging Infectious Diseases (2007), 13(5), 798-799
CODEN: EIDIFA; ISSN: 1080-6040
PB National Center for Infectious Diseases, Centers for Disease Control and Prevention
DT Journal
LA English
AB A retrospective study was conducted to describe the isolates and the characteristics of patients with vancomycin-resistant E. faecium (VREF). All VREF isolates from May 2005 through Apr. 2006 were included. In the study period, VREF was isolated from 27 patients. The median age was 40 years (range 22-84 years). VREF was isolated from the abdomen in 14 patients (51.9%); 11 isolates were from an abscess, 2 from infected surgical sites, and 1 from ascites. An addnl. 8 isolates were from the urinary tract (29.6%), 2 from the bloodstream (7.4%), 2 from soft-tissue (7.4%), and 1 from bone. Residence in the general medical wards during the isolation of VREF was most common, 17 (63%) cases, followed by 6 (22.2%) in the intensive care unit. PFGE anal. showed several genotypes of E. faecium; however, 18 of 26 of the isolates had ≤ 3 band differences from the predominant strain classified as type A. One isolate of E. faecium could not be typed. The rate of isolation of VREF at our hospital increased considerably during the last year. Even though the number of patients is small, this finding is considered to be of utmost importance, since VREF seems to be emerging in Mexico. This is the

first well-documented outbreak of high-level resistance to vancomycin enterococci in Mexico. Further research is needed to determine if the problem is limited to our hospital or if it is a nationwide trend.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vancomycin resistant Enterococcus from patients in Mexico reveal increasing rate of vancomycin resistant E. faecium isolation and resistance to teicoplanin, ampicillin, ciprofloxacin, high level gentamycin, quinupristin/dalfopristin)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

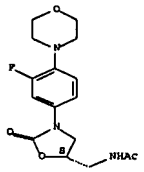


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:586415 CAPLUS Full-text
DN 147:180630
TI Severe lactic acidosis associated with linezolid use in a patient with the mitochondrial DNA A2706G polymorphism
AU Carson, John; Cerda, Jorge; Chae, Jong-Hee; Hirano, Michio; Maggiore, Peter
CS Department of Pharmacy, St. Peter's Hospital, Albany, NY, USA
SO Pharmacotherapy (2007), 27(5), 771-774
CODEN: PHPYDQ; ISSN: 0277-0008
PB Pharmacotherapy Publications
DT Journal
LA English
AB Linezolid, an oxazolidinone antimicrobial, exerts its effect by binding to bacterial 23S rRNA, preventing the formation of the initiation complex. Its use is associated with reversible hyperlactatemia and lactic acidosis, and inhibition of mitochondrial protein synthesis may be the mechanism underlying this adverse effect. We describe a 35-yr-old woman who developed severe lactic acidosis after she received linezolid for 35 days to treat a disseminated infection with Mycobacterium avium-intracellulare complex. This patient was found to have the mitochondrial DNA polymorphism A2706G, a variation previously suggested to predispose individuals to linezolid-associated lactic acidosis. In the future, increased understanding of the mitochondrial genome and its associated polymorphisms may allow us to identify

patients at risk for adverse effects that were previously classified as idiosyncratic.
IT 165800-03-3, Linezolid
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mitochondrial DNA polymorphism was associated with linezolid-induced severe lactic acidosis in patient)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



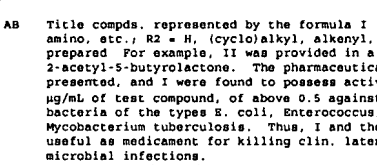
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:538400 CAPLUS Full-text
DN 146:521780
TI Preparation of pyrrolo[3,2-c]quinoline derivatives useful in preparation of medicaments for treatment and prevention of microbial infections by killing clinical latent microorganisms
IN Beck, Petra Helga; Brown, Marc Barry; Clark, David Edward; Coates, Anthony; Dyke, Hazel Joan; Hu, Yanmin; Londesbrough, Derek John; Mills, Keith; Pallin, Thomas David; Reid, Gary Patrick; Stoddart, Gerlinda
PA Helperby Therapeutics Ltd., UK
SO PCT Int. Appl., 179pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007054693	A1	20070518	WO 2006-GB4178	20061108

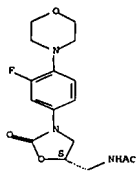
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI GB 2005-22715 A 20051108
OS MARPAT 146:521780
GI



AB Title compds. represented by the formula I [wherein R1 = H, (cyclo)alkyl, amino, etc.; R2 = H, (cyclo)alkyl, alkenyl, etc.; R4 = H; R5 = phenoxy] were prepared For example, II was provided in a multi-step synthesis starting from 2-acetyl-5-butyrolactone. The pharmaceutical formulations of I were presented, and I were found to possess activity in a log kill at 25, 10 or 5 μg/mL of test compound, of above 0.5 against stationary phase and/or persister bacteria of the types E. coli, Enterococcus, Staph. aureus, Streptococcus and Mycobacterium tuberculosis. Thus, I and their pharmaceutical compns. are useful as medicament for killing clin. latent microorganisms for treating microbial infections.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of pyrrolo[3,2-c]quinoline derivs. useful in preparation of medicaments for treatment and prevention of microbial infections by killing clin. latent microorganisms)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

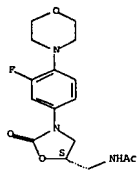
Absolute stereochemistry. Rotation (-).



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:527102 CAPLUS Full-text
DN 147:381353
TI High frequencies of clindamycin and tetracycline resistance in methicillin-resistant Staphylococcus aureus pulsed-field type USA300 isolates collected at a Boston ambulatory health center
AU Han, Linda L.; McDougal, Linda K.; Gorwitz, Rachel J.; Mayer, Kenneth H.; Patel, Jean B.; Sennott, Janet M.; Fontana, John L.
CS Massachusetts Department of Public Health, State Laboratory Institute, Jamaica Plain, MA, 02130, USA
SO Journal of Clinical Microbiology (2007), 45(4), 1350-1352
CODEN: JCMIDW; ISSN: 0095-1137
PB American Society for Microbiology
DT Journal
LA English
AB Individual or multiple resistance to clindamycin, tetracycline, erythromycin, levofloxacin, or mupirocin was detected in a large proportion of methicillin-resistant Staphylococcus aureus pulsed-field type USA300 isolates collected at an ambulatory health center in Boston. The clindamycin, tetracycline, and mupirocin resistance genes identified in these isolates are commonly associated with plasmids.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(clindamycin and tetracycline resistance in methicillin-resistant Staphylococcus aureus pulsed-field type USA300 isolates collected at a Boston ambulatory health center)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



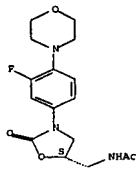
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:508872 CAPLUS Full-text
DN 146:487758
TI Preparation of a crystalline antibiotic
IN Jensen, Jan; Andersen, Niels Rastrup
PA Leo Pharma A/S, Den.
SO PCT Int. Appl., 54pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007051468	A2	20070510	WO 2006-DK600	20061030
	WO 2007051468	A3	20071004		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
PRAI	US 2005-731247P	P	20051031		

AB The present invention relates to processes for the crystallization and for the preparation and isolation of a novel crystalline form of fusidic acid, to the use of the processes in the manufacture of pharmaceutical formulation or drug, and to the use of the crystalline fusidic acid form for the treatment of bacterial infections. Fusidic acid hemihydrate was prepared and characterized by spectral methods and x- ray crystallog.
IT 165800-03-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of crystalline antibiotic substance)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

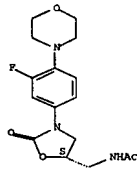


L8 ANSWER 13 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:504915 CAPLUS Full-text
DN 146:468608
TI Tablets of linezolid Form III and processes for their preparation
IN Navale, Suryakant Vamanrao; Dabre, Rahul S.; Singla, Ajay Kumar; Vijan, Tarun
PA India
SO U.S. Pat. Appl. Publ., 7pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2007104785	A1	20070510	US 2006-460825	20060728
PRAI	IN 2005-DE2018	A	20050729		

AB The present invention relates to solid oral dosage forms of linezolid polymorphic Form III with reproducible dissoln. profile and processes for their preparation The solid dosage form includes linezolid Form III, one or more of means to reduce the gelling tendency of linezolid form III, and one or more of pharmaceutically acceptable excipients.
IT 165800-03-3, Linezolid
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of tablets of linezolid Form III)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



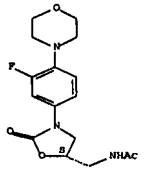
L8 ANSWER 14 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:498478 CAPLUS Full-text
DN 147:132467
TI A review of the current place of glycopeptides in Turkish medical practice
AU Erdem, Hakan; Oncul, Oral
CS Department of Infectious Diseases and Clinical Microbiology, Gulhane Medical Academy, Ankara, Turk.
SO Current Therapeutic Research (2007), 68(1), 49-66
CODEN: CTCEA9; ISSN: 0011-393X
PB Excerpta Medica, Inc.
DT Journal; General Review
LA English
AB A review. Background: Glycopeptide antibiotics are considered by many investigators to be the last resort in the treatment of gram-pos. bacterial infections. Objective: The aim of this review was to assess the place of glycopeptides in the treatment of common gram-pos. bacteria in accordance with the current epidemiol. data in Turkey. Methods: A search of both the English- and Turkish-language literature indexed on MEDLINE, Ulakbim (Turkey), and Pleksus (Turkey) was performed using the terms: vancomycin, teicoplanin, and glycopeptides, or their Turkish-language counterparts. The complete texts of the articles found in these databases were obtained from the electronic library of Gulhane Medical Academy, Ankara, Turkey. Articles from regional journals, without the support of an electronic format, were obtained by direct communication. Articles of interest were those based on studies occurring in Turkish populations, with special consideration given to publications in press after 2002. Results: Staphylococci were the most frequent gram-pos. pathogens encountered in Turkish hospitals. Studies have found that .apprx.74% of strains were Staphylococcus aureus and the remaining strains were coagulase-neg. staphylococci (CoNS). Overall methicillin resistance in staphylococci was reported as .apprx.60%. In Turkey, S aureus was one of the most common infectious agents found inside hospitals and is deemed a growing threat in the community. While the rate of methicillin resistance in community-acquired isolates is .apprx.4%, the data from hospitals suggest that reduced resistance comprises most of the isolates. In the studies reviewed, older quinolones like ciprofloxacin and ofloxacin seem to be ineffective in nearly half of the S aureus isolates. Alternatives like rifampicin, gentamicin, tetracycline, trimethoprim/sulfamethoxazole (TMP/SMX), clindamycin, and erythromycin have had substantial resistance profiles in >50% of the strains. In recent Turkish studies, in vitro profiles of linezolid, quinupristin/dalfopristin (QD), and daptomycin have had pos. results. As in the S aureus isolates, resistance trends have been observed in the CoNS group of pathogens. The possible use of β-lactams seems restricted, and alternative approaches have become necessary.

Quinolones, gentamicin, tetracycline, TMP/SMX, clindamycin, and erythromycin have resistance profiles of >50%. Although glycopeptide resistance was not detected, the frequency of heterogeneous vancomycin-intermediate S aureus, a precursor to future resistance, was 13% in 1 study. Current studies in Turkey have found that Enterococcus faecalis comprises three quarters of enterococci while the rest are comprised of Enterococcus faecium. Initial studies performed with linezolid, QD, and daptomycin suggest that these drugs might be effective alternatives for future enterococcal infections that may have high glycopeptide resistance. Approx. 8% of the Streptococcus pneumoniae strains had high-level resistance in Turkey. However, 10 million units of crystallized penicillin or 3 g of oral amoxicillin maintains the optimum treatment of pneumococcal infections outside the central nervous system (CNS). Resistance profiles in third-generation cephalosporins in Turkey range between 2% and 2.5%. Conclusions: In Turkey, a review of the existing literature found that the current use of glycopeptides in pneumococcal infections is restricted to CNS infections facing therapeutic failure in due course. However, the belief that these drugs are the last resort, either in staphylococcal or enterococcal infections, is no longer valid. If a patient has a critical status due to probable gram-pos. microorganisms, clinicians should consider the empiric use of glycopeptides. However, new mols. such as linezolid, QD, and daptomycin, offered for use in the treatment of gram-pos. bacterial diseases, should be reserved for the future, when glycopeptides eventually become obsolete.

IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(glycopeptides is no longer last resort for all pneumococcal, staphylococcal or enterococcal infection in Turkey and new agents such as linezolid, quinupristin/dalfopristin, daptomycin can be used to treat gram pos. bacterial infection)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



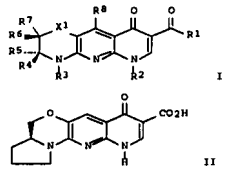
RE.CNT 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:439147 CAPLUS Full-text
DN 146:441824
TI Preparation of fused naphthyridinecarboxylic acids as antibacterial agents

IN Hinman, Mira M.; Rosenberg, Teresa A.; Wagner, Rolf
PA USA
SO U.S. Pat. Appl. Publ., 30pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2007088040	A1	20070419	US 2005-51909	20050204
PRAI US 2004-542808P	P	20040206		

OS MARPAT 146:441824
GI



I

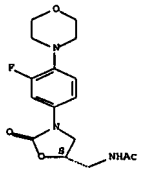
II

AB Title compds. I [wherein R1 = OH, alkoxy, NH2, etc.; R2 = H, tert-Bu, allyloxy, etc.; one of R4 and R5 is H, alkyl, alkylcarbonyl, etc., and the other links with R3 to form ring; R6 = H, alkyl, alkylcarbonyl, etc.; R6 and R7 together form =O; R8 = H, OH, alkyl, etc.; X1 = O, S, NH, etc.;], which are useful for treating bacterial infection in a fish or a mammal, were prepared For instance, II was synthesized in multiple steps. Representative I inhibited bacterial protein synthesis with IC50 values in the range of about 1 μM to about 64 μM.

IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); BIOL (Biological study)
(reference; preparation of fused naphthyridinecarboxylic acids as antibacterial agents)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 16 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:391170 CAPLUS Full-text
DN 147:308289
TI Taste masked pharmaceutical compositions comprising a ph sensitive polymer providing enhanced bioavailability of polymorphic bitter drugs
IN Kulkarni, Mohan Gopalkrishna; Manjoge, Anupa Ramesh
PA Council of Scientific & Industrial Research, India
SO Indian Pat. Appl., 53pp.
CODEN: INXXBQ
DT Patent
LA English
FAN.CNT 1

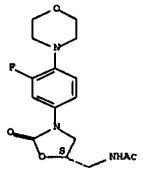
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IN 2003DE01561	A	20051230	IN 2003-DE1561	20031215
PRAI IN 2003-DE1561		20031215		

AB The present invention discloses a substantially amorphous pharmaceutical composition comprising a drug that can exist in a variety of polymorphic forms and a pH sensitive polymer, which inhibits the crystallization of the drug during formulation and reconstitution. Polymers of higher mol. weight are more effective at lower loading, especially when the drug polymer matrix is prepared by the solvent evaporation or solvent extraction technique. The compns. used as dry syrups maintain bioavailability of the drug and effectively mask the taste of the drug when the composition is reconstituted.

IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(taste masked pharmaceutical compns. comprising a ph sensitive polymer providing enhanced bioavailability of polymorphic bitter drugs)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 17 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:259386 CAPLUS Full-text
DN 146:302317
TI A novel amorphous form of linezolid for dosage forms
IN Mohan Rao, Dodda; Krishna Reddy, Pingili
PA Symed Labs Limited, India
SO PCT Int. Appl., 9pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

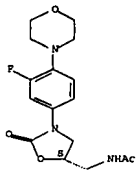
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007026369	A1	20070308	WO 2005-IN249	20050829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2005CN03162	A	20070831	IN 2005-CN3162	20051128
PRAI WO 2005-IN249	W	20050829		

AB The present invention relates to a novel amorphous form of linezolid, to processes for its preparation and to a solid oral pharmaceutical composition containing it. For example, linezolid Form II (10 g) was dissolved in methanol (400 mL) at ambient temperature and then the solution was subjected to vacuum drying to give 9.5 g of amorphous linezolid.

IT 165800-02-2, Linezolid
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of stable amorphous linezolid from its crystal forms for solid oral dosage forms)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

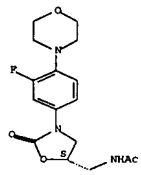
Absolute stereochemistry. Rotation (-).



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:244366 CAPLUS Full-text
DN 147:318113
TI Staphylococcus haemolyticus endocarditis: Clinical and microbiologic analysis of 4 cases
AU Falcone, Marco; Campanile, Floriana; Giannella, Maddalena; Borbone, Sonia; Stefani, Stefania; Venditti, Mario
CS Department of Clinical Medicine, Policlinico Umberto I, University of Rome La Sapienza, Rome, 00185, Italy
SO Diagnostic Microbiology and Infectious Disease (2007), 57(3), 325-331
CODEN: DMIDDZ; ISSN: 0732-8893
PB Elsevier Inc.
DT Journal
LA English
AB Only 3 cases of infective endocarditis (IE) due to methicillin-resistant Staphylococcus haemolyticus (MRSH) have been reported in English literature. Here we report 4 cases of IE due to MRSH encountered in a single university hospital. Population anal. of the strains was performed to assess the presence of vancomycin/teicoplanin heteroresistant subpopulations. Pulsed-field gel electrophoresis was used for mol. typing of isolates. IE was defined in 3 cases as health care associated, and in 1 case, as community acquired. A causative strain was lost. Two strains were heteroresistant to teicoplanin, and 1 also to vancomycin. Genome macrorestriction profile studies demonstrated that 2 MRSH isolates belonged to clones A and E, possessing a class C1 mecDNA, whereas 1 clone was sporadic. All patients were treated with vancomycin plus rifampin. Two patients were cured with antibiotic therapy alone, 1 patient needed surgery, and 1 patient died. Methicillin-resistant multiresistant S. haemolyticus may represent a difficult-to-treat cause of both community and nosocomially acquired IE.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(clin. and microbiol. anal. of four cases of Staphylococcus haemolyticus endocarditis)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



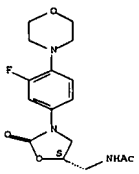
RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 19 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:182988 CAPLUS Full-text
DN 146:507564
TI Novel polymorphic forms of N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide and a process for their preparation
IN Suresh, Thatipally; Rao, Siripragada Mahender; Narayana, Chennuru Lakshmi; Sarma, Mamillapalli Ramabhadra; Vyas, Krishnamurthi; Reddy, Gaddam Om
PA Dr. Reddy's Research Foundation, India
SO Indian Pat. Appl., 23pp.
CODEN: INXXBQ
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IN 2001MA00519	A	20050304	IN 2001-MA519	20010626
PRAI IN 2001-MA519		20010626		

AB This invention relates to novel polymorphic/pseudopolymorphic forms of N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (I). The invention also relates to a pharmaceutical composition comprising the novel polymorphic form or their mixture and a carrier. I was prepared by the acetylation of 5(R)-(aminomethyl)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxazolidinone with acetic anhydride in NeT3.
IT 165800-03-3P, Linezolid
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polymorphic forms of (fluoromorpholinylphenyl)oxooxazolidinylmethylacetamide and process for their preparation)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



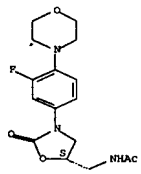
L8 ANSWER 20 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:90915 CAPLUS Full-text
DN 146:149071
TI Methods of formulating linezolid
IN Tenengauzer, Ruth; Leibovici, Minutza; Solomon, Ben-Zion
PA Israel
SO U.S. Pat. Appl. Publ., 32pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2007020329	A1	20070125	US 2006-333906	20060117
EP 1749517	A1	20070207	EP 2006-250227	20060117
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
WO 2007018588	A1	20070215	WO 2006-US1514	20060117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRAI US 2005-701438P P 20050720
AB A method of formulating linezolid to provide a pharmaceutical composition comprising linezolid wherein the linezolid is linezolid Form IV substantially free of linezolid Form II, a solid pharmaceutical composition comprising linezolid Form IV substantially free of linezolid Form II and povidone, methods of treating a condition responsive to linezolid in a patient comprising administering to the patient a solid pharmaceutical composition comprising linezolid form IV substantially free of linezolid Form II, and methods of treating a condition responsive to linezolid in a patient comprising administering to the patient a solid pharmaceutical composition comprising linezolid form IV and povidone are disclosed.

IT 165800-03-3, Linezolid
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(methods of formulating linezolid form IV)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



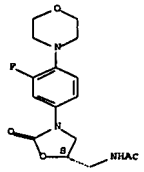
L8 ANSWER 21 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:63362 CAPLUS Full-text
DN 146:148845
TI Process for the preparation of a crystalline form of (s)-N [[3-[3-fluoro-4-(4-morpholinyl) phenyl]-2-oxo-5-oxazolidinyl] methyl] acetamide
IN Kumar, Bobba Venkata Siva; Kulkarni, Pravin Bhalchandra; Patel, Girish Bansilal; Pradhan, Nitin Sharad Chandra
PA Glenmark Pharmaceuticals Limited, India
SO U.S. Pat. Appl. Publ., 8pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2007015753	A1	20070118	US 2006-487766	20060717
IN 2005MU00853	A	20070629	IN 2005-MU853	20050715
PRAI IN 2005-MU853	A	20050715		

AB A process for preparing a crystalline form of linezolid is provided comprising (a) providing a solution comprising linezolid in an organic solvent having a b.p. of less than or equal to about 150°.; (b) adding an anti-solvent having a b.p. greater than or equal to about 50°. to the solution; and (c) recovering the crystalline form of linezolid. Thus, solution of linezolid 30 g in methylene chloride 300 mL was added o-xylene and stirred for 20 to 25 min. Methylene chloride was distilled out of the solution in a rotatory evaporator at a bath temperature of 60 °C to 70 °C. During distillation solids began to precipitate out. After completion of the distillation, the precipitated solids were isolated by filtration and dried to provide linezolid 25 g.
IT 165800-03-3, Linezolid
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

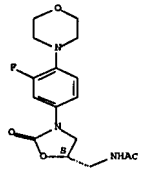
(process for preparation of a crystalline form of (s)-N [[3-[3-fluoro-4-(4-morpholinyl) phenyl]-2-oxo-5-oxazolidinyl] methyl] acetamide)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 22 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:13012 CAPLUS Full-text
DN 146:317194
TI Synthesis of rigidly-linked vancomycin dimers and their in vivo efficacy against resistant bacteria
AU Lu, Jun; Yoshida', Osamu; Hayashi, Sayaka; Arimoto, Hirokazu
CS Department of Chemistry, Graduate School of Science, Nagoya University, Chikusa, Nagoya, 464-8602, Japan
SO Chemical Communications (Cambridge, United Kingdom) (2007), (3), 251-253
CODEN: CHCOFS; ISSN: 1359-7345
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 146:317194
AB An efficient avenue for the preparation of vancomycin dimers is described. The dimers exhibited excellent antibacterial activities in the murine infection model.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and antibacterial activity of vancomycin dimers linked by phenoxazinone derivs.)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

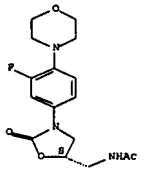
Absolute stereochemistry. Rotation (-).



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

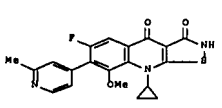
L8 ANSWER 23 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1330281 CAPLUS Full-text
TI Microwave-assisted heterogeneous cross-coupling reactions catalyzed by nickel-in-charcoal (Ni/C)
AU Lipshutz, Bruce H.; Frieman, Bryan A.; Lee, Ching-Tien; Lower, Asher; Nihan, Danielle M.; Taft, Benjamin R.
CS Department of Chemistry and Biochemistry, University of California, Santa Barbara, CA, 93106, USA
SO Chemistry--An Asian Journal (2006), 1(3), 417-429
CODEN: CAAJBI; ISSN: 1861-4728
PB Wiley-VCH Verlag GmbH & Co. KGAA
DT Journal
LA English
AB A study involving the relatively rate combination of heterogeneous catalysis conducted under microwave conditions is presented. Carbon-carbon bond formation, including Negishi and Suzuki couplings, can be quickly effected with aryl chloride partners by using a base metal (nickel) adsorbed in the pores of activated charcoal. Aminations were also studied, along with cross-couplings of vinylalanes with benzylic chlorides as a means to stereodefined allylated aroms. Reaction times for all these processes are typically reduced from several hours to minutes in a microwave reactor.
IT INDEXING IN PROGRESS
IT 165800-03-3P, Zyvox
RL: SPN (Synthetic preparation); PREP (Preparation)
(microwave-assisted heterogeneous cross-coupling reactions catalyzed by nickel-in-charcoal (Ni/C))
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1328980 CAPLUS Full-text
DN 146:220121
TI Isothiazoloquinolones with Enhanced Antistaphylococcal Activities against Multidrug-Resistant Strains: Effects of Structural Modifications at the 6-, 7-, and 8-Positions
AU Wang, Qiuping; Lucien, Edlaine; Hashimoto, Akihiro; Pais, Godwin C. G.; Nelson, David M.; Song, Yongsheng; Thanassi, Jane A.; Marlor, Christopher W.; Thoma, Christy L.; Cheng, Jijun; Podos, Steven D.; Ou, Yangsi; Deshpande, Milind; Pucci, Michael J.; Buechter, Douglas D.; Bradbury, Barton J.; Wiles, Jason A.
CS Achillion Pharmaceuticals Inc., New Haven, CT, 06511, USA
SO Journal of Medicinal Chemistry (2007), 50(2), 199-210
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 146:220121
GI

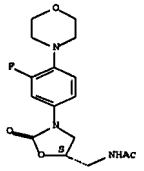


I

AB We describe the biol. evaluation of isothiazoloquinolones (ITQs) having structural modifications at the 6-, 7-, and 8-positions. Addition of a methoxy substituent to C-8 effected an increase in antibacterial activity against methicillin-resistant Staphylococcus aureus (MRSA) and a decrease in cytotoxic activity against Hep2 cells. Removal of fluorine from C-6 or replacement of the C-8 carbon with a nitrogen compromised anti-MRSA activity. When the groups attached at C-7 were compared, the anti-MRSA activity decreased in the order 6-isoquinolinyl > 4-pyridinyl > 5-dihydroisoindolyl >

6-tetrahydroisoquinolinyl. The compound with the most desirable in vitro biol. profile was 9-cyclopropyl-6-fluoro-8-methoxy-7-(2- methylpyridin-4-yl)-9H-isothiazolo[5,4-b]quinoline-3,4-dione (7g)(I). This ITQ demonstrated (i) strong in vitro anti-MRSA activity (MIC90 = 0.5 μg/mL), (ii) strong inhibitory activities against S. Aureus DNA gyrase and topoisomerase IV, with weak activity against human topoisomerase II, (iii) weak cytotoxic activities against three cell lines, and (iv) efficacy in an in vivo murine thigh model of infection employing MRSA.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Isothiazoloquinolones with Enhanced Antistaphylococcal Activities against Multidrug-Resistant Strains: Effects of Structural Modifications at the 6-, 7-, and 8-Positions)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1304953 CAPLUS Full-text
DN 147:26747
TI Group A streptococci from invasive-disease episodes in poland are remarkably divergent at the molecular level
AU Szczypa, Katarzyna; Sadowy, Ewa; Izdebski, Radoslaw; Strakova, Lenka; Hryniewicz, Waleria
CS Department of Epidemiology and Clinical Microbiology, National Institute of Public Health, Warsaw, Pol.
SO Journal of Clinical Microbiology (2006), 44(11), 3975-3979
CODEN: JCMIDW; ISSN: 0095-1137
PB American Society for Microbiology
DT Journal
LA English
AB Forty-one clin. isolates of group A streptococcus (GAS) were recovered in Poland from patients with severe invasive infections and were analyzed by phenotypic and genotypic techniques. All isolates were characterized by determining their susceptibilities to antimicrobial agents and by determining their types by pulsed-field gel electrophoresis, multilocus sequence typing, emm typing, and the detection of five streptococcal pyrogenic exotoxin genes

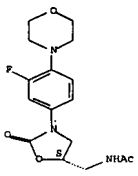
(speA, speB, speC, speF, ssa). The isolates studied were fully susceptible to penicillin G, levofloxacin, quinupristin-dalfopristin, and linezolid. Resistance to tetracycline, chloramphenicol, and erythromycin was detected in 46.3, 12.1, and 9.8% of the isolates, resp. A total of 23 different emm sequence types were identified, of which emm1 and emm12 (19.5% each) were the most common, followed by emm81, emm44/61, and emm85. All the emm1 isolates had the speA2 allele. Twenty-three unrelated sequence types (STs) were identified, with the most frequent STs, ST28 and ST36, corresponding to emm1 and emm12, resp. Six newly found STs (STs 375, 376, 377, 378, 379, and 385) corresponded to emm types 74, 102, 77, 76, 84 and 63, resp. The emm1 type and the presence of speA2 gene were associated with the severity of GAS infections. This work presents the first mol. study on Polish invasive GAS isolates.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(genetic polymorphism and antibiotic resistance in group A Streptococcus from invasive-disease episodes)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1103213 CAPLUS Full-text
DN 146:496813
TI Linezolid-resistant Enterococcus faecalis: First report in Spain
AU Sanchez-Gomez, J. C.; Fraile-Malmierca, E.; Valverde-Romero, E. D.; Sanchez, M.; Garcia-Rodriguez, J. A.; Garcia-Sanchez, J. E.
CS Departamento de Microbiologia y Parasitologia, Hospital Universitario de Salamanca, Spain
SO Journal of Chemotherapy (Firenze, Italy) (2006), 18(4), 440-442
CODEN: JCHEEU; ISSN: 1120-009X
PB E.S.I.F.T. srl
DT Journal
LA English
AB The Enterococcus faecalis is the first linezolid-resistant Gram-pos. coccus strain reported in Spain. The PCR-Restriction Fragment Length Polymorphism Anal. assay is a useful method of detecting G2576T change. This mutation

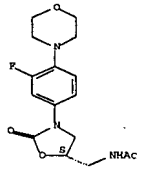
creates a cutting site for NheI restriction endonuclease. E. faecalis possesses four copies of the 23S rDNA gene. Methods performed in this report are not able to determine the number of mutated copies in each strain. PCR-RFLP showed the existence of two bands in every resistant strain, reflecting heterozygosity; one of 633 bp, corresponding to the non-mutated amplicon, and another one with 591 bp, corresponding to the mutated and NheI-digested gene copies. The prevalence of linezolid-resistant cocci is slowly rising.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study) (linezolid-resistant Enterococcus faecalis)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1096292 CAPLUS Full-text
DN 145:426029
TI Preparation of solid forms of linezolid
IN Aronhime, Judith; Koltai, Tamas; Braude, Viviana; Fine, Serguei; Niddam, Tamar
PA Teva Pharmaceutical Industries Ltd, Israel; Teva Pharmaceuticals USA, Inc
SO PCT Int. Appl., 114pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006110155	A1	20061019	WO 2005-US23066	20050629
	WO 2006110155	A9	20061130		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

	CA 2572207	A1	20061019	CA 2005-2572207	20050629
	EP 1745028	A2	20070124	EP 2005-773390	20050629
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	IN 2006DN07919	A	20070817	IN 2006-DN7919	20061227
PRAI	US 2004-584371P	P	20040629		
	US 2004-584283P	P	20040630		
	US 2004-601086P	P	20040812		
	US 2004-602227P	P	20040817		
	US 2004-633877P	P	20041207		
	US 2005-678440P	P	20050505		
	US 2005-684410P	P	20050524		
	US 2004-633887P	P	20041207		
	WO 2005-US23066	W	20050629		

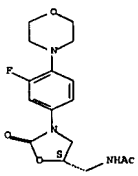
AB Novel crystalline forms of linezolid, e.g., Form V, Form VI, Form IX, Form X, Form XII, Form XIV, Form XVII, and Form XVIII, are disclosed. The novel crystalline forms are characterized by powder x- ray diffraction, FT-IR and FT-Raman spectroscopy, and DSC. Methods of preparing the novel crystalline forms, pharmaceutical compns. comprising the novel crystalline forms, and methods of using the novel crystalline forms to treat gram pos. bacterial infections are also described. Amorphous linezolid is also disclosed. The preparation of linezolid is given.

IT 165800-03-3P, Linezolid 224323-50-6P, Racemic Linezolid
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of solid forms of linezolid)

RN 165800-03-3 CAPLUS

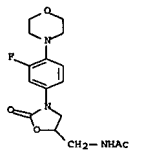
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 224323-50-6 CAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

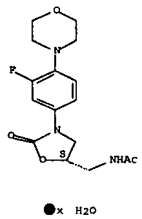


IT 912472-30-1, Linezolid hydrate
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of solid forms of linezolid)

RN 912472-30-1 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, hydrate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

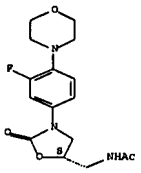


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 28 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1054551 CAPLUS Full-text
DN 146:478573
TI Nosocomial spread of Enterococcus faecium resistant to vancomycin and linezolid in a tertiary care medical center
AU Dobbs, Thomas E.; Patel, Mukesh; Waites, Ken B.; Moser, Stephen A.; Stamm, Alan M.; Hoesley, Craig J.
CS Department of Medicine, University of Alabama at Birmingham, Birmingham, AL, 35249-7331, USA
SO Journal of Clinical Microbiology (2006), 44(9), 3368-3370
CODEN: JCMIDW; ISSN: 0095-1137
PB American Society for Microbiology

DT Journal
LA English
AB In May 2004 our institution encountered its first clin. isolate of linezolid-resistant, vancomycin-resistant Enterococcus faecium (LRVRE). Between Oct. 2004 and July 2005, 40 patients from whom LRVRE organisms were recovered in clin. specimens were characterized. Epidemiol. investigation and pulsed-field gel electrophoresis patterns indicated a clonal outbreak related to nosocomial spread.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(nosocomial spread of Enterococcus faecium resistant to vancomycin and linezolid in a tertiary care medical center)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

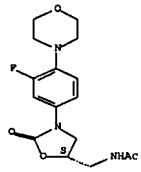


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 29 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1048722 CAPLUS Full-text
DN 146:517760
TI Combination of staphylococcal chromosome cassette SCCmec type V and Panton-Valentine leukocidin genes in a methicillin-resistant Staphylococcus aureus that caused necrotizing pneumonia in Greece
AU Gerogianni, Irini; Mpatavanis, Georgios; Gourgoulianis, Konstantinos; Maniatis, Antonios; Spiliopoulou, Iris; Petinaki, Efi
CS Department of Respiratory Medicine, University Hospital of Larissa, Larissa, 41110, Greece
SO Diagnostic Microbiology and Infectious Disease (2006), 56(2), 213-216
CODEN: DMIDDZ; ISSN: 0732-8893
PB Elsevier Inc.
DT Journal
LA English
AB We describe a case of necrotizing pneumonia in Greece caused by a community-acquired methicillin-resistant Staphylococcus aureus (MRSA) producing Panton-Valentine leukocidin (PVL) carrying the staphylococcal chromosome cassette mec (SCCmec) type V. The pulse field gel electrophoresis (PFGE) pattern of this strain differed significantly from the PVL-pos. multi-locus sequence typing (MLST)-80 clone of MRSA, which predominates in Greece and in Europe. Further anal. of this strain revealed that it belonged to the agr1 allele type, and

its resistance to tobramycin, gentamicin, and kanamycin was associated to the presence of aac-(6')-Ie-aph(2') gene. This is the 1st report that documents the emergence of CA-MRSA with PVL genes in combination with the SCCmec type V in Europe.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of staphylococcal chromosome cassette SCCmec type V and Panton-Valentine leukocidin genes in a methicillin-resistant Staphylococcus aureus that caused necrotizing pneumonia in Greece)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

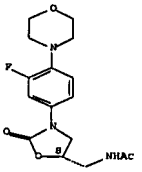


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 30 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1032532 CAPLUS Full-text
DN 146:458404
TI Molecular pattern and antimicrobial susceptibility of methicillin-resistant Staphylococcus aureus isolates at a teaching hospital in northern Taiwan
AU Tai, Pei-Wen; Huang, Cheng-Hua; Lin, Qin-Dong; Huang, Yang-Yang
CS Division of Infectious Diseases, Department of Internal Medicine, Cathay-General Hospital, Taipei, Taiwan
SO Journal of Microbiology, Immunology and Infection (2006), 39(3), 225-230
CODEN: JMIIFG; ISSN: 1684-1182
PB Scientific Communications International Ltd.
DT Journal
LA English
AB Methicillin-resistant Staphylococcus aureus (MRSA) infection has progressively increased worldwide. Knowledge of the specific epidemiol. pattern of isolates at individual hospitals is important. MRSA bacteremia was diagnosed in a total of 68 patients from Jan. 2002 through Dec. 2003, stratified for drug susceptibility and mol. pattern (staphylococcal cassette chromosome mec element [SCCmec] typing and genotypes). SCCmec-A-pos. isolates were found on polymerase chain reaction in 58 patients. The most frequent SCCmec types were III (40 cases) of which less than 5% were susceptible to other beta-lactam antibiotics and most were health care-associated, followed by SCCmec type IV (15 cases), that were demonstrated to be community-acquired. SCCmec type IV

MRSA isolates were more likely to be susceptible to ciprofloxacin (93.3%), gentamicin (46.7%) and trimethoprim-sulfamethoxazole (93.3%) than type III isolates. All MRSA isolates were susceptible to glycopeptides and vancomycin (min. inhibitory concns. <2 μg/mL). Pulsed-field gel electrophoresis with SmaI digestion was used to fingerprint these isolates. A total of 9 genotypes with 26 type-subtypes were identified. Genotype A was the most frequent (9 subtypes) indicating that it is epidemic in this hospital. After anal., SCCmec typing could be used to predict drug susceptibility. Specific clones of S. aureus are circulating in hospital and communities in Taiwan.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mol. pattern and antimicrobial susceptibility of methicillin-resistant Staphylococcus aureus isolates at a teaching hospital in northern Taiwan)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

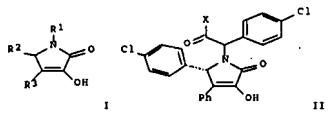


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 31 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:981749 CAPLUS Full-text
DN 145:335928
TI Preparation of 1,5-dihydro-3-hydroxy-2H-pyrrol-2-ones as Mdm2 protein modulators
IN Weber, Lutz
PA Germany
SO Ger. Offen., 11pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

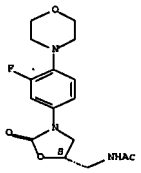
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 102005012681	A1	20060921	DE 2005-102005012681	20050318
PRAI DE 2005-102005012681		20050318		

OS CASREACT 145:335928; MARPAT 145:335928
GI



AB Title compds. I [R1, R2 = cycloalkyl, heteroaryl, aryl, etc.; R3 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, coupling of carboxylic acid II [X = OH] and 2-methoxyethylamine afforded amide II [X = NHCH2CH2OCH3]. Compds. I are are noted as Mdm2 protein modulators (no data provided).
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments with; preparation of 3-hydroxy-2H-pyrrolones as Mdm2 protein modulators)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 32 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:976176 CAPLUS Full-text
DN 145:335951
TI Tetrahydroisoquinolin-1-ones as HDM2 ligands, their preparation, pharmaceutical compositions, and use for the treatment of cancer
IN Weber, Lutz
PA Germany
SO PCT Int. Appl., 42pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2006097323	A1	20060921	WO 2006-EP2471	20060317
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	DE 2005-102005012680 A		20050318		
OS	MARPAT 145:335951				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

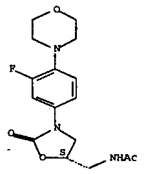
AB The invention relates to compds. according to formula I, which are HDM2 protein ligands, inducing apoptosis and inhibiting proliferation, and having therapeutic utility in cancer therapy. In compds. I, R1 is selected from (un)substituted morpholinyl, (un)substituted pyrrolidinyl, (un)substituted piperazinyl, OR5, and NR5R6, where R5 and R6 are independently selected from H, alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, arylalkyl, and heteroarylalkyl; R2 and R3 are independently selected from aryl, heteroaryl, arylalkyl, or heteroarylalkyl; and R4 is selected from H, OH, halo, nitro, lower alkyl, lower alkenyl, lower alkynyl, lower alkoxy, and NR7R8, where R7 and R8 are independently selected from H, lower alkyl, lower alkoxyalkyl, heterocyclyl, aryl, and heteroaryl. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I, optionally in combination with a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of cancer. Condensation of 4-chlorobenzaldehyde with 4-chlorobenzylamine followed by heterocyclization with homophthalic anhydride gave isoquinolinonecarboxylic acid II, which was amidated with 2-methoxyethylamine to give isoquinolinone III. The compds. of the invention are ligands of HDM2 (no data).

IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of tetrahydroisoquinolinones as HDM2 ligands for the treatment of cancer)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 33 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:918812 CAPLUS Full-text
DN 145:299643
TI Antibiotic and combinations of antibiotic and symptomatic relief agent formulations
IN Evans, Donald L.; Bryant, Thomas J.; Ping, Jeffrey H.; Roberts, Richard Howard; Sirico, William J.; Arnold, Kristin; Davis, Matthew William; Hayer, Gregory Keith; Nielsen, Kurt R.
PA Mutual Pharmaceutical Company, Inc., USA
SO PCT Int. Appl., 72pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PI	WO 2006093784	A2	20060908	WO 2006-US6412	20060224
	WO 2006093784	A3	20070816		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	US 2006205682	A1	20060914	US 2006-362547	20060224
PRAI	US 2005-656496P	P	20050225		
	US 2005-671979P	P	20050415		

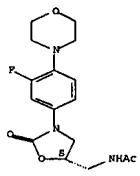
AB Disclosed herein are antibiotic formulations and combinations of antibiotic and symptomatic relief agent formulations. The combinations are suitable to treat a variety of diseases, including an infection, while treating the symptoms associated with the disease. Also disclosed are methods of treating a disease or an infection and its symptoms, as well as pharmaceutical kits containing such formulations. Tablets contained trimethoprim 80, and sulfamethoxazole 400 mg.

IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibiotic and combinations of antibiotic and symptomatic relief agent formulations)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

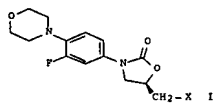
Absolute stereochemistry. Rotation (-).



L8 ANSWER 34 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:888494 CAPLUS Full-text
DN 145:293035
TI Preparation of linezolid via catalytic hydrogenation
IN Fine, Serguei; Nidam, Tamar; Braude, Viviana
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

PI	WO 2006091731	A2	20060831	WO 2006-US6414	20060223
	WO 2006091731	A3	20061019		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2007021417	A1	20070125	US 2006-361457	20060223
	US 2006252932	A1	20061109	US 2006-361509	20060224
	US 2006258655	A1	20061116	US 2006-362312	20060224
	IN 2007DN04083	A	20070824	IN 2007-DN4083	20070530

PRAI	US 2005-656646P	P	20050224
	US 2005-656778P	P	20050224
	US 2005-690822P	P	20050614
	WO 2006-US6414	W	20060223
OS	CASREACT 145:293035		
GI			



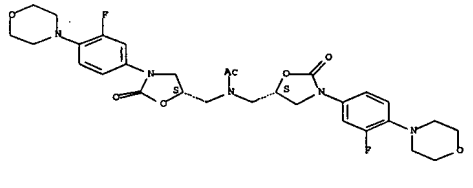
AB A process for the preparation of title compound I [X = NHCOMe] via the catalytic hydrogenation of the corresponding azide followed by in-situ acylation was disclosed. For example, zinc-ammonium formate mediated reduction of azide I [X = N3] afforded amine II [X = NH2] in 50% yield and 93.2% purity. Of note, the catalytic hydrogenation of azide II [X = N3] produced fewer byproducts than previous methods.

IT 908143-04-4P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of linezolid via catalytic hydrogenation)

RN 908143-04-4 CAPLUS

CN Acetamide, N,N-bis[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



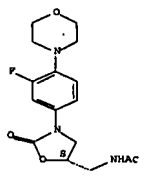
IT 165800-03-3P, Linezolid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of linezolid via catalytic hydrogenation)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-

oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 35 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:885021 CAPLUS Full-text
DN 145:293031
TI Preparation of linezolid and bis-linezolid
IN Ramaty, Revital; Nidam, Tamar; Braude, Viviana; Adler, Miri
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006091848	A2	20060831	WO 2006-US6655	20060224
	WO 2006091848	A9	20061109		
	WO 2006091848	A3	20061228		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2007021417	A1	20070125	US 2006-361457	20060223
	US 2006252932	A1	20061109	US 2006-361509	20060224
	US 2006258655	A1	20061116	US 2006-362312	20060224
	IN 2007DN04090	A	20070824	IN 2007-DN4090	20070530
PRAI	US 2005-656646P	P	20050224		
	US 2005-656778P	P	20050224		
	US 2005-690822P	P	20050614		
	WO 2006-US6655	W	20060224		

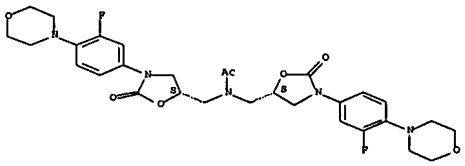
OS CASREACT 145:293031

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

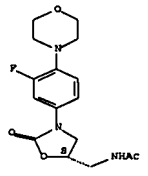
AB A process for the preparation of linezolid I [X = NHCOMe] and the isolation of bis-linezolid II was disclosed. For example, Pd/C-H2 mediated reduction of azide I [X = N3] afforded amine I [X = NH2]. Of note, is the use of bis-linezolid II as a reference standard
IT 908143-04-4P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of linezolid and bis-linezolid)
RN 908143-04-4 CAPLUS
CN Acetamide, N,N-bis[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 165800-03-3P, Linezolid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of linezolid and bis-linezolid)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



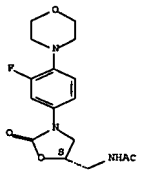
L8 ANSWER 36 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:884419 CAPLUS Full-text
DN 145:299397
TI Crystalline forms of linezolid intermediate
IN Koltai, Tamas; Nidam, Tamar; Braude, Viviana; Fine, Serguei
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006091777	A1	20060831	WO 2006-US6529	20060224
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2007021417	A1	20070125	US 2006-361457	20060223
	CA 2588876	A1	20060831	CA 2006-2588876	20060224
	US 2006252932	A1	20061109	US 2006-361509	20060224
	US 2006258655	A1	20061116	US 2006-362312	20060224
	IN 2007DN04094	A	20070824	IN 2007-DN4094	20070530
PRAI	US 2005-656646P	P	20050224		
	US 2005-656778P	P	20050224		
	US 2005-690822P	P	20050614		
	WO 2006-US6529	W	20060224		

AB The present invention relates to novel crystalline forms of the linezolid intermediate S-N-(4-morpholinyl-3-fluorophenyl)-2-oxo-5- oxazolidinyl-Me amine referred to herein as Form A, Form B, and Form C.
IT 165800-03-3, Linezolid
RL: PRP (Properties)
(crystalline forms of linezolid intermediate)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

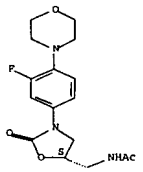


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 37 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:877982 CAPLUS Full-text
DN 146:291391
TI Usefulness of RFLP method for identification of recent isolates of Nocardia spp. and antimicrobial susceptibility testing
AU Shibuya, Rie; Tateda, Kazuhiro; Kimura, Soichiro; Ishii, Yoshikazu; Murakami, Hinako; Shimatsu, Reiko; Kashitani, Fusako; Iwata, Morihiro; Matsumoto, Tetsuya; Kimura, Kazuhiro; Uchida, Koh; Nakata, Koichiro; Kubo, Setsuko; Mikami, Yuzuru; Yamaguchi, Keizo
CS Department of Microbiology and Infectious Diseases, Toho University School of Medicine, Japan
SO Nippon Rinsho Biseibutsugaku Zasshi (2006), 16(2), 81-88
CODEN: NRBZF9; ISSN: 0917-5059
PB Nippon Rinsho Biseibutsu Gakkai
DT Journal
LA Japanese
AB In this study, we examined usefulness of RFLP method for identification of clin. isolates of Nocardia spp. (standard strains and clin. isolates), comparing to conventional biochem. assays. Twenty-six strains of clin. isolates were conventionally identified as N. asteroids (η=12), N. nova (η=8), N. farcinica (η=6). In contrast, RFLP method using bacterial 16S rDNA demonstrated N. asteroides (η=4), N. farcinica (η=4) in 12 strains of N. asteroides conventionally identified. Also RFLP results showed N. nova (η=2), N. farcinica (η=1), unclassified (η=5) in 8 strains of N. nova conventionally identified, whereas all 6 strains N. farcinica indicated identical results. Antimicrobial susceptibility testing showed that the first-line antibiotics, such as minocycline, amikacin, imipenem and ST, in addition to newer antimicrobials (linezolid, arbekacin), were generally active against clin. isolates of Nocardia spp., although a small variation was observed among strains and species. These data suggest that RFLP method for identification of Nocardia organisms may be a useful technique in the clin. microbiol. laboratory setting.
IT 165800-03-3, Linezolid

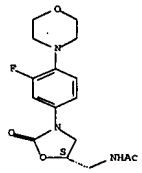
RL: BSU (Biological study, unclassified); BIOL (Biological study) (usefulness of RFLP method for identification of recent isolates of Nocardia spp. and antimicrobial susceptibility testing)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



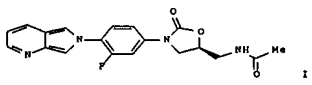
L8 ANSWER 38 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:855345 CAPLUS Full-text
DN 145:419001
TI Short and practical enantioselective synthesis of linezolid and eperezolid via proline-catalyzed asymmetric α-aminooxylation
AU Narina, Srinivasarao V.; Sudalai, Arumugam
CS Chemical Engineering and Process Development Division, National Chemical Laboratory, Pune, 411 008, India
SO Tetrahedron Letters (2006), 47(38), 6799-6802
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 145:419001
AB An efficient enantioselective synthesis of the antibacterials, linezolid and eperezolid, using D-proline-catalyzed asym. α-aminooxylation of aldehydes as the key step is described. This is the first report on the enantioselective synthesis of linezolid and eperezolid using asym. catalysis.
IT 165800-03-3P, Linezolid
RL: SPN (Synthetic preparation); PREP (Preparation)
(short and practical enantioselective synthesis of linezolid and eperezolid via proline-catalyzed asym. α-aminooxylation of aldehydes)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

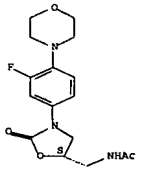
L8 ANSWER 39 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:757661 CAPLUS Full-text
DN 145:249128
TI Antibacterial activity of pyrrolopyridine-substituted oxazolidinones: synthesis and in vitro SAR of various C-5 acetamide replacements
AU Paget, Steven D.; Boggs, Christine M.; Foleno, Barbara D.; Goldschmidt, Raul M.; Hlasta, Dennis J.; Weidner-Wells, Michele A.; Werblood, Harvey M.; Bush, Karen; Macielag, Mark J.
CS Johnson & Johnson Pharmaceutical Research and Development, L.L.C., Raritan, NJ, 08869, USA
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(17), 4537-4542
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 145:249128
GI



I

AB A series of pyrrolopyridine-substituted oxazolidinones containing various C-5 acetamide isosteres, e.g. I, was synthesized and the structure- antibacterial activity relationships were determined against a representative panel of susceptible and resistant Gram-pos. bacteria.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and antibacterial activities of pyrrolopyridine-substituted oxazolidinones)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

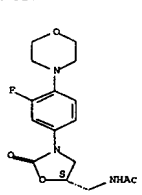
Absolute stereochemistry. Rotation (-).



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 40 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:755096 CAPLUS Full-text
DN 146:201867
TI Surveillance of group B streptococcal toxic shock-like syndrome in nonpregnant adults and characterization of the strains in Japan
AU Chang, Bin; Ikebe, Tadayoshi; Wada, Akihito; Ogata, Kikuyo; Tomita, Masaaki; Katsukawa, Chihiro; Kawahara, Ryuji; Suzuki, Rieko; Endo, Miyoko; Isobe, Junko; Tanaka, Daisuke; Hirasawa, Kyoko; Watanabe, Haruo
CS The Working Group for Streptococci in Japan, Department of Bacteriology, National Institute of Infectious Diseases, Tokyo, 162-8640, Japan
SO Japanese Journal of Infectious Diseases (2006), 59(3), 182-185
CODEN: JJIDPE; ISSN: 1344-6304
PB National Institute of Infectious Diseases
DT Journal
LA English
AB Nine group B streptococci (GBS) strains were isolated from five toxic shock-like syndrome cases of nonpregnant adults in Japan from 2001 to 2005. All of them were identified as Streptococcus agalactiae. The serotypes of these strains were Ib, III, V, and VII. Pulsed-field gel electrophoresis revealed that the patterns of the strains isolated from the different patients were variable. Antimicrobial susceptibility tests showed that all of the strains were susceptible to penicillin G, ampicillin, cefotaxime, clindamycin, and telithromycin. One strain showed intermediate resistance to erythromycin.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(surveillance of group B streptococcal toxic shock-like syndrome in nonpregnant adults and characterization of the strains in Japan)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 41 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:740031 CAPLUS Full-text
DN 146:201931
TI Epidemiological profile of linezolid-resistant coagulase-negative staphylococci
AU Potoski, Brian A.; Adams, Jennifer; Clarke, Lloyd; Shutt, Kathleen; Linden, Peter K.; Baxter, Carla; Pasculle, A. William; Capitano, Blair; Peleg, Anton Y.; Szabo, Dora; Paterson, David L.
CS Departments of Pharmacy and Therapeutics, Division of Infectious Diseases, Antibiotic Management Program, University of Pittsburgh Medical Center, Pittsburgh, PA, USA
SO Clinical Infectious Diseases (2006), 43(2), 165-171
CODEN: CIDIEL; ISSN: 1058-4838
PB University of Chicago Press
DT Journal
LA English
AB Surveillance studies have shown that <0.1% of coagulase-neg. staphylococci are linezolid resistant; however, at our institution, 4% of such organisms were found to be resistant. We investigated the risk factors for and the epidemiol. profile of linezolid-resistant coagulase-neg. staphylococci. Susceptibility testing and pulsed-field gel electrophoresis were performed to analyze the genetic relatedness of both linezolid-resistant and linezolid-susceptible isolates. Clin. data were retrieved from medical records, and a case-case-control study was performed to identify unique risk factors for linezolid resistance. Isolates recovered fromn 25 patients with linezolid-resistant coagulase-neg. staphylococci were ex- amined, all but 1 of the isolates were identified as Staphylococcus epidermidis, and all but 1 had a min. inhibitory concentration of linezolid of >256 μg/mL. Pulsed-field gel electrophoresis showed that 21 (84%) of 25 linezolid-resistant isolates exhibited genetic relatedness, whereas linezolid-susceptible isolates were of diverse clones. Unique, independent predictors of linezolid resistance included receipt of linezolid in the 3 mo preceding isolation of the coagulase-neg. staphylococci (odds ratio, 20.6; 95% confidence interval, 5.8-73.0). Conclusion. Linezolid-resistant coagulase-neg. staphylococci have emerged at our institution and are predominately of a single clone. We believe that the most likely scenario to explain this emergence is that person-to-person spread of linezolid-resistant coagulase-neg. staphylococci led to establishment of skin colonization with the strain. Subsequent use of linezolid was followed by selection of the linezolid-resistant strain, which then became the dominant skin flora. The potential for a parallel scenario

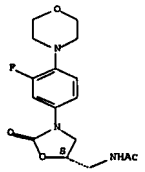
involving clonal dissemination followed by selection of linezolid-resistant methicillin-resistant Staphylococcus aureus is a real possibility.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epidemiol. profile of linezolid-resistant coagulase-neg. staphylococci)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 42 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:716811 CAPLUS Full-text
DN 145:188857
TI Preparation of Linezolid and Eperezolid as antibacterial agents
IN Xu, Guangyu; Wu, Xihan; Xie, Yuyuan
PA Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 13pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1673224	A	20050928	CN 2004-10017127	20040323
PRAI CN 2004-10017127		20040323		

OS CASREACT 145:188857

AB The title Linezolid and Eperezolid were prepared as antibacterial agents (no data). For example, 3-fluoro-4-morpholinobenzenamine (preparation given) was reacted with L-glyceraldehyde acetonide, followed by hydrogenation, methylsulfonation, diazotization, reduction, and acetylation to give Linezolid in good yield.

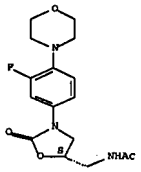
IT 165800-03-3P, Linezolid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of Linezolid and Eperezolid as antibacterial

agents)

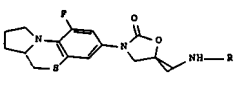
RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 43 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:693876 CAPLUS Full-text
DN 145:314856
TI Synthesis of novel tricyclic oxazolidinones by a tandem SN2 and SNAr reaction: SAR studies on conformationally constrained analogues of Linezolid
AU Selvakumar, N.; Reddy, B. Yadi; Kumar, G. Sunil; Khera, Manoj Kumar; Srinivas, D.; Kumar, M. Sitaram; Das, Jagattaran; Iqbal, Javed; Trehan, Sanjay
CS Anti-infectives Discovery Group, Discovery Research, Dr. Reddy's Laboratories Ltd., Hyderabad, 500 049, India
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(16), 4416-4419
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 145:314856
GI



I

AB A series of conformationally constrained analogs of Linezolid were synthesized by employing a tandem SN2 and SNAr reaction as the key step and tested for antibacterial activity. While the hexahydroazolo- quinoxaline compds. were

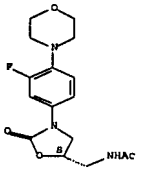
inactive, the tetrahydroazolo-benzothiazine compds. exhibited interesting antibacterial activity. The introduction of fluorine in the aromatic ring further made the compds. more potent in acetamide compds. resulting in an interesting analog I (R = COMe). However, the introduction of fluorine I (R = C(:S)Me) on the already potent non-fluorine thiocarbamate I (R = C:(S)OMe) did not have any influence on the activity.

IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of tricyclic oxazolidinones by tandem SN2 and SNAr reaction and
SAR studies on conformationally constrained analogs of Linezolid)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

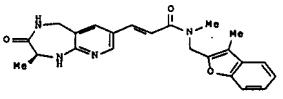
L8 ANSWER 44 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:636869 CAPLUS Full-text
DN 145:103734
TI Compositions comprising multiple antibiotic agents including a FabI inhibitor, methods of using the same, and preparation of the heterocycle FabI inhibitors
IN Berman, Judd M.; Schmid, Molly B.; Mendlein, John D.; Kaplan, Nachum
PA Affinium Pharmaceuticals, Inc., Can.
SO U.S. Pat. Appl. Publ., 192 pp., which which
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006142265	A1	20060629	US 2005-231298	20050919
WO 2004082586	A2	20040930	WO 2004-IB1261	20040317
WO 2004082586	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2003-455189P	P	20030317	
US 2003-476970P	P	20030609	
US 2003-488379P	P	20030718	
WO 2004-IB1261	A2	20040317	

OS MARPAT 145:103734
GI



II

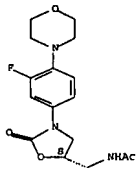
AB The invention is directed to antibacterial compns. comprising an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (FabI, previously designated EnvM) inhibitor of formula (Y1)a-A-CH(R1)-NR1CO-L-R2 (I) and at least one other antibiotic/antibacterial agent [L = alkyl, alkenyl, or cycloalkyl which may be substituted by one or more R1; A = (un)substituted bicyclic heteroaryl of 8-12 atoms or a tricyclic ring of 12-16 atoms, containing 1-4 heteroatoms selected from N, S, and O; R1 = H, cyclo/alkyl, alk/aryl; R2 = heterocyclyl; a = 0-4; Y1 = -(CH2)n-CO-NR4R5; R4 = water solubilizing group; R5 = H, cyclo/alkyl; n = 0-4]. The antibacterial composition exhibits a synergistic antibacterial effect compared to its individual components. Thus, bromination of (S)-2-methyl-1,2,4,5-tetrahydropyrido[2,3-e][1,4]diazepin-3-one (preparation given), coupling of the bromide with N-methyl-N-[(3-methylbenzofuran-2-yl)methyl]acrylamide, and acidulation of the free base (no data) with TFA gave pyridodiazepine II•TFA. Selected I inhibited FabI with a Ki < 1 nM, an MIC (minimal inhibitory concentration) < 0.125 μg/mL, and an IC50 < 10 nM.

IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising multiple antibiotic agents and preparation of heterocycle FabI inhibitor)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



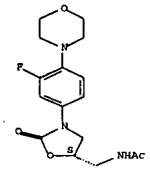
L8 ANSWER 45 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:566562 CAPLUS Full-text
DN 145:51043
TI Oral pharmaceutical compositions containing drugs and lipids and pH dependent polymers for taste-masking
IN Menjoge, Anupa Ramesh; Kulkarni, Mohan Gopalkrishna
PA Council of Scientific and Industrial Research, India
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006061846	A1	20060615	WO 2004-IN379	20041210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2004325469	A1	20060615	AU 2004-325469	20041210
CN 1953734	A	20070425	CN 2004-80043093	20041210
EP 1845937	A1	20071024	EP 2004-806762	20041210
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
PRAI WO 2004-IN379	A	20041210		

AB The present invention discloses compns., comprising a lipid-polymer matrix to mask the bitter or unpleasant taste of the medicament. The lipid or a blend of lipids, are used in combination with the pH dependent polymer where the said polymer is acid soluble or swellable. The process for the preparation of taste masked pharmaceutical compns. of the bitter drugs comprising the said lipid-polymer compns. are disclosed. The concomitant use of the acid soluble polymer, which remains collapsed at the pH of saliva, inhibits the release of drug at that pH and hence they further help in bitterness inhibition. The said compns. deliver substantial amount of the bitter drug immediately at the

gastric pH with improved palatability. For example, microparticles contained cefuroxime axetil, stearic acid as lipid, and copolymer of Me methacrylate, hydroxyethyl methacrylate and vinyl pyridine as the polymer.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral pharmaceutical compns. containing drugs and lipids and pH dependent polymers for taste-masking)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

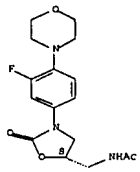


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 46 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:499104 CAPLUS Full-text
DN 145:188813
TI Synthesis and structure-activity studies of antibacterial oxazolidinones containing dihydrothiopyran or dihydrothiazine C-rings
AU Renslo, Adam R.; Luehr, Gary W.; Lam, Stuart; Westlund, Neil E.; Gomez, Marcela; Hackbarth, Corrine J.; Patel, Dinesh V.; Gordeev, Mikhail F.
CS Pfizer Global Research and Development, Fremont, CA, 94555, USA
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(13), 3475-3478
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 145:188813
AB A new series of antimicrobial oxazolidinones bearing unsatd. heterocyclic C-rings is described. Dihydrothiopyran derivs. were prepared from the saturated tetrahydrothiopyran sulfoxides via a Pummerer-rearrangement/elimination sequence. Two new synthetic approaches to the dihydrothiazine ring system were explored, the first involving a novel trifluoroacetylative-detrifluoroacetylative Pummerer-type reaction sequence and the second involving direct dehydrogenation of tetrahydrothiopyran S,S-dioxide intermediates. Analogs, such as -N-[[3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide derivs. and N-[[(5S)-3-[4-(2,3-dihydro-1,1-dioxido-4H-1,4-thiazin-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide derivs. represent oxidized congeners of recent pre-clin. and clin. oxazolidinones.
IT 165800-03-3, Linezolid

RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of [[(thiopyranyl)phenyl](oxo)oxazolidinyl]methyl]acetamide [[(thiazinyl)phenyl](oxo)oxazolidinyl]methyl]acetamide derivs. and analogs and study of their antibacterial activity in comparison with linezolid, PNU-141659 and PNU-288034)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

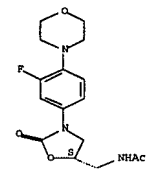


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 47 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:473672 CAPLUS Full-text
DN 145:140808
TI Platensimycin is a selective FabF inhibitor with potent antibiotic properties
AU Wang, Jun; Soisson, Stephen M.; Young, Katherine; Shoop, Wesley; Kodali, Srinivas; Galgoci, Andrew; Painter, Ronald; Parthasarathy, Gopalakrishnan; Tang, Yui S.; Cummings, Richard; Ha, Sookhee; Dorso, Karen; Motyl, Mary; Jayasuriya, Hiranthi; Ondeyka, John; Herath, Kithsiri; Zhang, Chaowei; Hernandez, Lorraine; Allocco, John; Basilio, Angela; Tormo, Jose R.; Genilloud, Olga; Vicente, Francisca; Pelaez, Fernando; Colwell, Lawrence; Lee, Sang Ho; Michael, Bruce; Felcetto, Thomas; Gill, Charles; Silver, Lynn L.; Hermes, Jeffery D.; Bartizal, Ken; Barrett, John; Schmatz, Dennis; Becker, Joseph W.; Cully, Doris; Singh, Sheo B.
CS Merck Research Laboratories, Rahway, NJ, 07065, USA
SO Nature (London, United Kingdom) (2006), 441(7091), 358-361
CODEN: NATUAS; ISSN: 0028-0836
PB Nature Publishing Group
DT Journal
LA English
AB Bacterial infection remains a serious threat to human lives because of emerging resistance to existing antibiotics. Although the scientific community has avidly pursued the discovery of new antibiotics that interact with new targets, these efforts have met with limited success since the early 1960s. Here we report the discovery of platensimycin, a previously unknown class of antibiotics produced by Streptomyces platensis. Platensimycin demonstrates strong, broad-spectrum Gram-pos. antibacterial activity by selectively inhibiting cellular lipid biosynthesis. We show that this antibacterial effect is exerted through the selective targeting of β-ketoacyl-

(acyl carrier protein (ACP)) synthase I/II (FabF/B) in the synthetic pathway of fatty acids. Direct binding assays show that platensimycin interacts specifically with the acyl-enzyme intermediate of the target protein, and x-ray crystallog. studies reveal that a specific conformational change that occurs on acylation must take place before the inhibitor can bind. Treatment with platensimycin eradicates Staphylococcus aureus infection in mice. Because of its unique mode of action, platensimycin shows no cross-resistance to other key antibiotic-resistant strains tested, including methicillin-resistant S. aureus, vancomycin-intermediate S. aureus and vancomycin-resistant enterococci. Platensimycin is the most potent inhibitor reported for the FabF/B condensing enzymes, and is the only inhibitor of these targets that shows broad-spectrum activity, in vivo efficacy, and no observed toxicity.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(platensimycin produced by Streptomyces platensis is selective FabF inhibitor with antibiotic activity against antibiotic-resistant pathogens)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 48 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:470759 CAPLUS Full-text
DN 145:76084
TI Linezolid and Human Polymorphonuclear Leukocyte Function
AU Naess, Are; Stenhaug Kilhus, Kristin; Nystad, Tone W.; Sornes, Steinar
CS Institute of Medicine, University of Bergen, Bergen, Norway
SO Chemotherapy (Basel, Switzerland) (2006), 52(3), 122-124
CODEN: CHTHBK; ISSN: 0009-3157
PB S. Karger AG
DT Journal
LA English
AB The objective was to examine whether Linezolid, a new oxazolidinone antibiotic, has an effect on human polymorphonuclear leukocyte (PMN) function. Flow-cytometric techniques were used for the demonstration of PMN chemotaxis towards zymosan-activated serum, and phagocytosis and respiratory burst after incubation in Linezolid. Linezolid at concns. of 10-160 mg/L did not

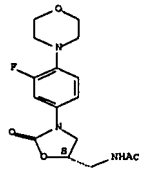
significantly influence PMN function as measured by chemotaxis, phagocytosis, and respiratory burst. Linezolid at therapeutic or supratherapeutic concns. does not influence human PMN function. This applies to the CP substance as well as to the com. preparation containing additives for i.v. infusion.

IT 165800-03-3, Linezolid
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Linezolid and human polymorphonuclear leukocyte function)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



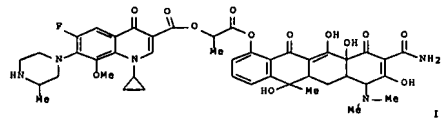
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 49 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:464658 CAPLUS Full-text
DN 144:474932
TI Mixed antibiotic codrugs for infection treatment
IN Schiffman, Rhett M.; Graham, Richard; Rupp, David; Johnson, Brent A.
PA Allergan, Inc., USA
SO U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006105941	A1	20060518	US 2004-988384	20041112
WO 2006055359	A1	20060526	WO 2005-US40530	20051109

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM
PRAI US 2004-988384 A 20041112
OS MARPAT 144:474932
GI



I

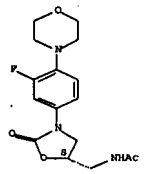
AB Compds. comprising two antibiotics belonging to distinct classes, which are connected via two covalent bonds (an amide or ester bond) to a linker such that the compound degrades in vivo to yield the two antibiotics are disclosed. Methods, compns., and medicaments related thereto are also disclosed. For example, a linker, i.e., the reaction product of p-toluenesulfonyl chloride and Me lactate was prepared and stirred with gatifloxacin followed by tetracycline to give compound I. An eye drop containing compound I was administered to a patient suffering from bacterial conjunctivitis over a period of 2 wk. After the complete treatment, the bacterial infection was eliminated and relief of symptoms was experienced.

IT 165800-03-3, Linezolid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antibacterial activity of mixed antibiotic codrugs)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 50 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:463554 CAPLUS Full-text

DN 144:495248
TI Soluble hyaluronidases and methods of their preparation and therapeutic uses in glycosaminoglycan-associated disorders
IN Bookbinder, Louis H.; Kundu, Anirban; Frost, Gregory I.; Haller, Michael F.; Keller, Gilbert A.; Dylan, Tyler M.
PA Halozyme, Inc., USA
SO U.S. Pat. Appl. Publ., 124 pp., Cont.-in-part of U.S. Ser. No. 65,716.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006104968	A1	20060518	US 2005-238171	20050927
US 2004268425	A1	20041230	US 2004-795095	20040305
US 2005260186	A1	20051124	US 2005-65716	20050223
AU 2006216545	A1	20060831	AU 2006-216545	20060223
WO 2006091871	A1	20060831	WO 2006-US6700	20060223

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2003-452360P	P	20030305	
US 2004-795095	A2	20040305	
US 2005-65716	A2	20050223	
US 2005-238171	A	20050927	
WO 2006-US6700	W	20060223	

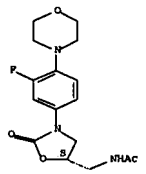
AB The invention relates to the discovery of novel soluble neutral active hyaluronidase glycoproteins (sHASEGPs), methods of manufacture, and their use to facilitate administration of other mols. or to alleviate glycosaminoglycan-associated pathologies. Minimally active polypeptide domains of the soluble, neutral active sHASEGP domains are described that include asparagine-linked sugar moieties required for a functional neutral active hyaluronidase domain. Included are modified N-terminal leader peptides that enhance secretion of sHASEGP. The invention further comprises sialated and PEGylated forms of a recombinant sHASEGP to enhance stability and serum pharmacokinetics over naturally occurring slaughterhouse enzymes. Further described are suitable formulations of a substantially purified recombinant sHASEGP derived from a eukaryotic cell that generate the proper glycosylation required for its optimal activity.

IT 165800-03-3, Zyvox
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-treatment with; soluble hyaluronidases and methods of their preparation and therapeutic uses in glycosaminoglycan-associated disorders)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



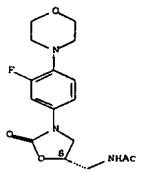
L8 ANSWER 51 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:371050 CAPLUS Full-text
DN 145:502144
TI Genotypic characterization of vancomycin-resistant Enterococcus faecium isolates from haemato-oncological patients at Olomouc University Hospital, Czech Republic
AU Kolar, M.; Pantucek, R.; Vagnerova, I.; Kasselova, M.; Sauer, P.; Matouskova, I.; Doskar, J.; Koukalova, D.; Hejnar, P.
CS Department of Microbiology, Faculty of Medicine, Palacky University, Olomouc, Czech Rep.
SO Clinical Microbiology and Infection (2006), 12(4), 353-360
CODEN: CMINFM; ISSN: 1198-743X
PB Blackwell Publishing Ltd.
DT Journal
LA English
AB This study describes the first mol. characterization of clin. isolates of vancomycin-resistant enterococci (VRE) in the Czech Republic. Of 2647 patient isolates of Enterococcus spp. from 1997-2002, 121 (4.6%) were identified as VRE. The most common isolates were VanA+ Enterococcus faecium (78%) and VanB+ Enterococcus faecalis (10%). In addition, five VanA+ E. faecium isolates were obtained from environmental and staff sampling. Macrorestriction anal. of SmaI restriction fragment length polymorphism was performed for 54 VanA+ E. faecium clin. isolates and the five VanA+ E. faecium environmental isolates. Thirty-two unique restriction endonuclease patterns were identified, including two predominant clonal types represented by five or more isolates. Two environmental VanA+ E. faecium isolates were closely related to two patient isolates, which had an identical SmaI macrorestriction pattern. The results indicated potential survival of strains in the hospital environment and possible subsequent transmission to hospitalized patients.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(genotypic characterization of vancomycin-resistant Enterococcus faecium isolates from haemato-oncol. patients at Olomouc University Hospital, Czech Republic)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

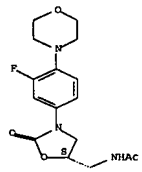
Absolute stereochemistry. Rotation (-).



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 52 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:357875 CAPLUS Full-text
DN 145:485729
TI Characterisation of non-multiresistant methicillin-resistant Staphylococcus aureus (including EMRSA-15) in Kuwait hospitals
AU Udo, E. E.; Al-Sweih, N.; Noronha, B.
CS Department of Microbiology, Faculty of Medicine, Kuwait University, Kuwait
SO Clinical Microbiology and Infection (2006), 12(3), 262-269
CODEN: CMINFM; ISSN: 1198-743X
PB Blackwell Publishing Ltd.
DT Journal
LA English
AB This study characterized non-multiresistant methicillin-resistant Staphylococcus aureus (nmMRSA) isolates from Kuwait hospitals to ascertain whether they were community-acquired MRSA (CA-MRSA). Forty-two nmMRSA isolates obtained between July 2001 and Oct. 2003 were analyzed by staphylococcal cassette chromosome mec (SCCmec) typing, bacteriophage typing, production of Panton-Valentine leukocidin (PVL), urease and staphylococcal enterotoxins A, B, C and D, TSST-1, and by pulsed-field gel electrophoresis (PFGE). Forty-one isolates were SCCmec type IV, and one isolate was SCCmec type III. The isolates belonged to six PFGE patterns, with two types, A and D, distributed in six and four hospitals, resp. Most (n = 26; 61.9%) isolates produced urease. These isolates were mainly from wound and skin infections, showed low-level methicillin resistance (MIC 8-48 mg/L), and nine carried genes for PVL. These characteristics, together with their carriage of the type-IV SCCmec, identified the isolates as CA-MRSA. Ten of the 16 urease-neg. isolates produced staphylococcal enterotoxin C; 12 reacted weakly with phage 75, and were resistant to clindamycin and/or erythromycin, which are characteristics of EMRSA-15. Thus, this study identified the co-existence of two types of nmMRSA, i.e., CA-MRSA and EMRSA-15, in Kuwait hospitals.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(characterization of non-multiresistant methicillin-resistant Staphylococcus aureus (including EMRSA-15) in Kuwait hospitals)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

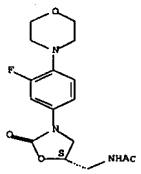


RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 53 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:312019 CAPLUS Full-text
DN 145:502137
TI Susceptibility studies of piperazinyl-cross-linked fluoroquinolone dimers against test strains of Gram-positive and Gram-negative bacteria
AU Kerns, Robert J.; Rybak, Michael J.; Cheung, Chrissy M.
CS Division of Medicinal and Natural Products Chemistry, The University of Iowa, Iowa City, IA, 52242-1112, USA
SO Diagnostic Microbiology and Infectious Disease (2006), 54(4), 305-310
CODEN: DMIDDZ; ISSN: 0732-8893
PB Elsevier Inc.
DT Journal
LA English
AB Susceptibility testing was used to evaluate potential spectrum of action for piperazinyl-cross-linked fluoroquinolone dimers against test strains of Streptococcus pneumoniae, Streptococcus pyogenes, Escherichia coli, Pseudomonas aeruginosa, Mycobacterium tuberculosis, and vancomycin-resistant Enterococcus faecium (VRE) and to evaluate dimers against fluoroquinolone-resistant and fluoroquinolone-susceptible strains of streptococci. Individual dimers displayed equivalent or lowered MIC values compared with parent fluoroquinolone monomers against test strains of S. pneumoniae, S. pyogenes, E. coli, and VRE. Raised MIC values were observed for all dimers in comparison to monomers against test strains of P. aeruginosa and E. coli. In comparison to parent fluoroquinolones, all dimers displayed decreased percent inhibition of growth against M. tuberculosis. Structural requirements for activity of dimers and partial dimers against all organisms, including lower MICs against certain fluoroquinolone-resistant and fluoroquinolone-susceptible strains of streptococci, were consistent with requirements previously observed for dimers against fluoroquinolone-susceptible and fluoroquinolone-resistant strains of S. aureus. In contrast, the 10- to 100-fold lowering of MICs against wild-type and fluoroquinolone-resistant strains of S. aureus previously observed for individual cross-linked dimers was not observed with test strains of the various organisms used here.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(susceptibility studies of piperazinyl-cross-linked fluoroquinolone dimers against test strains of Gram-pos. and Gram-neg. bacteria)
RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

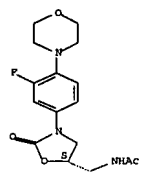
Absolute stereochemistry. Rotation (-).



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 54 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:308130 CAPLUS Full-text
DN 145:336006
TI A convenient synthesis of antibacterial linezolid from (S)-glyceraldehyde acetonide
AU Xu, Guang Yu; Zhou, Yi; Xu, Man Cai
CS College of Chemistry and Chemical Engineering, Hunan Normal University, Changsha, 410081, Peop. Rep. China
SO Chinese Chemical Letters (2006), 17(3), 302-304
CODEN: CCLEE7; ISSN: 1001-8417
PB Chinese Chemical Society
DT Journal
LA English
OS CASREACT 145:336006
AB A convenient synthesis of oxazolidinone antibacterial linezolid from readily available L-ascorbic acid is described. The key steps include reductive amination of arylamine and (S)-glyceraldehyde acetonide in the presence of NaBH4 and 4Å sieve, followed by hydrolysis and regioselective cyclization.
IT 165800-03-3P, Linezolid
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of linezolid from glyceraldehyde acetonide)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

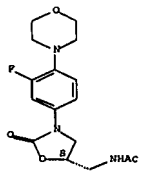
Absolute stereochemistry. Rotation (-).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 55 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:283406 CAPLUS Full-text
DN 145:502127
TI Confirmation of the mode of action of an antibacterial inhibitor using regulated antisense RNA
AU Yang, Junshu; Zheng, Li; Ji, Yinduo
CS Department of Veterinary and Biomedical Sciences, University of Minnesota, St. Paul, MN, 55108, USA
SO World Journal of Microbiology & Biotechnology (2006), 22(3), 299-303
CODEN: WJMBEY; ISSN: 0959-3993
PB Springer
DT Journal
LA English
AB We previously demonstrated that regulated antisense RNA technol. enables us to validate and identify the mode of action for some antibiotics. In this study, we have expanded the application of the regulated antisense approach to track the mode of action for a novel inhibitor of polypeptide deformylase (Pdf), which is an attractive target for the development of novel classes of antibacterial agents. We created a pdf antisense isogenic strain in Staphylococcus aureus using a TetR-regulated expression system. We demonstrated that the partial inhibition of pdf expression significantly increased the susceptibility of S. aureus to Pdf-specific inhibitor. This result provides further evidence that the TetR-regulated antisense technol. is a robust tool for tracking the mode of action of novel antibacterial agents.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(the mode of action of an antibacterial inhibitor using regulated antisense RNA)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

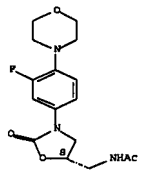


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 56 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:211046 CAPLUS Full-text
DN 145:310225
TI Safety properties and molecular strain typing of lactic acid bacteria from slightly fermented sausages
AU Aymerich, T.; Martin, B.; Garriga, M.; Vidal-Carou, M. C.; Bover-Cid, S.; Hugas, M.
CS Meat Technology Centre, IRTA, Monells, Girona, Spain
SO Journal of Applied Microbiology (2006), 100(1), 40-49
CODEN: JAMIFK; ISSN: 1364-5072
PB Blackwell Publishing Ltd.
DT Journal
LA English
AB To evaluate the biodiversity of lactobacilli from slightly fermented sausages (chorizo, fuet and salchichon) by mol. typing, while considering their safety aspects. Species-specific PCR, plasmid profiling and randomly amplified polymorphic DNA (RAPD)-PCR were used to characterize 250 lactic acid bacteria (LAB) isolated from 21 low acid Spanish fermented sausages. Lactobacillus sakei was the predominant species (74%) followed by Lactobacillus curvatus (21.2%) and Leuconostoc mesenteroides (4.8%). By plasmid profiling and RAPD-PCR 144 different strains could be differentiated, 112 belonging to Lact. sakei, 23 to Lact. curvatus and 9 to Leuc. mesenteroides. Ion-pair high performance liquid chromatog. was used to detect biogenic amine production Tyramine and phenylethylamine were produced by 14.4 and 12.4% of the isolates, resp., all belonging to the species Lact. curvatus. The production of tyramine was stronger than that of phenylethylamine. Partial sequencing of the tyrosine decarboxylase gene from Lact. curvatus was achieved. A specific PCR assay to detect the Lact. curvatus tyramine-producers was designed. The disk diffusion test was used to detect antibiotic resistance among the isolates. Most isolates displayed resistance to vancomycin and gentamicin. Only four strains were resistant to most of the antibiotics tested. None of the isolates were resistant to erythromycin. Lactobacillus sakei would be the species of choice for further use as starter culture in fermented sausage production Strain typing and characterization of biogenic amine production together with antibiotic susceptibility testing for the selection of starter cultures could help to increase the quality and safety of the products. Species-specific PCR, RAPD and plasmid profiling proved to be efficient at typing LAB at species and strain level. Information on biogenic amine production and transferable antibiotic resistance is important in order to avoid selection of strains with undesirable properties as starter cultures.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(L. sakei, L. curvatus, L. mesenteroides with varied antibiotic resistance seen in slightly fermented sausage, L. curvatus produce biogenic amine with tyrosine decarboxylase gene imply L. sakei use as starter culture)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

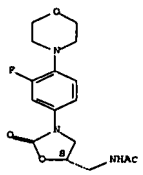


RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 57 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:104985 CAPLUS Full-text
DN 145:266598
TI Antimicrobial resistance of Clostridium difficile isolates in a tertiary medical center, Israel
AU Bishara, Jihad; Bloch, Yoram; Garty, Moshe; Behor, Jaqueline; Samra, Zmira
CS Infectious Diseases Unit, Beilinson Campus, Rabin Medical Center, Petah Tiqva, 49100, Israel
SO Diagnostic Microbiology and Infectious Disease (2006), 54(2), 141-144
CODEN: DMIDDZ; ISSN: 0732-8893
PB Elsevier Inc.
DT Journal
LA English
AB The antimicrobial susceptibilities of 49 Clostridium difficile isolates obtained from patients with C. difficile-associated diarrhea to metronidazole, vancomycin, rifampicin, fusidic acid, doxycycline, and linezolid were determined by the disk diffusion and Etest (Biodisk, Solna, Sweden). Random amplification of polymorphic DNA-PCR amplification assay was performed for studying clonality of isolates. Resistance to metronidazole was found in 2% (1/49 isolates; MIC ≥ 256 μg/mL) of isolates and resistance to linezolid in 2% (1/49 isolates; MIC = 24 μg/mL). One isolate showed combined resistance to fusidic acid (by disk diffusion test) and rifampicin (MIC ≥ 32 μg/mL). All isolates were sensitive to doxycycline and vancomycin. Mol. typing revealed an absence of clonality among the resistant isolates, whereas the sensitive isolates were monoclonal. Resistance of C. difficile to metronidazole and other antimicrobials including linezolid exists in our institution. This

finding should promote exploration of this problem in Israel and clarify the impact of resistance on outcome.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C. difficile isolates from hospitalized patients with Clostridium difficile-associated diarrhea in tertiary medical center, Israel showed varied resistance to metronidazole, vancomycin, rifampicin, fusidic acid, doxycycline, linezolid)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 58 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:78476 CAPLUS Full-text
DN 144:143021
TI Alpha-defensins, particularly human neutrophil proteins (HNPs), as anthrax immunotherapeutics
IN Kim, Chun; Kaufmann, Stefan H. E.; Gajendran, Nadesan
PA Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Germany
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006008162	A1	20060126	WO 2005-EP7967	20050721

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

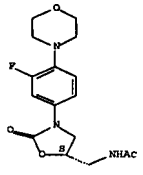
CA 2574477	A1	20060126	CA 2005-2574477	20050721
EP 1786453	A1	20070523	EP 2005-768232	20050721

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRAI EP 2004-17392	A	20040722	
WO 2005-EP7967	W	20050721	

AB The present invention relates to the use of an α-defensin in the manufacture of a medicament for the treatment, amelioration or prevention of a disease caused by Bacillus anthracis infection. In accordance with this invention, it was found that intoxication by Bacillus anthracis can be prevented by neutralization or inactivating toxin activity using defensins, in particular in conjunction with chemotherapy or a vaccine against B. anthracis. Thus, methods for the treatment of an B. anthracis infection as well as methods of protection against a B. anthracis infection, e.g. a vaccination are described. In a preferred embodiment, the α-defensin is one of the human neutrophil peptides (HNP1-4) naturally produced by granulocyte and lymphocyte. Provided are protein and cDNA sequences for HNP1-4, including for preproteins and mature peptides, as well as for mammalian homologs of human α-defensins.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-therapy with; alpha-defensins, particularly human neutrophil proteins (HNPs), as anthrax immunotherapeutics)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 59 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:17302 CAPLUS Full-text
DN 144:170978
TI A process for the preparation of novel intermediates for linezolid and related compounds
IN Mohan Rao, Dodda; Krishna Reddy, Pingili
PA Symed Labs Limited, India
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006008754	A1	20060126	WO 2004-IN218	20040720
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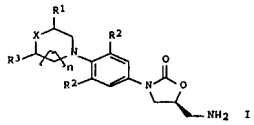
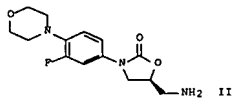
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	EP 1768967	A1	20070404	EP 2004-770673	20040720
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	US 2006247435	A1	20061102	US 2005-524623	20050216
PRAI	WO 2004-IN218	W	20040720		

OS CASREACT 144:170978; MARPAT 144:170978
GI



I



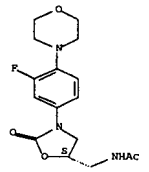
II

AB The invention provides a process for preparation of 5-aminomethyl-substituted oxazolidinones of formula I, key intermediates for oxazolidinone antibacterials including linezolid. Compds. of formula I wherein X is O,S, SO, or SO2; R1 is H, Me, or CN; R2 is independently H, F, or Cl; R3 is H or Me;, n is 0, 1, or 2; and their derivs. are claimed in this invention. Thus, the key intermediate II of linezolid was prepared by reacting N-[3-chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinylaniline with potassium phthalimide then subjecting the resulting N-[3-phthalimido-2-(R)-hydroxypropyl]-3-fluoro-4-(morpholinyl)aniline to carbonylation to give (S)-N-[[3-[3-fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5- oxazolidinyl]methyl]phthalimide,which reacted

with hydrazine hydrate to produce (S)-N-[[3-[3-fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5- oxazolidinyl]methyl]amine (II).

IT 165800-03-3P, N-[[(5S)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(a process for the preparation of novel intermediates for linezolid and related compds.)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

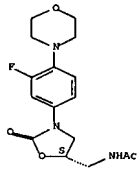
L8 ANSWER 60 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:39988 CAPLUS Full-text
DN 145:285766
TI Polyphasic characterization reveals that the human pathogen Mycobacterium peregrinum type II belongs to the bovine pathogen species Mycobacterium senegalense
AU Wallace, Richard J., Jr.; Brown-Elliott, Barbara A.; Brown, June; Steigerwalt, Arnold G.; Hall, Leslie; Woods, Gail; Cloud, Joann; Mann, Linda; Wilson, Rebecca; Crist, Christopher; Jost, Kenneth C., Jr.; Byrer, Dorothy E.; Tang, Jane; Cooper, Jason; Stamenova, Elena; Campbell, Brian; Wolfe, Joyce; Turenne, Christine
CS Department of Microbiology and Mycobacteria/Nocardia Research Laboratory, The University of Texas Health Center, Tyler, TX, USA
SO Journal of Clinical Microbiology (2005), 43(12), 5925-5935
CODEN: JCMIDW; ISSN: 0095-1137
PB American Society for Microbiology
DT Journal
LA English

AB Mycobacterium peregrinum consists of two taxa: types I and II. We evaluated 43 clin. type II strains from throughout the United States. They were responsible for soft-tissue and bone infections, catheter-related infections, and possible pneumonitis. By carbohydrate utilization, they were indistinguishable from type I strains, being D-mannitol and trehalose pos. However, they had a distinct susceptibility pattern that included intermediate ciprofloxacin MICs but low clarithromycin and doxycycline MICs of ≤1 μg/mL. These features were also shared by reference isolates of Mycobacterium senegalense from African bovine cases of "farcy.". By 16S rRNA gene

sequencing, the type II isolates shared 100% sequence identity with M. senegalense. Partial sequencing of the type II hsp65 gene (441 bp) revealed four sequevars showing ≥98.4% identity with each other and ≥98.6% identity with the sequence of five bovine strains of M. senegalense. There was ≤97.1% identity with M. peregrinum type I isolates and other Mycobacterium fortuitum group species. Sequencing of addnl. gene targets including the 16S-23S rDNA internal transcribed spacer region and the rpoB gene (partial sequence) revealed a similar phylogenetic grouping. DNA-DNA hybridization showed 76 to 99% relatedness between the bovine and human strains. These studies demonstrate that type II isolates are not isolates of M. peregrinum but represent human strains of M. senegalense. This study is the first to demonstrate this species as a human pathogen. Representative human M. senegalense strains include ATCC 35755 and newly submitted strains ATCC BAA-849, ATCC BAA-850, and ATCC BAA-851.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyphasic characterization reveals that the human pathogen Mycobacterium peregrinum type II belongs to the bovine pathogen species Mycobacterium senegalense)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 61 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:32156 CAPLUS Full-text
DN 144:114463
TI Crystalline form IV of linezolid
IN Aronhime, Judith; Koltai, Tamas; Braude, Viviana; Fine, Serguei; Niddam, Tamar
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceutical USA, Inc.
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

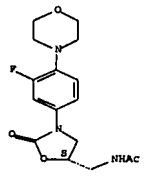
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2006004922	A1	20060112	WO 2005-US23272	20050629
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	CA 2572054	A1	20060112	CA 2005-2572054	20050629
	EP 1658275	A1	20060524	EP 2005-790033	20050629
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
	US 2006111350	A1	20060525	US 2005-171579	20050629
	US 2006142283	A1	20060629	US 2005-171098	20050629
	JP 2007504173	T	20070301	JP 2006-524972	20050629
	IN 2007DN00042	A	20070427	IN 2007-DN42	20070102
PRAI	US 2004-584371P	P	20040629		
	US 2004-584283P	P	20040630		
	US 2004-601086P	P	20040812		
	US 2004-602227P	P	20040817		
	US 2004-633887P	P	20041207		
	US 2005-656646P	P	20050224		
	US 2005-656778P	P	20050224		
	US 2005-678440P	P	20050505		
	US 2005-684410P	P	20050524		
	WO 2005-US23272	W	20050629		

AB The present invention provides a novel crystalline form of linezolid referred to herein as Form IV as well as methods for the preparation and use of Form IV. The present invention provides pharmaceutical compns. that comprise therapeutically effective amts. of Form IV that can be used to treat patients suffering from gram-pos. bacterial infections resistant to vancomycin. Various processes for making crystalline linezolid Form IV are disclosed.

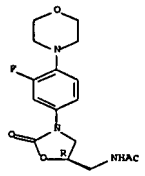
IT 165800-03-3P, Linezolid 872592-20-6P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(crystalline form IV of linezolid)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 872992-20-6 CAPLUS
CN Acetamide, N-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

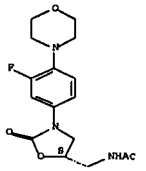


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 62 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:18181 CAPLUS Full-text
DN 145:438575
TI New synthetic method of linezolid
AU Yu, Desheng; Wang, Zhiqian; Xiong, Ying; Zhao, Yanfang; Gong, Ping
CS School of Pharmaceutical Engineering, Shenyang Pharmaceutical University, Shenyang, Liaoning Province, 110016, Peop. Rep. China
SO Zhongguo Yaowu Huaxue Zazhi (2005), 15(2), 89-90, 93
CODEN: ZYHZEF; ISSN: 1005-0108
PB Zhongguo Yaowu Huaxue Zazhi Bianjibu
DT Journal
LA Chinese
OS CASREACT 145:438575
AB A new synthetic method of linezolid was found. Using 3-fluorophenyl isocyanate and (R)-epichlorohydrin as materials, linezolid was synthesized through cyclization, substitution, acylation, bromination, and condensation. Linezolid was successfully synthesized with a total yield of 43.2%. The

advantages of this method was low cost and simple processing compared with the reported yield of 11.3%.
IT 165800-03-3P, Linezolid
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(new synthetic method of linezolid as antibacterial)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



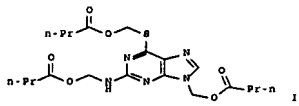
L8 ANSWER 63 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1330524 CAPLUS Full-text
DN 144:69844
TI Novel conjugates of chemotherapeutic agents capable of releasing formaldehyde or formaldehyde analogs and their uses
IN Nudelman, Abraham; Rephaeli, Ada
PA Ramot At Tel Aviv University Ltd., Israel; Bar-Ilan University
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005120577	A2	20051222	WO 2005-IL614	20050609
WO 2005120577	A3	20060831		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2004-577921P P 20040609
OS CASREACT 144:69844

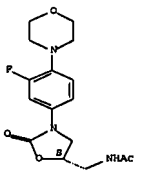
GI



I

AB The invention is related to novel conjugates, e.g. I, comprising a first moiety and a second moiety, wherein the first moiety is a chemotherapeutic agent residue and the second moiety is selected so that the conjugate is capable of releasing at least one formaldehyde mol. and/or a formaldehyde analog upon cleavage, with the proviso that neither of the first moiety and the second moiety comprises a psychotropic drug residue, and further with the proviso that when the chemotherapeutic agent is 5-fluorouracyl, the second moiety is not hydroxyalkyl, acyloxyalkyl, or alkoxycarbonyloxyalkyl. Specifically, the invention is related to the preparation of conjugates of chemotherapeutic agents of formula [R1-Y-C(R2)-X]n-A (II) [n = 1-6; XnA = a chemotherapeutic agent residue; X = a residue of a functional group that forms a part of the chemotherapeutic agent; R2 = H, cyclo/alkyl, aryl; Y = O, S; R1 = H, cyclo/alkyl, aryl, -C(:Z)R3, -P(:Z)(GR3)2, etc.; each Z, G = independently O, S; R3 = H, alkoxy, aryl, NH2 and derivs., carboxyalkyl, etc.], to their pharmaceutical compns. and methods of using them for treating medical conditions such as cancer, immune-mediated diseases (no data), viral infections and diseases (no data), bacterial infections and diseases (no data), fungal infections and diseases (no data), protozoal infections and diseases (no data) etc., and particularly for treating such conditions which are characterized by drug resistance (data only for cancer). Thus, reacting 6-thioguanidine with chloromethyl butyrate gave 21.5% I and 29% butyric acid [[2-[[(butyryloxy)methyl]amino]-9H-purin-6-yl]sulfanyl]methyl ester. The conjugates II are significantly more potent than tegafur, as is reflected in the observed IC90 values in proliferation assays in vitro. Butyroyloxymethylation of pyrimidine-based chemotherapeutic agents such as uracil, tegafur and thalidomide increases their anticancer activity significantly.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chemotherapeutic agent component; preparation of conjugates of chemotherapeutic agents capable of releasing formaldehyde or formaldehyde analogs and their uses)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

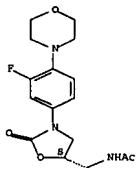


L8 ANSWER 64 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1329503 CAPLUS Full-text
DN 144:74803
TI Coating compositions for bitterness inhibition comprising polymers
IN Menjoge, Anupa R.; Kulkarni, Mohan G.
PA Council of Scientific and Industrial Research, USA
SO U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005281874	A1	20051222	US 2004-871534	20040621
PRAI US 2004-871534		20040621		

AB The present invention discloses coating compns. with taste masking property, comprising a blend of pH sensitive polymers and optionally a pH independent polymer or a blend of the pH sensitive polymer and pH independent polymer used for taste masking of highly bitter drugs. The pH sensitive polymers used comprise the acid soluble polymers and the enteric polymers. The process for the preparation of taste masked pharmaceutical compns. of the bitter drugs comprising the said coating compns. is disclosed. The concomitant use of the polymers inhibits the release of the bitter drug at the pH of saliva. The said coating compns. deliver substantial amount of the bitter drug immediately with improved palatability. An acid soluble or swellable polymer was synthesized from the following monomers: Me methacrylate, hydroxyethyl methacrylate, and vinyl pyridine. A pharmaceutical composition contained zein 0.3 g, Et cellulose 0.33 g, cefuroxime axetil (I) 0.6 g, and above polymer 0.3 g. The amount of I released from the composition after 240 min was 84.22%.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coating compns. for bitterness inhibition comprising polymers)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

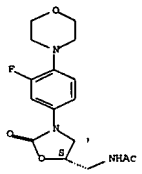
Absolute stereochemistry. Rotation (-).



L8 ANSWER 65 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1323720 CAPLUS Full-text
DN 144:270382
TI Polyclonal emergence and importation of community-acquired methicillin-resistant Staphylococcus aureus strains harbouring Panton-Valentine leucocidin genes in Belgium
AU Denis, O.; Deplano, A.; De Beenhouwer, H.; Hallin, M.; Huysmans, G.; Garrino, M. G.; Glupczynski, Y.; Malaviolle, X.; Vergison, A.; Struelens, M. J.
CS Laboratoire de Reference MRSA--Staphylocoques, Department of Microbiology, Hopital Erasme, Universite Libre de Bruxelles, Brussels, Belg.
SO Journal of Antimicrobial Chemotherapy (2005), 56(6), 1103-1106
CODEN: JACHDX; ISSN: 0305-7453
PB Oxford University Press
DT Journal
LA English
AB Worldwide spread of a limited number of Panton-Valentine leucocidin (PVL)-producing methicillin-resistant Staphylococcus aureus (MRSA) clones was reported in various communities. The objective of this study was to describe the mol. characteristics of the first PVL-pos. MRSA strains isolated in Belgium. Clin. MRSA isolates (n = 41) collected from 2002 to 2004 from Belgian patients were investigated for the PVL gene by PCR. PVL-pos. isolates were genotyped by PFGE, staphylococcal cassette chromosome mec (SCCmec) typing, spa sequence typing, accessory gene regulator (agr) polymorphism and multi-locus sequence typing (MLST). Susceptibility to 14 antimicrobials was determined by the disk diffusion method. Genes encoding resistance to tetracyclines, aminoglycosides and macrolide-lincosamide-streptogramin were determined by PCR. Sixteen isolates carried lukS-lukF genes that encode the PVL toxin. All but one isolate were community-acquired. Three patients reported recent travel to North Africa and South America. They were associated with skin or soft tissue infections, bacteremia, and peritonitis. By mol. typing, they belonged to 5 genotypes: ST80-SCCmec IV, ST8-SCCmec IV, ST30-SCCmec IV, ST153-SCCmec IV, and ST88-SCCmec IV. They belonged to the agr type 3 except for ST8 strains, which showed agr type 1. All isolates were susceptible to fluoroquinolones. Approx. half of them were resistant to tetracycline, fusidic acid, and kanamycin. Tetracycline-resistant strains harbored the tet(K) gene and resistance to kanamycin was associated with the aph(3')-IIIa gene. The single erythromycin-resistant isolate harbored msr(A/B) genes conferring the M resistance phenotype. These results indicate the recent emergence and sporadic importation into Belgium of PVL-pos. community-associated MRSA strains belonging to 5 distinct clones.
IT 165800-03-3, Linezolid

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methicillin-resistant Staphylococcus aureus strains harboring Panton-Valentine leucocidin genes)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



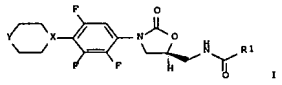
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 66 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1260967 CAPLUS Full-text
DN 144:22912
TI Substituted 2,3,5-trifluorophenyl oxazolidinones for use as antibacterial agents and their preparation, pharmaceutical compositions, and methods of use
IN Barbachyn, Michael Robert; Harris, Christina Renee; Josyula, Vara Prasad Venkata Nagendra
PA Pharmacia & Upjohn Company LLC, USA
SO PCT Int. Appl., 37 pp., which which which
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

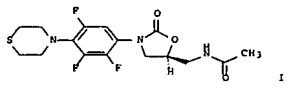
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005113520	A1	20051201	WO 2005-IB1294	20050509
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2004-572738P	P	20040520		

	US 2004-572739P	P	20040520
	US 2004-572799P	P	20040520
	US 2004-572802P	P	20040520

OS CASREACT 144:22912; MARPAT 144:22912
GI



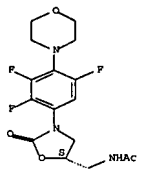
I



II

AB The invention relates to trifluorophenyl oxazolidinones I, and to a process for their synthesis. I are useful antimicrobial agents, effective against a number of human and veterinary pathogens. Claimed compds. include I and their pharmaceutically acceptable salts or prodrugs (wherein: X is CH or N, and Y is O or S(O)n; or X is N, and Y is HOCH2C(O)N; R1 is C1-6 alkyl, O-C1-6-alkyl, or NH-C1-6-alkyl; and n = 0-2). Syntheses of 5 examples are described in detail. For instance, example compound II was prepared in 6 steps. Thus, 2,3,4,5-tetrafluoronitrobenzene reacted with thiomorpholine in MeCN in the presence of DIPEA to give 4-(2,3,6-trifluoro-4-nitrophenyl)thiomorpholine. This nitro compound was reduced to the corresponding amine with SnCl2, followed by conversion to the N-CBZ derivative Treatment of this carbamate with LiOBu-tert and cyclization with (S)-ClCH2CH(OH)CH2NH-Boc, removal of Boc, and N-acetylation, gave II. This compound had MIC90 values of 4 μg/mL against Staphylococcus aureus and 2 μg/mL against Streptococcus pneumoniae. In a test for inhibition of human monoamine oxidase A (side effect), II had a Ki value of 84 μM, and other compds. I had Ki up to 3000 μM. These higher Ki values indicate lower potential for undesirable drug-drug interactions.
IT 870447-54-4P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted (trifluorophenyl)oxazolidinones as antibacterial agents)
RN 870447-54-4 CAPLUS
CN Acetamide, N-[[(5S)-2-oxo-3-(2,3,5-trifluoro-4-(4-morpholinyl)phenyl]-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 67 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1242684 CAPLUS Full-text
DN 143:474231
TI Soluble derivatives of human neutral hyaluronidase and preparation with transgenic cells for use in therapeutic modulation of glycosaminoglycan metabolism
IN Bookbinder, Louis H.; Kundu, Anirban; Frost, Gregory I.; Haller, Michael F.; Keller, Gilbert A.; Dylan, Tyler M.
PA Halozyme, Inc., USA
SO U.S. Pat. Appl. Publ., 121 pp., Cont.-in-part of U.S. Ser. No. 795,095.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005260186	A1	20051124	US 2005-65716	20050223
	US 2004268425	A1	20041230	US 2004-795095	20040305
	US 2006104968	A1	20060518	US 2005-238171	20050927
	AU 2006216545	A1	20060831	AU 2006-216545	20060223
	WO 2006091871	A1	20060831	WO 2006-US6700	20060223
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	IN 2007DN07053	A	20071005	IN 2007-DN7053	20070912
PRAI	US 2003-452360P	P	20030305		
	US 2004-795095	A2	20040305		
	US 2005-65716	A2	20050223		
	US 2005-238171	A	20050927		
	WO 2006-US6700	W	20060223		

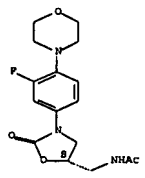
AB The invention relates to the discovery of novel soluble neutral active Hyaluronidase Glycoproteins (sHASEGPs), methods of manufacture, and their use to facilitate administration of other mols. or to alleviate glycosaminoglycan associated pathologies. Minimally active polypeptide domains of the soluble, neutral active sHASEGP domains are described that include asparagine-linked sugar moieties required for a functional neutral active hyaluronidase domain. Included are modified amino-terminal leader peptides that enhance secretion of sHASEGP. The invention further comprises sialated and pegylated forms of a recombinant sHASEGP to enhance stability and serum pharmacokinetics over naturally occurring slaughterhouse enzymes. Further described are suitable formulations of a substantially purified recombinant sHASEGP glycoprotein derived from a eukaryotic cell that generate the proper glycosylation required for its optimal activity.

IT 165800-03-3, Zyvox
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapy using hyaluronidase and; soluble derivs. of human neutral hyaluronidase and preparation with transgenic cells for use in therapeutic modulation of glycosaminoglycan metabolism)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 68 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1241414 CAPLUS Full-text

DN 144:128789

TI Pulvinones as bacterial cell wall biosynthesis inhibitors

AU Antane, Schuyler; Caufield, Craig E.; Hu, William; Keeney, David; Labthavikul, Pornpen; Morris, Koi; Naughton, Shaughnessy M.; Petersen, Peter J.; Rasmussen, Beth A.; Singh, Guy; Yang, Youjun

CS Chemical and Screening Sciences, Medicinal Chemistry, Wyeth Research, Princeton, NJ, 08543, USA

SO Bioorganic & Medicinal Chemistry Letters (2006), 16(1), 176-180
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 144:128789

AB Pulvinones were synthesized (> 180) in arrays and evaluated as inhibitors of early stage cell wall biosynthesis enzymes MurA-MurD. Several pulvinones inhibited Mur enzymes with IC50's in the 1-10 μg/mL range and demonstrated

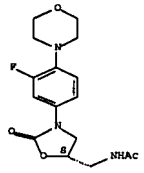
antibacterial activity against Gram-pos. bacteria including methicillin-resistant Staphyloccus aureus, vancomycin-resistant Enterococcus faecalis, and penicillin-resistant Streptococcus pneumoniae.

IT 165800-02-3DP, Linezolid, analogs
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pulvinone derivs. as bacterial cell wall biosynthesis inhibitors)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 69 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1224305 CAPLUS Full-text

DN 143:477961

TI Preparation of annulated pyrazoles as gyrase inhibitors and uses thereof

IN Charifson, Paul S.; Deininger, David D.; Grillot, Anne-Laure; Liao, Yusheng; Ronkin, Steven M.; Stamos, Dean; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph

PA USA

SO U.S. Pat. Appl. Publ., 212 pp., Cont.-in-part of U.S. Ser. No. 971,573.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

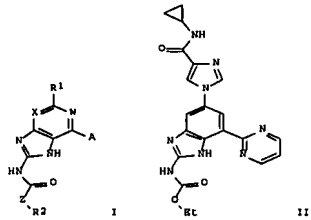
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005256136	A1	20051117	US 2004-986569	20041111
	US 2004235886	A1	20041125	US 2004-767638	20040129
	US 2005038247	A1	20050217	US 2004-901928	20040729
	US 2006025424	A1	20060202	US 2004-971573	20041021
	US 2006122196	A9	20060608		
	WO 2006022773	A1	20060302	WO 2004-US34919	20041021
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2003-443917P P 20030131
US 2004-767638 A2 20040129
US 2004-901928 A2 20040729
US 2004-971573 A2 20041021
WO 2004-US34919 A2 20041021
US 2003-737638 A1 20031215
WO 2004-US2541 A 20040129

OS MARPAT 143:477961

GI



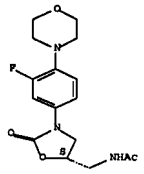
AB Title compds. I [R1 = (un)substituted Ph or heteroaryl; W = N, CH, or CF; X = CH or CF; Z = O or NH; R2 = H or alkyl; Ring A = (un)substituted 5-6 membered heteroaryl] are prepared and disclosed as gyrase inhibitors. Thus, e.g., II was prepared by cyclocondensation of 1-(3-amino-4-nitro-5-pyrimidin- 2-ylphenyl)-1H-imidazole-4-carboxylic acid cyclopropylamide (preparation given) with N,N-diethylcarboxy-2-methyl-2-thiopseudourea (preparation given). In gyrase inhibition assays, selected compds. of the invention possessed Ki values of less than 50 nM. The present invention relates to methods of treating, preventing, or lessening the severity of resistant bacterial infections in mammals. The present invention also relates to methods of using I in combination with one or more addnl. antibacterial agents and/or one or more addnl. therapeutic agents that increase the susceptibility of bacterial organisms to antibiotics.

IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(gyrase inhibitors and uses thereof in combination with one or more addnl. therapeutic agents that increase susceptibility of bacterial organisms to antibiotics)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 70 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1154321 CAPLUS Full-text

DN 143:440395

TI A novel process for the preparation of linezolid and related compounds

IN Mohan, Rao Dodda; Krishna, Reddy Pingili

PA Symed Labs Limited, India; Mohan Rao, Dodda; Krishna Reddy, Pingili

SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2

DT Patent

LA English

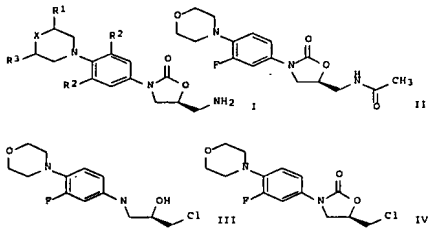
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005099353	A2	20051027	WO 2004-IN105	20040419
	WO 2005099353	A3	20061026		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1737850	A2	20070103	EP 2004-728229	20040419
	EP 1737850	B1	20071003		
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK				
	US 2007032472	A1	20070208	US 2005-524746	20050215

PRAI WO 2004-IN105 W 20040419

OS CASREACT 143:440395; MARPAT 143:440395

GI



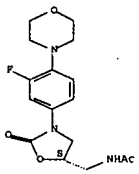
AB The invention provides a novel process for preparation of 5-aminomethyl-substituted oxazolidinones I [X = O, S, SO, SO2; R1 = H, Me, CN; R2 = H, F, Cl; R3 = H, Me; n = 0, 1 2], as well as key intermediates for oxazolidinone antibacterials, including linezolid (II). For instance, II is prepared by: (a) reaction of 3-fluoro-4-(morpholin-4-yl)aniline with (R)-epichlorohydrin; (b) subjecting the resultant N-[3-chloro-2-(R)- hydroxypropyl]-3-fluoro-4-(morpholin-4-yl)aniline (III) to carbonylation; (c) reaction of the thus produced (5R)-5-(chloromethyl)-3-[3-fluoro-4-(4- morpholinyl)phenyl]-2-oxazolidinone (IV) with potassium phthalimide; (d) hydrazinolysis of the obtained (S)-N-[[3-[3-fluoro-4-(4- morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]phthalimide with hydrazine hydrate; and (e) final acetylation of (S)-N-[[3-[3-fluoro-4-(4- morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]amine with acetic anhydride to produce II.

IT 165800-03-3P, Linezolid
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(target compound; process for the preparation of linezolid and related compds.)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 71 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1139495 CAPLUS Full-text

DN 145:141042

TI Molecular epidemiology of Streptococcus bovis causing endocarditis and bacteremia in Italian patients

AU Tripodi, M.-F.; Fortunato, R.; Utili, R.; Triassi, M.; Zarrilli, R.

CS Seconda Divisione di Medicina Interna ed Epatologia, Dipartimento di Gerontologia, Geriatria e Malattie del Metabolismo, Centro di Eccellenza per lo Studio delle Malattie Cardiovascolari, Seconda Universita di Napoli, Naples, Italy

SO Clinical Microbiology and Infection (2005), 11(10), 814-819
CODEN: CMINFM; ISSN: 1198-743X

PB Blackwell Publishing Ltd.

DT Journal

LA English

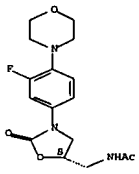
AB Streptococcus bovis is being recognized increasingly as a cause of infective endocarditis, and has also been associated with underlying gastrointestinal malignancy. This study evaluated the mol. epidemiol. of S. bovis isolates responsible for endocarditis or bacteremia in Italian patients between Jan. 1990 and August 2003. S. bovis isolates were classified on the basis of their biochem. profiles, antimicrobial susceptibilities and genotypes. Of 25 isolates studied, 20 were S. bovis I and five were S. bovis II. Seven biochem. profiles were identified. Pulsed-field gel electrophoresis (PFGE) anal. identified 22 profiles that differed by at least two DNA fragments and showed a similarity of <87%. Most PFGE patterns represented single isolates that differed in antimicrobial susceptibility, but three PFGE types were observed, with identical profiles and antibiotypes, in isolates from two different patients. S. bovis I and II isolates grouped into two distinct genetic clusters (I and II) with a similarity coefficient of 38%. Two sub-clusters (Ia and Ib), with a similarity coefficient of 47%, included 17 S. bovis I isolates with similar biochem. profiles (15 with biotype A, and two with biotype B), but different resistance phenotypes. Based on the phenotypic and genotypic heterogeneity of the isolates, it is postulated that the increase in S. bovis endocarditis in this geog. area might have been caused by the selection of sporadic endemic clones from the endogenous intestinal flora.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phenotypic, genotypic heterogeneity among S. bovis isolates)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 72 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1051977 CAPLUS Full-text

DN 145:210924

TI Synthesis of novel oxazolidinone derivatives for antibacterial investigation

AU Cui, Y.; Dang, Y.; Yang, Y.; Ji, R.

CS Shanghai Institute of Materia Medica, Shanghai Institute for Biological Science, Chinese Academy of Sciences, Shanghai, 201203, Peop. Rep. China

SO Current Science (2005), 89(3), 531-534
CODEN: CUSCAM; ISSN: 0011-3891

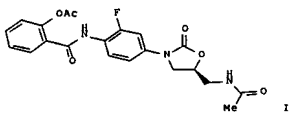
PB Current Science Association

DT Journal

LA English

OS CASREACT 145:210924

GI



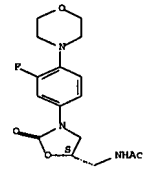
AB A series of oxazolidinones were synthesized and evaluated as antibacterial agents. They were screened in vitro against a panel of Gram-pos. organisms. Compound I was found to exhibit activity comparable to linezolid.

IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and antibacterial activity of sulfonamide- and Schiff base (aryl)oxazolidinone derivs.)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 73 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1004767 CAPLUS Full-text

DN 143:300321

TI Human toll-like receptor 2 (TLR-2) haplotypes predict outcome of patients

IN Russell, James A.; Walley, Keith R.

PA The University of British Columbia, Can.

SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005085274	A1	20050915	WO 2005-CA357	20050304
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005219473	A1	20050915	AU 2005-219473	20050304
	CA 2557571	A1	20050915	CA 2005-2557571	20050304
	EP 1723160	A1	20061122	EP 2005-714598	20050304
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	JP 2007527718	T	20071004	JP 2007-501084	20050304
	IN 2006DN05775	A	20070831	IN 2006-DN5775	20061004
PRAI	US 2004-549560P	P	20040304		
	WO 2005-CA357	W	20050304		

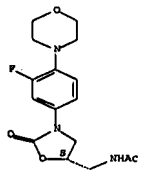
AB The invention provides methods and kits for obtaining a prognosis for a subject having or at risk of developing an inflammatory condition and or a gram pos. infection. The method generally includes determining a toll-like

receptor 2 (TLR-2) risk genotype of a subject for one or more SNPs, comparing the determined genotype with known genotypes for the polymorphism that correspond with the ability of the subject to recover from the inflammatory condition and identifying subjects based on their prognosis. The present invention provides method for genotype determination by RFLP; sequencing; hybridization; oligonucleotide ligation assay; 5' nuclease assay; polymerase proof reading methods; allele specific PCR; MALDI-TOF mass spectroscopy minro-sequencing assay; gene chip hybridization assays and reading sequencing data.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(human toll-like receptor 2 (TLR-2) haplotypes predict outcome of patients)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 74 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:983611 CAPLUS Full-text
DN 143:292527
TI Bioavailability and improved delivery of alkaline pharmaceutical drugs
IN Yu, Ruey J.; Van Scott, Eugene J.
PA USA
SO U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U.S. Ser. No. 792,273.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005196418	A1	20050908	US 2005-50434	20050204
US 2004214215	A1	20041028	US 2004-792273	20040304
WO 2006084174	A2	20060810	WO 2006-US3917	20060206
WO 2006084174	A3	20071004		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,

MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2004-792273 A2 20040304
US 2003-452557P P 20030307
US 2005-50434 A 20050204

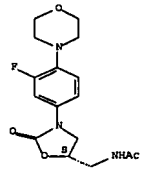
OS MARPAT 143:292527

AB Embodiments of the invention relate to a composition, a process of making the composition, and to the use of the composition The compns. include a mol. complex formed between an alkaline pharmaceutical drug and at least one selected from a hydroxy acid, a polyhydroxy acid, a related acid, a lactone, or combinations thereof. The compns. provide improved bioavailability and improved delivery of the drug into the cutaneous tissues. For example, diphenhydramine hydrochloride 29 g (0.1 mol) was dissolved in water and 5 N sodium hydroxide generating diphenhydramine free base. Gluconolactone 18 g (0.1 mol) was added to form a mol. complex of 0.1 mol diphenhydramine free base with 0.1 mol gluconic acid/gluconolactone. The solution thus obtained was used for various forms of topical formulations including oil-in-water creams, lotions, gels and solns.

IT 165800-03-3, Linezolid
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(bioavailability and improved delivery of alkaline drugs by complexation with acids or lactones)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 75 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:943468 CAPLUS Full-text
DN 144:292722
TI A new and efficient synthetic method and antibacterial activities of oxazolidinone analogs
AU Yu, De Sheng; Huang, Liang; Liang, Hui; Gong, Ping
CS Shenyang Pharmaceutical University, Shenyang, 110016, Peop. Rep. China

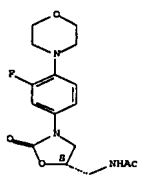
SO Chinese Chemical Letters (2005), 16(7), 875-878
CODEN: CCLEE7; ISSN: 1001-8417
PB Chinese Chemical Society
DT Journal
LA English
OS CASREACT 144:292722

AB A series of novel N-[4-(4-triazinylpiperazin-1-yl)phenyl]oxazolidinones were prepared by a new and efficient synthetic method starting from 3-FC6H4NCO and (R)-epi-chlorhydrin. The compds. exhibited antibacterial activity against Staphylococcus in preliminary studies. These compds. were characterized by LC-MS and 1H NMR.

IT 165800-03-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antibacterial activity of [(triazinylpiperazinyl)phenyl]oxazolidinones)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 76 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:608158 CAPLUS Full-text
DN 143:223422
TI Mutational analysis of 16S and 23S rRNA genes of Thermus thermophilus
AU Gregory, Steven T.; Carr, Jennifer F.; Rodriguez-Correa, Daniel; Dahlberg, Albert E.
CS Department of Molecular Biology, Cell Biology and Biochemistry, Brown University, Providence, RI, 02912, USA
SO Journal of Bacteriology (2005), 187(14), 4804-4812
CODEN: JOBAAY; ISSN: 0021-9193
PB American Society for Microbiology
DT Journal
LA English

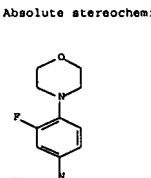
AB Structural studies of the ribosome have benefited greatly from the use of organisms adapted to extreme environments. However, little is known about the mechanisms by which ribosomes or other ribonucleoprotein complexes have adapted to functioning under extreme conditions, and it is unclear to what degree mutant phenotypes of extremophiles will resemble those of their

counterparts adapted to more moderate environments. It is conceivable that phenotypes of mutations affecting thermophilic ribosomes, for instance, will be influenced by structural adaptations specific to a thermophilic existence. This consideration is particularly important when using crystal structures of thermophilic ribosomes to interpret genetic results from non-extremophilic species. To address this issue, we have conducted a survey of spontaneously arising antibiotic-resistant mutants of the extremely thermophilic bacterium Thermus thermophilus, a species which has featured prominently in ribosome structural studies. We have accumulated over 20 single-base substitutions in T. thermophilus 16S and 23S rRNA, in the decoding site and in the peptidyltransferase active site of the ribosome. These mutations produce phenotypes that are largely identical to those of corresponding mutants of mesophilic organisms encompassing a broad phylogenetic range, suggesting that T. thermophilus may be an ideal model system for the study of ribosome structure and function.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(resistance; mutational anal. of 16S and 23S rRNA genes of Thermus thermophilus)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

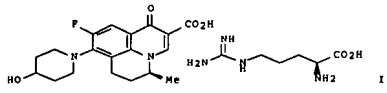
Absolute stereochemistry. Rotation (-).



RE.CNT 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 77 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:601186 CAPLUS Full-text
DN 143:166017
TI A Chiral Benzoquinolizine-2-carboxylic Acid Arginine Salt Active against Vancomycin-Resistant Staphylococcus aureus
AU De Souza, Noel J.; Gupte, Shrikant V.; Deshpande, Prasad K.; Desai, Vijaya N.; Bhawsar, Satish B.; Yeole, Ravindra D.; Shukla, Milind C.; Strahilevitz, Jacob; Hooper, David C.; Bozdogan, Buelent; Appelbaum, Peter C.; Jacobs, Michael R.; Shetty, Nitin; Patel, Mahesh V.; Jha, Rasendrakumar; Khorakiwala, Habil F.
CS Wockhardt Research Centre, Wockhardt Limited, Aurangabad, 431 210, India
SO Journal of Medicinal Chemistry (2005), 48(16), 5232-5242
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal

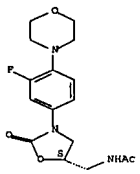
LA English
OS CASREACT 143:166017
GI



I

AB There is an urgent medical need for novel antibacterial agents to treat hospital infections, specially those caused by multidrug-resistant Gram-pos. pathogens. The need may also be fulfilled by either exploring antibacterial agents having new mechanism of action or expanding known classes of antibacterial drugs. The paper describes a new chemical entity, compound (I), derived from hitherto little known "floxacin". The choice of the entity was made from a series of synthesized prodrugs and salts of the active chiral benzoquinolizine carboxylic acid, S-(-)-nadifloxacin. The chemical, physicochem. characteristics, and essential bioprofile of I qualifies it for serious consideration as a novel drug entity against hospital infections of multidrug-resistant Staphylococcus aureus, and its progress up to clin. phase I trials in humans is described.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chiral benzoquinolizine-2-carboxylic acid arginine salt active against vancomycin-resistant Staphylococcus aureus)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

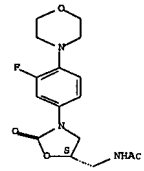


RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 78 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:581513 CAPLUS Full-text
DN 143:224763
TI Orientation of oxazolidinones in the active site of monoamine oxidase
AU Jones, Tadeusz Z. E.; Fleming, Paul; Eyermann, Charles J.; Gravestock, Michael B.; Ramsay, Rona R.
CS Centre for Biomolecular Sciences, University of St. Andrews, St. Andrews, Fife, KY16 9ST, UK
SO Biochemical Pharmacology (2005), 70(3), 407-416
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 143:224763
AB Oxazolidinone inhibitors of monoamine oxidase (MAO) and oxazolidinone antibacterials are two distinct classes of drug, often with linear structures and overlapping activities for some derivs. By synthesizing novel dimerized derivs. with identical substitution of the two C-5 side chains, we have obtained exptl. evidence for the orientation of oxazolidinones in the active site of MAO A. Two types of spectral changes, either increasing the absorbance at 510 nm or decreasing it at 495 nm depending on the group nearest to the flavin cofactor, were seen on ligand binding to MAO A. Side chain derivs. with amine substituents are very poor substrates so that it was possible to examine the spectral change due to binding of a substrate before reduction of the flavin occurred. Binding of these amino derivative substrates to MAO A induced a spectral change characterized by a strong decrease in absorbance at 495 nm. These substrates reduced the enzyme fully without any trace of a semiquinone intermediate. Only oxazolidinone inhibitors with a bromo-imidazole substituent increased the yield of semiquinone intermediate obtained during chemical reduction In accord with the exptl. data, results of docking expts. showed that binding of the oxazolidinone ring in the aromatic cage close to the flavin was favored and that the nitrogen of the derivs. that were substrates was within van der Waals distance of N-5 of the flavin.
IT 165800-03-3
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(orientation of oxazolidinones in active site of monoamine oxidase)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD

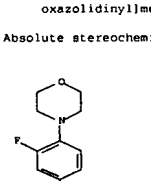
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 79 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:571624 CAPLUS Full-text
DN 144:350572
TI A novel and short convergent approach for N-aryl-5-aminomethyl-2-oxazolidinone derivatives Linezolid and DUP-721
AU Madhusudhan, G.; Reddy, G. Om; Ramanatham, J.; Dubey, P. K.
CS Technology Development Center, Dr Reddys Laboratories Ltd, Hyderabad, 500 049, India
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2005), 44B(6), 1236-1238
CODEN: IJSBDB; ISSN: 0376-4699
PB National Institute of Science Communication and Information Resources
DT Journal
LA English
OS CASREACT 144:350572
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A new convergent and short approach for oxazolidinone class of antibacterial agents, Linezolid (I) and DUP-721 (II), has been achieved by condensing chiral 3-chloro-2-((phenoxycarbonyl)oxy) Pr azide III with aryl amine followed by reductive acetylation. This one pot approach for N-aryl-5-azidomethyl-2-oxazolidinone could provide access for rapid preparation of various oxazolidinone analogs.
IT 165800-03-3P, Linezolid
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective preparation of aryl(aminomethyl)oxazolidinone derivs. via ring opening of chiral (R)-epichlorohydrin with sodium azide followed by condensation with Ph chloroformate, heterocyclization with arylamine and reductive amidation)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

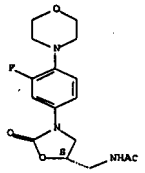
L8 ANSWER 80 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:547534 CAPLUS Full-text
DN 143:83463
TI Taste masked pharmaceutical compositions comprising bitter drug and pH sensitive polymer
IN Kulkarni, Mohan Gopalkrishna; Menjoge, Anupa Ramesh
PA Council of Scientific & Industrial Research, India
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005055987	A1	20050623	WO 2003-IN392	20031215
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2549572	A1	20050623	CA 2003-2549572	20031215
	AU 2003292509	B9	20050629	AU 2003-292509	20031215
	AU 2003292509	A1	20050629		
	AU 2003292509	B2	20070802		
	EP 1694302	A1	20060830	EP 2003-768091	20031215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1878539	A	20061213	CN 2003-80110830	20031215
	JP 2007518670	T	20070712	JP 2005-511660	20031215
PRAI	WO 2003-IN392	W	20031215		

AB The present invention discloses pharmaceutical compns. comprising of pH sensitive polymers used for taste masking highly bitter drugs. The pH sensitive polymer acts as a reverse enteric coating, which is soluble in the acidic pH range 1.0 to 3.0 normally found in the stomach but is insol. in the pH range 3.5 to 7 thus inhibiting the release of the bitter drug at the pH of saliva and also at the pH of reconstitution medium in case of liquid orals. Thus, taste masked microcapsules were obtained by emulsification solvent evaporation technic. Ciprofloxacin (3.50 g) was dispersed in polymer solution containing 900 mg of polymer in 45 mL of mixture of methanol and dichloromethane (1:1). The polymer has the monomer composition Me methacrylate 60%, hydroxyethyl methacrylate 25%, and vinylpyridine 15%. The nonionic surfactant Span 85 was added 0.5% to facilitate the dispersion of ciprofloxacin in the polymer solution The dispersion of ciprofloxacin was added dropwise to the bath of light liquid paraffin under mech. stirring. A constant mech. stirring rate of 1000 rpm and at room temperature was maintained for a 3 to 4 h. Solvent was allowed to evaporate and the microspheres so obtained were separated by filtration, washed by petroleum ether and dried at 27° under vacuum for 24 h. The microcapsules release 86.58%, 91.57% and 96.85% ciprofloxacin in 15 min, 30 min, and 45 min, resp.
IT 165800-03-3, Linezolid
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(taste masked oral compns. comprising bitter drug and pH sensitive

polymer)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



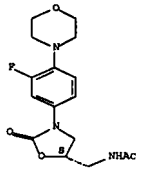
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 81 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:547533 CAPLUS Full-text
DN 143:83462
TI Taste masked pharmaceutical composition comprising pH sensitive polymer
IN Kulkarni, Mohani Gopalkrishna; Menjoge, Anupa Ramesh
PA Council of Scientific & Industrial Research, India
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005055986	A1	20050623	WO 2003-IN391	20031215
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2549539	A1	20050623	CA 2003-2549539	20031215
	AU 2003288707	A1	20050629	AU 2003-288707	20031215
	AU 2003288707	B2	20070802		
	EP 1694303	A1	20060830	EP 2003-780610	20031215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1878540	A	20061213	CN 2003-80110831	20031215
	JP 2007518669	T	20070712	JP 2005-511659	20031215
PRAI	WO 2003-IN391	W	20031215		

AB The present invention discloses a substantially amorphous oral pharmaceutical composition comprising a drug that can exist in a variety of polymorphic forms and a pH sensitive polymer, which inhibits the crystallization of the drug during formulation and reconstitution. Polymers of higher mol. weight are more effective at lower loading, especially when the drug polymer matrix is prepared by the solvent evaporation or solvent extraction technique. The composition used as dry syrups maintain oral bioavailability of the drug and effectively mask the taste of the drug when the composition is reconstituted. Thus, the pH sensitive polymer was synthesized by solution polymerization from hydrophobic monomer Me methacrylate 60%, hydrophilic monomer hydroxyethyl methacrylate 25%, and basic monomer vinylpyridine 15% using an azo initiator, azo bis isobutyronitrile. Polymers having a wide range of mol. weight were synthesized and their utility for taste masking and also for the crystallization inhibition was evaluated by formulating the pharmaceutical compns. using a bitter drug, cefuroxime axetil which exists in the polymorphic form and coating the drug with these pH sensitive polymers. For example, 1.5 g of polymer of mol. weight 63,189 daltons and cefuroxime axetil 3 g were dissolved in 40 mL of acetone containing 10% water. The resulting mixture was poured into a tray and dried at 27° under vacuum for 24 h. The resulting drug polymer matrix was sized to obtain ASTM mesh 40/60 particles.
IT 165800-03-3, Linezolid
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(taste masked composition comprising pH sensitive polymer with enhanced oral
drug bioavailability)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

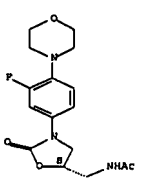
L8 ANSWER 82 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:546887 CAPLUS Full-text
DN 143:65472
TI Taste masked pharmaceutical compositions comprising pH sensitive polymers
IN Kulkarni, Mohan Gopalkrishna; Menjoge, Anupa Ramesh
PA Council of Scientific and Industrial Research, India
SO U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005136115	A1	20050623	US 2003-739528	20031219
	US 7282218	B2	20071016		
PRAI	US 2003-739528		20031219		

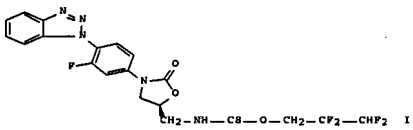
AB The present invention discloses a substantially amorphous pharmaceutical composition comprising a drug that can exist in a variety of polymorphic forms and a pH sensitive polymer, which inhibits the crystallization of the drug during formulation and reconstitution. Polymers of higher mol. weight are more effective at lower loading, especially when the drug polymer matrix is prepared by the solvent evaporation or solvent extraction technique. The compns. used as dry syrups maintain bioavailability of the drug and effectively mask the taste of the drug when the composition is reconstituted. Thus, a polymer from 2-HEMA, Me methacrylate and 4-vinylpyrrolidine was prepared and mixed with cefuroxime axetil.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(taste masked pharmaceutical compns. comprising pH-sensitive polymers)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



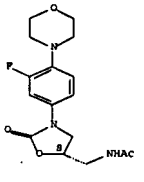
L8 ANSWER 83 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:511362 CAPLUS Full-text
DN 143:172816
TI Synthesis and antibacterial activity of novel (un)substituted benzotriazolyl oxazolidinone derivatives
AU Dixit, Prasad P.; Nair, Prathap S.; Patil, Vijaykumar J.; Jain, Sanjay; Arora, Sudershan K.; Sinha, Neelima
CS Medicinal Chemistry Division, New Chemical Entity Research, Pune, Maharashtra, 411 042, India
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(12), 3002-3005
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 143:172816

GI



AB A series of (un)substituted benzotriazolyl oxazolidinone derivs., e. g. I, has been synthesized and tested for in vitro antibacterial activities by MIC determination against a panel of susceptible and resistant Gram-pos. and Gram-neg. microorganisms, some of which are resistant to methicillin and vancomycin. Several compds. from this series were found to be equipotent or more potent than linezolid in vitro.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and antibacterial activity of (benzotriazolylphenyl)(oxazolidinonylmethyl)thioureas and -thiocarbamates using addition reaction of isothiocyanate analogs, amines and alcs. as the key step)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

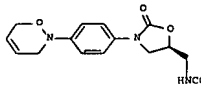
Absolute stereochemistry. Rotation (-).



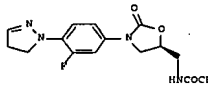
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 84 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:461109 CAPLUS Full-text
DN 143:133311
TI Synthesis and antibacterial activity of dihydro-1,2-oxazine and 2-pyrazoline oxazolidinones: novel analogs of linezolid

AU D'Andrea, Stan; Zheng, Zhizhen Barbara; DenBleyker, Kenneth; Fung-Tomc, Joan C.; Yang, Hyekyung; Clark, Junius; Taylor, Dennis; Bronson, Joanne
CS Pharmaceutical Research Institute, Bristol-Myers Squibb, Discovery Chemistry, Wallingford, CT, 06492, USA
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(11), 2834-2839
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 143:133311
GI



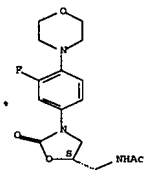
I



II

AB The synthesis and antibacterial activity of oxazolidinones containing dihydro-1,2-oxazine and 2-pyrazoline ring systems are described. Linezolid analogs utilizing dihydro-1,2-oxazines as morpholine mimics were prepared utilizing a nitrosoamine/diene 4+2 cycloaddn. strategy. Pyrazolidine, hexahydropyridazine, and 2-pyrazoline analogs more closely related to eperezolid were also prepared The most active of these new oxazolidinones were the dihydro-1,2-oxazine I and the 2-pyrazoline II, both of which had potency similar to linezolid against a panel of Gram-pos. bacteria.
IT 165800-04-3DP, Linezolid, analogs
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial activity of oxazolidinones containing dihydro-1,2-oxazine and 2-pyrazoline ring systems)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

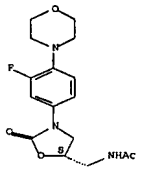


RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 85 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:305935 CAPLUS Full-text
DN 143:282464
TI European surveillance study on the antibiotic susceptibility of Propionibacterium acnes
AU Oprica, C.; Nord, C. E.
CS ESCMID Study Group on Antimicrobial Resistance in Anaerobic Bacteria, Department of Laboratory Medicine, Division of Clinical Bacteriology, and Department of Medicine, Division of Dermatology and Venereology, Karolinska Institutet, Karolinska University Hospital, Stockholm, Swed.
SO Clinical Microbiology and Infection (2005), 11(3), 204-213
CODEN: CMINFM; ISSN: 1198-743X
PB Blackwell Publishing Ltd.
DT Journal
LA English
AB Propionibacterium acnes strains are recovered from infections linked to surgical procedures, foreign bodies and septicemia. This study investigated the antibiotic susceptibility patterns of P. acnes isolates from different systemic infections and determined the genomic diversity among resistant P. acnes isolates with low-frequency restriction anal. of chromosomal DNA by pulsed-field gel electrophoresis (PFGE). In total, 304 P. acnes isolates from 13 labs. in 13 European countries were tested against six antimicrobial agents by the NCCLS reference agar dilution method and the breakpoints recommended by the European Committee on Antimicrobial Susceptibility Testing. Blood isolates were encountered most frequently, followed by those from skin and soft tissue infections, and abdominal infections. Of the isolates examined, 2.6% were resistant to tetracycline, 15.1% to clindamycin, and 17.1% to erythromycin. No resistance was observed to linezolid, benzylpenicillin or vancomycin. There was considerable variation between countries in the proportion of resistant strains, ranging from 83% in Croatia and 60% in Italy to 0% in The Netherlands. Isolates from blood were predominant among the resistant isolates. Seventeen clones and 78 banding patterns were identified among the resistant isolates. It was concluded that antimicrobial resistance has now emerged among P. acnes isolates from systemic infections.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibiotic susceptibility of Propionibacterium acnes and genetic diversity)
RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

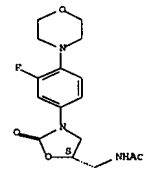
L8 ANSWER 86 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:140552 CAPLUS Full-text
DN 142:233264
TI Ribosome structure and protein synthesis inhibitors
IN Steitz, Thomas A.; Moore, Peter B.; Sutcliffe, Joyce A.; Oyelere, Adegboyega K.; Ippolito, Joseph A.
PA Yale University, USA; Rib-X Pharmaceuticals, Inc.
SO U.S. Pat. Appl. Publ., 121 pp., Cont.-in-part of U.S. Ser. No. 72,634.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005036997	A1	20050217	US 2002-211931	20020802
	US 6947845	B2	20050920		
	US 2002086308	A1	20020704	US 2001-922251	20010803
	US 6947844	B2	20050920		
	US 2003153002	A1	20030814	US 2002-72634	20020208
	US 6952650	B2	20051004		
	US 2005272681	A1	20051208	US 2005-67522	20050225
PRAI	US 2001-922251	A2	20010803		
	US 2002-348731P	P	20020114		
	US 2002-352024P	P	20020125		
	US 2002-72634	A2	20020208		
	US 2000-223977P	P	20000809		
	US 2000-635708	A2	20000809		
	US 2001-306996P	P	20010720		
	US 2001-309281P	P	20010801		
	US 2002-211931	A1	20020802		

AB The invention provides methods for producing high resolution crystals of ribosomes and ribosomal subunits as well as crystals produced by such methods. The invention also provides high resolution structures of ribosomal subunits either alone or in combination with protein synthesis inhibitors. The invention provides methods for identifying ribosome-related ligands and

methods for designing ligands with specific ribosome-binding properties as well as ligands that may act as protein synthesis inhibitors. Thus, the methods and compns. of the invention may be used to produce ligands that are designed to specifically kill or inhibit the growth of any target organism. Protein Data Bank accession nos. for atomic structures are included.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(ribosome structure and protein synthesis inhibitors)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



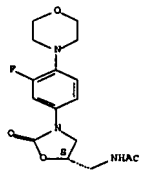
L8 ANSWER 87 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:85221 CAPLUS Full-text
DN 143:183486
TI (S)-N-[[3-(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide intermediates
AU Anon.
CS USA
SO IP.com Journal (2004), 4(7), 37-38 (No. IPCOM000029292D), 22 Jun 2004
CODEN: IJPOBX; ISSN: 1533-0001
PB IP.com, Inc.
DT Journal; Patent
LA English

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IP 29292D		20040622		
PRAI	IP 2004-29292D		20040622		

AB X-ray powder diffraction data from anal. of the azido, hydroxyl, and methanesulfonate derivs. of (R)-N-3-[3-fluoro-4-(4- morpholinyl)phenyl]-2-oxo-5-methyloxazoline is presented. These compds. are of interest as intermediates in the preparation of N-[[(5S)-3-[3-fluoro-4- (4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide.
IT 165800-03-3P
RL: PNU (Preparation, unclassified); PREP (Preparation)
(x-ray powder diffraction anal. of intermediates useful for preparing (S)-N-[fluoro(morpholinyl)phenyl]oxooxazolidinyl]methyl acetamide)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-

oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

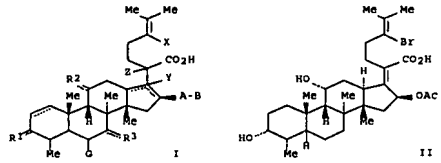


L8 ANSWER 88 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:74123 CAPLUS Full-text
DN 142:156180
TI Preparation and formulation of novel fusidic acid derivatives for the treatment of infections
IN Duvold, Tore; Bretting, Claus Aage Svensgaard; Rasmussen, Poul Rodbroe; Bouerat, Laetitia; Thorhauge, Jacob
PA Leo Pharma A/S, Den.
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005007669	A1	20050127	WO 2004-DK491	20040709
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004256886	A1	20050127	AU 2004-256886	20040709
	CA 2532725	A1	20050127	CA 2004-2532725	20040709
	EP 1658305	A1	20060524	EP 2004-738988	20040709
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	CN 1816560	A	20060809	CN 2004-80019302	20040709
	BR 2004012643	A	20060926	BR 2004-12643	20040709
	JP 2007506675	T	20070322	JP 2006-519769	20040709
	US 2007105826	A1	20070510	US 2005-563103	20051230
	MX 2006PA00423	A	20060405	MX 2006-PA423	20060110
	IN 2006DN00173	A	20070824	IN 2006-DN173	20060110

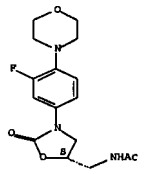
	NO 2006000614	A	20060208	NO 2006-614	20060208
PRAI	DK 2003-1080	A	20030716		
	US 2003-487609P	P	20030717		
	DK 2003-1486	A	20031009		
	WO 2004-DK491	W	20040709		

OS CASREACT 142:156180; MARPAT 142:156180
GI



AB Fusidic acid derivs. of formula I [X = halo, trifluoromethyl, cyano, azido, alkyl, (substituted) aryl, etc.; Y, Z = H; YZ = bond; A = bond, O, S, S(O); B = alkyl, acyl, cycloalkylcarbonyl, benzoyl, etc.; G = H, OH, OAc; R1, R2 = H, O, (substituted) OH, SH, NH, NH2, etc.; R3 = H, O, OH] are prepared for the treatment of infections. Pharmaceutical compns. containing I are described. Thus, 24-bromofusidic acid (II) was prepared, and had MIC value of 0.05 μg/mL against Staphylococcus aureus FDA486.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. containing; preparation of fusidic acid derivs. for the treatment of infections)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



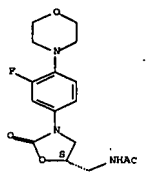
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 89 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:59969 CAPLUS Full-text
DN 142:148822
TI Method for the treatment or prevention of dermatological disorders with a cyclooxygenase-2 inhibitor alone and in combination with a dermatological treatment agent and compositions therewith
IN Pulaski, Steven P.
PA Pharmacia Corporation, USA
SO U.S. Pat. Appl. Publ., 68 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005014729	A1	20050120	US 2004-860307	20040603
	WO 2005009342	A2	20050203	WO 2004-US17530	20040603
	WO 2005009342	A3	20050407		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

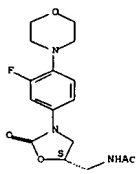
PRAI US 2003-487844P P 20030716
AB A method for preventing or treating dermatol. disorders and dermatol. disorder-related complications in a subject involves a monotherapy with a Cox-2 inhibitor or a combination therapy with a Cox-2 inhibitor and a dermatol. treatment agent. Also described are therapeutic compns. comprising a Cox-2 inhibitor and a dermatol. treatment agent. Pharmaceutical compns. and kits for implementing the present method are also described. The COX-2 inhibitor is celecoxib (preparation given).
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as dermatol. treatment agent; cyclooxygenase-2 inhibitor alone and in combination with dermatol. treatment agents for treatment or prevention of dermatol. disorders)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



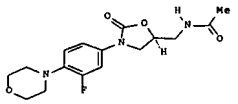
L8 ANSWER 90 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1004182 CAPLUS Full-text
DN 142:16166
TI Determination of linezolid in plasma and bronchoalveolar lavage by high-performance liquid chromatography with ultraviolet detection using a fully automated extraction method
AU Toutain, Jerome; Boselli, Emmanuel; Djabarouti, Sarah; Allaouchiche, Bernard; Xuereb, Fabien; Bernadou, Jean-Marc; Ba, Boubakar; Saux, Marie-Claude; Breilh, Dominique
CS Clinical Pharmacokinetic Department, Victor Segalen Bordeaux 2 University, Bordeaux, 33604, Fr.
SO Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2004), 813(1-2), 145-150
CODEN: JCBAAI; ISSN: 1570-0232
PB Elsevier B.V.
DT Journal
LA English
AB The aim of this study was to develop a specific and sensitive high-performance liquid chromatog. assay for the determination of linezolid in human plasma, and bronchoalveolar lavage. The sample extraction was based on a fully automated solid-phase extraction with an OASIS HLB cartridge. The method used UV detection set at a wavelength of 254 nm and a separation with a Zorbax Eclipse XDB C8 column. The assay has been found linear over the concentration range 0.02-30 μg/mL and 0.04-30 μg/mL for linezolid, resp., in plasma and bronchoalveolar lavage. It provided good validation data for accuracy and precision (CV <4.64% and 5.08%, accuracy in the range 96.93-102.67% and 97.33-105.67%, resp., for intra- and interday). The assay will be applied to determine the penetration of linezolid in human bronchoalveolar lavage during pharmacokinetic steady-state.
IT 165800-03-3, Linezolid
RL: ANT (Analyte); ANST (Analytical study)
(determination of linezolid in plasma and bronchoalveolar lavage by high-performance liquid chromatog. with UV detection using a fully automated extraction method)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 91 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:947491 CAPLUS Full-text
DN 143:326270
TI Modification of the synthetic technology of linezolid
AU Meng, Qingguo; Liu, Jun
CS Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China
SO Zhongguo Yaowu Huaxue Zazhi (2003), 13(1), 28-30
CODEN: ZYHZEF; ISSN: 1005-0108
PB Zhongguo Yaowu Huaxue Zazhi Bianjibu
DT Journal
LA Chinese
OS CASREACT 143:326270
GI

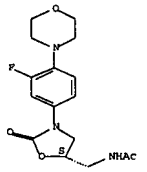


I

AB Linezolid (I) was synthesized through substitution, reduction, acylation, condensation, esterification, substitution, aminolysis and acetylation from 3,4-difluoronitrobenzene. The total yield was 11%, and the result was similar to the literature result without using NaN3. The modified technol. was very convenient to operate with low consumption and complete safety.
IT 165800-03-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of linezolid via amination of difluoronitrobenzene with morpholine followed by reduction acylation with benzyl chloroformate, cyclization with glycidyl butyrate, mesylation, amination with phthalimide, hydrolysis, and acetylation)
RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 92 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:857189 CAPLUS Full-text
DN 141:325791
TI Treatment and prevention of otic disorders with cyclooxygenase 2 (COX-2) inhibitors alone or in combination with otic agents
IN Seibert, Karen
PA Pharmacia Corporation, USA
SO U.S. Pat. Appl. Publ., 60 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

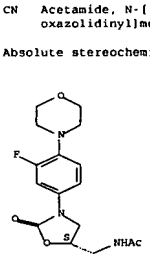
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004204471	A1	20041014	US 2004-772760	20040204
WO 2004093870	A1	20041104	WO 2004-US2990	20040204

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

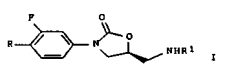
PRAI US 2003-456286P P 20030320
AB A method for preventing or treating otic disorders and otic disorder-related complications in a subject involves a monotherapy with a COX-2 inhibitor or a combination therapy with a COX-2 inhibitor and an otic agent. Also described are therapeutic compns. comprising a COX-2 inhibitor and an otic agent. Pharmaceutical compns. and kits for implementing the method are also described.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclooxygenase 2 inhibitors alone or in combination with otic agents

for treatment and prevention of otic disorders)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 93 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:727763 CAPLUS Full-text
DN 143:59934
TI Synthesis and in vitro antibacterial activities of new 3,5-disubstituted oxazolidinone compounds
AU Meng, Qingguo; Wang, Qi; Liu, Jun
CS Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China
SO Yaoxue Xuebao (2003), 38(10), 754-759
CODEN: YHHPAL; ISSN: 0513-4870
PB Yaoxue Xuebao Bianjibu
DT Journal
LA Chinese
OS CASREACT 143:59934
GI

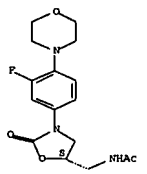


I

AB The new oxazolidinone antibacterial agents were designed and synthesized. Oxazolidinones I (R = 4-morpholinyl, 4-phenyl-1-piperazinyl, 4-(4-methoxyphenyl)-1-piperazinyl, 4-benzyl-1-piperidinyl, 1-piperidinyl; R1 = acetamido, 1,2,4-triazol-4-yl, camphorimido, succinimido) were synthesized based on the SAR reported in the literature and their antibacterial activities in vitro were determined 18 New objective compds. were synthesized, and their structures were determined by IR, 1HNMR, and FAB-MS. Among the 18 new objective compds., 16 compds. showed antibacterial activity in vitro and I (R = 4-phenyl-1-piperazinyl, R1 = acetamido), I (R = 4-(4-methoxyphenyl)-1-

piperazinyl, R1 = acetamido), and I (R = 4-(4-methoxyphenyl)-1-piperazinyl, R1 = camphorimido) showed better antibacterial activities in vitro than ciprofloxacin, sultamicillin, and vancomycin. Compds. I (R = 4-phenyl-1-piperazinyl, R1 = 1,2,4-triazol-4-yl) and I (R = 4-benzyl-1-piperidinyl, R1 = succinimido) had no antibacterial activity in vitro at all.
IT 165800-03-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and in vitro antibacterial activities of new 3,5-disubstituted oxazolidinone compds.)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

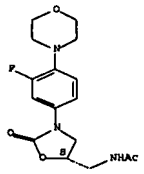
Absolute stereochemistry. Rotation (-).



L8 ANSWER 94 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:710684 CAPLUS Full-text
DN 142:130653
TI Synthesis and antibacterial evaluation of oxazolidin-2-ones structurally related to linezolid
AU Ammazzalorso, Alessandra; Amoroso, Rosa; Bettoni, Giancarlo; Fantacuzzi, Marialuigia; De Filippis, Barbara; Giampietro, Letizia; Maccallini, Cristina; Paludi, Domenico; Tricca, Maria L.
CS Department of Drug Sciences, University of Chieti, Chieti, 66100, Italy
SO Farmaco (2004), 59(9), 685-690
CODEN: FRMCE8; ISSN: 0014-827X
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
AB Compds. structurally related to the antimicrobial drug linezolid were selected in order to evaluate the influence of electron-withdrawing properties and altered geometric features as a result of the N-substituent modification. After a preliminary study of mol. modeling, cinnamoyl-, pyridine- and pyrimidinoxazolidin-2-ones were synthesized. None of the new compds. showed antibacterial activity.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and antibacterial evaluation of oxazolidinones related to linezolid)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-

oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



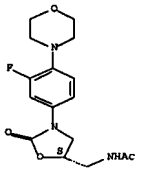
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 95 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:648315 CAPLUS Full-text
DN 141:179622
TI Controlled release pharmaceutical compositions containing polymers
IN Kannan, Muthaiyyan Esakki; Krishnan, Anandi; Sapre, Beena Amol; Shah, Chitra; Patil, Atul
PA Glenmark Pharmaceuticals Ltd., India
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004066910	A2	20040812	WO 2004-IB274	20040126
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	IN 2003MU00132	A	20050204	IN 2003-MU132	20030131
	US 2004185097	A1	20040923	US 2004-762180	20040121
	CA 2493899	A1	20040812	CA 2004-2493899	20040126
	EP 1599190	A2	20051130	EP 2004-705137	20040126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	IN 2005MN00967	A	20070615	IN 2005-MN967	20050831
PRAI	IN 2003-MU132	A	20030131		
	US 2003-517589P	P	20031105		
	WO 2004-IB274	W	20040126		

AB A solid controlled release pharmaceutical composition suitable comprises a drug, a primary release-modifying agent, a secondary release-modifying agent and an auxiliary release-modifying agent, which are present in amts. that synergistically extend the release of the active ingredient. Thus, tablets contained nicotinic acid 500.00, PEG (mol. weight 4,000,000) 170.0, retrograde starch 40.00, lactose monohydrate 30.00, talc 5.00, and Mg stearate 5.00 mg, and water qs.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled release pharmaceutical compns. containing polymers)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

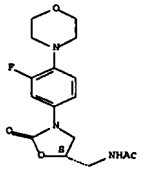
Absolute stereochemistry. Rotation (-).



L8 ANSWER 96 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:634616 CAPLUS Full-text
DN 141:203145
TI Antimicrobial activities of hydrophobic 2-arylbenzofurans and an isoflavone against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus
AU Fukai, Toshio; Oku, Yukio; Hano, Yoshio; Terada, Sumio
CS Department of Biophysical Chemistry, School of Pharmaceutical Sciences, Toho University, Chiba, Japan
SO Planta Medica (2004), 70(7), 685-687
CODEN: PLMEAA; ISSN: 0032-0943
PB Georg Thieme Verlag
DT Journal
LA English
AB Eight 2-arylbenzofurans and an isoflavone isolated from medicinal plants were tested for their antimicrobial activities against vancomycin-resistant enterococci (VRE) and methicillin-resistant Staphylococcus aureus (MRSA). Among these compds., six hydrophobic 2-arylbenzofurans (log P = 4.4-8.7) exhibited considerable antibacterial activity against five VRE strains (VanA-, VanB-, and VanC-phenotypes) (MICs = 3.13-6.25 μg/mL). Five compds. also showed antibacterial activity against ten MRSA strains (MIC90 = 3.13 μg/mL).
IT 165800-03-2, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibiotic activities of hydrophobic arylbenzofurans and gancaonin 1 isoflavone against vancomycin-resistant Enterococcus and

methicillin-resistant Staphylococcus aureus)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

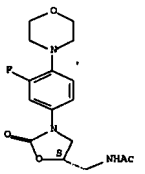
Absolute stereochemistry. Rotation (-).



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 97 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:600744 CAPLUS Full-text
DN 141:277530
TI Oxazolidine-2-thiones: a molecular modeling study
AU Gandhi, Neha; Srivastava, Brijesh K.; Lohray, Vidya B.; Lohray, Braj B.
CS Department of Chemistry, Zydus Research Centre, Cadila Healthcare Ltd, Ahmedabad, Moraiya, 382210, India
SO Tetrahedron Letters (2004), 45(33), 6269-6272
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
OS CASREACT 141:277530
AB Two oxazolidine-2-thiones, thio-analogs of linezolid, were synthesized and their antibacterial properties evaluated. Unlike oxazolidinones, the thio-analogs did not inhibit the growth of Gram pos. bacteria. A mol. modeling study has been carried out to aid understanding of this unexpected finding.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(mol. modeling study of the binding of 23S ribosome with linezolid and thio-analogs)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

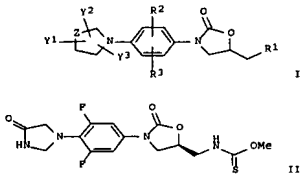
Absolute stereochemistry. Rotation (-).



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 98 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:430626 CAPLUS Full-text
DN 141:7113
TI Preparation of novel heterocyclic compounds having antibacterial activity
IN Selvakumar, Natesan; Das, Jagattaran; Trehan, Sanjay; Iqbal, Javed; Kumar, Magadi Sitaram; Rajagopalan, Ramanujam; Rao, Mamidi Naga Venkata Srinivasa
PA Reddy's Laboratories Limited, India; Reddy's Laboratories Inc.
SO U.S. Pat. Appl. Publ., 100 pp., Cont.-in-part of U.S. Pat. Appl. 2003 65,175.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004102494	A1	20040527	US 2003-613414	20030703
	US 7160912	B2	20070109		
	IN 2000MA01124	A	20050304	IN 2000-MA1124	20001226
	US 2003065175	A1	20030403	US 2001-32392	20011221
	US 7030148	B2	20060418		
	ZA 2003004945	A	20040927	ZA 2003-4945	20030625
	US 2004059120	A1	20040325	US 2003-632950	20030801
	US 7183301	B2	20070227		
	US 2006293315	A1	20061228	US 2006-511756	20060829
	US 2007004712	A1	20070104	US 2006-511799	20060829
PRAI	IN 2000-MA1124	A	20001226		
	IN 2001-MA15	A	20010104		
	US 2001-32392	A2	20011221		
	US 2003-613414	A1	20030703		
OS	MARPAT 141:7113				
GI					



I

II

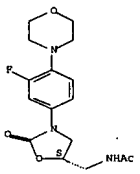
AB The title compds. [I; R1 = NHR4 (wherein R4 = thioacyl, C(S)cycloalkoxy, C(S)aryloxy, etc.); R2, R3 = H, halo, alkyl, etc.; Y1 = O, S; Y2, Y3 = H, halo, CN, etc.; Z = O, S, CH, CH2, (un)substituted NH], useful for inhibiting the growth of bacteria in a subject having a bacterial infection (MIC values given for some of the compds. I), were prepared E.g., a multi-step synthesis of II was given. The pharmaceutical composition comprising the compound I is claimed.

IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drug; preparation of novel 4-(4-oxoimidazol-1-yl)phenyl substituted oxazolidinones for treating bacterial infection in combination with other antibacterial agents)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 99 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:253627 CAPLUS Full-text
DN 141:98750
TI RBx-7644: ranbezolid hydrochloride

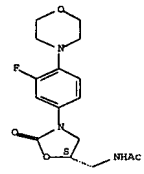
AU Rattan, Ashok
CS Microbiology New Drug Discovery Research, Ranbaxy Research Laboratories, Haryana, 122002, India
SO Drugs of the Future (2003), 28(11), 1070-1077
CODEN: DRFUD4; ISSN: 0377-8282
PB Prous Science
DT Journal; General Review
LA English
AB A review. RBx-7644 (ranbezolid hydrochloride) is an extended-spectrum oxazolidinone that not only retains the excellent activity of linezolid against important Gram-pos. pathogens, but also displays exquisite activity against all anaerobes (Gram-pos. or Gram-neg.) tested and has significant inhibitory activity against slime-producing and glass-adherent bacteria. Like linezolid, RBx-7644 has a novel mode of action, binding to the 50S ribosomal subunit and preventing it from forming a complex with the 30S subunit and initiation factors, resulting in blockade of the initiation of protein biosynthesis in prokaryotes. Due to its novel mode of action, RBx-7644 is active against pathogens that have acquired resistance to existing drugs. RBx-7644 was highly active by both the oral and parenteral routes in mouse models of infection and displayed good oral bioavailability in mice, rats and dogs. In phase I studies, oral RBx-7644 was rapidly absorbed, safe and well tolerated. Its profile suggests potentially expanded indications for use and a reduced likelihood for resistance development.

IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparison; pharmacol. of the antibacterial agent RBx-7644 (ranbezolid hydrochloride))

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 100 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:79119 CAPLUS Full-text
DN 140:230470
TI An approach To enhance specificity against RNA targets using heteroconjugates of aminoglycosides and chloramphenicol (or linezolid)
AU Lee, Jongkook; Kwon, Miyun; Lee, Kyung Hyun; Jeong, Sunjoo; Hyun, Soonsil;

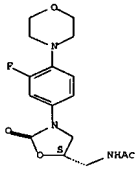
Shin, Kye Jung; Yu, Jaehoon
CS Life Science Division, Korea Institute of Science Technology, Seoul, 130-650, S. Korea
SO Journal of the American Chemical Society (2004), 126(7), 1956-1957
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
AB We describe the design and synthesis of new heterodimeric conjugates, which are comprised of a neomycin B (Neo) stem-binding component and a chloramphenicol (Cam) or linezolid (Lnz) loop-binding component. Some of the heterodimeric conjugates display enhanced affinities to RNA targets and that binding occurs in both stem and loop regions of the RNA. In addition, the results of foot-printing and mutation studies suggest that the enhanced binding affinity of the conjugates is RNA sequence-specific.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(loop-binding component; approach to enhance specificity against RNA targets using heteroconjugates of aminoglycosides and chloramphenicol (or linezolid))

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 101 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:882178 CAPLUS Full-text
DN 140:36871
TI Rapid detection and estimation by pyrosequencing of 23S rRNA genes with a single nucleotide polymorphism conferring linezolid resistance in enterococci
AU Sinclair, Alistair; Arnold, Catherine; Woodford, Neil
CS Antibiotic Resistance Monitoring and Reference Laboratory, Specialist and Reference Microbiology Division, Health Protection Agency-Colindale, London, NW9 5HT, UK
SO Antimicrobial Agents and Chemotherapy (2003), 47(11), 3620-3622
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal

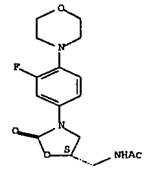
LA English
AB Pyrosequencing was used to detect rapidly and estimate the number of 23S rRNA genes with a G2576T mutation in 43 linezolid-resistant and -susceptible clin. isolates of enterococci. The method showed 100% concordance with PCR-restriction fragment length polymorphism for detecting isolates homozygous for either G2576 or T2576 or heterozygous for this mutation. A good correlation was found between linezolid MICs and the number of 23S rRNA gene copies carrying the mutation.

IT 165800-03-3, Linezolid
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(23S rRNA genes with single nucleotide polymorphism conferring linezolid resistance in Enterococcus)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 102 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:877311 CAPLUS Full-text
DN 140:128315
TI Synthesis and biological evaluation of benzazepine oxazolidinone antibacterials
AU Johnson, Paul D.; Aristoff, Paul A.; Zurenko, Gary E.; Schaadt, Ronda D.; Yagi, Betty H.; Ford, Charles W.; Hamel, Judith C.; Stapert, Douglas; Moerman, Judy K.
CS Discovery-Chemistry, Pharmacia Corporation, Kalamazoo, MI, 49001, USA
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4197-4200
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:128315
AB Novel benzazepine oxazolidinone antibacterials were synthesized and evaluated against relevant susceptible and resistant organisms. The effect of ring nitrogen position and N-substitution on antibacterial activity is examined Compds. thus tested included N-[[(5S)-2-oxo-3-(2,3,4,5-tetrahydro-1H-1-benzazepin-7-yl)-5-oxazolidinyl]methyl]acetamide, N-[[(5S)-3-(1-formyl-2,3,4,5-tetrahydro-1H-1-benzazepin-7-yl)-2-oxo-5-

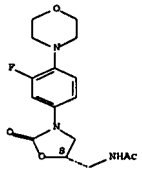
oxazolidinyl]methyl]acetamide, N-[[(5S)-2-oxo-3-[2,3,4,5-tetrahydro-2-(hydroxyacetyl)-1H-2-benzazepin-7-yl]-5-oxazolidinyl]methyl]acetamide, and N-[[(5S)-2-oxo-3-(2,3,4,5-tetrahydro-1H-1-benzazepin-8-yl)-5-oxazolidinyl]methyl]acetamide.

IT 165800-03-3DP, Zyvox, analogs and derivs.
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(linezolid; preparation and antibacterial activity of N-[[oxo(tetrahydrobenzazepinyl)oxazolidinyl]methyl]acetamide derivs.)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

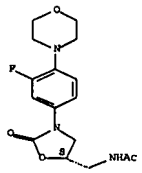
L8 ANSWER 103 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:877310 CAPLUS Full-text
DN 140:111350
TI The synthesis and antibacterial activity of 1,3,4-thiadiazole phenyl oxazolidinone analogues
AU Thomasco, Lisa M.; Gadwood, Robert C.; Weaver, Elizabeth A.; Ochoada, Jason M.; Ford, Charles W.; Zurenko, Gary E.; Hamel, Judith C.; Stapert, Douglas; Moerman, Judy K.; Schaadt, Ronda D.; Yagi, Betty H.
CS Pharmacia Corporation, Discovery-Chemistry and Discovery-Infectious Diseases, Kalamazoo, MI, 49001, USA
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4193-4196
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:111350
AB Replacement of the morpholine C-ring of linezolid with a 1,3,4-thiadiazolyl ring leads to oxazolidinone analogs having potent antibacterial activity against both gram-pos. and gram-neg. organisms. Conversion of the C5 acetamide group to a thioacetamide further increases the potency of these compds. The key intermediate in this synthesis was 4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2- fluorobenzenecarbothioic acid hydrazide. The compds. thus prepared were derivs. of N-[[(5S)-3-[3-fluoro-4-(1,3,4-thiadiazol-2-yl)phenyl]-2-oxo-5- oxazolidinyl]methyl]acetamide.
IT 165800-03-3D, N-[[(5S)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxo-

5-oxazolidinyl]methyl]acetamide, analogs and derivs.
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and antibacterial activity of N-[[[fluoro(thiadiazolyl)phenyl](oxo)oxazolidinyl]methyl]acetamide derivs.)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



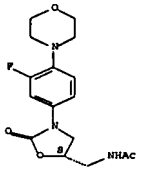
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 104 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:877308 CAPLUS Full-text
DN 140:122097
TI New classes of antibacterial oxazolidinones with C-5, methylene O-Linked heterocyclic side chains
AU Gravestock, Michael B.; Acton, David G.; Betts, Michael J.; Dennis, Michael; Hatter, Glenn; McGregor, Alexandra; Swain, Michael L.; Wilson, R. Geoffrey; Woods, Lisa; Wookey, Alan
CS AstraZeneca R&D Boston, Waltham, MA, 02451, USA
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4179-4186
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:122097
AB Exploration of the structure-activity relationships of the traditional C-5 acetamidomethyl side chain of the oxazolidonone antibacterials has yielded new, potent series of compds. of which the first examples, the O-linked isosoxazoles are described in detail, leading to the selection of the pre-clin. candidate AZD2563.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and structure-activity relationship of antibacterial oxazolidinones with C-5, methylene O-Linked heterocyclic side chains)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



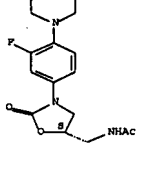
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 105 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:877306 CAPLUS Full-text
DN 140:111312
TI Influence of ethylene-oxy spacer group on the activity of linezolid: Synthesis of potent antibacterials possessing a thiocarbonyl group
AU Selvakumar, N.; Raheem, Mohammed A.; Khera, Manoj Kumar; Rajale, Trideep V.; Kumar, Magadi Sitaram; Kandepu, Sreenivas; Das, Jagattaran; Rajagopalan, R.; Iqbal, Javed; Trehan, Sanjay
CS Anti-infectives Discovery Group, Discovery Research, Dr. Reddy's Laboratories Ltd., Hyderabad, 500 049, India
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4169-4172
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:111312
AB The influence of an ethylene-oxy spacer element between the heterocycle and the aromatic ring in linezolid is reported. The introduction of such spacer group generated compds. with inferior antibacterial activity. However, the conversion of the acetamide group present in the linezolid analogs to either thiocarbamate or thioacetamide functionality restored the activity. The synthesis of linezolid analogs possessing the ethylene-oxy spacer group along with structure-activity relationship (SAR) studies with different heterocycles and preparation of some thiocarbonyl compds. possessing potent antibacterial property are presented.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of thiocarbonyl group-containing heterocycles and effect of ethylene-oxy spacer group on their antibacterial activity)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

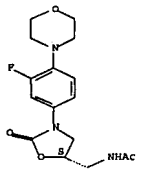


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 106 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:770862 CAPLUS Full-text
DN 140:228506
TI Resistance of gram-positive pathogens to antibiotics is a therapeutic challenge after liver transplantation: clinical experience in one center with linezolid
AU Odakowska-Jedynak, U.; Paczek, L.; Krawczyk, M.; Zieniewicz, K.; Nyckowski, P.; Pawlak, J.; Patkowski, W.; Skwarek, A.; Paczkowska, A.
CS Department of Immunology, Transplant Medicine and Internal Diseases, Medical University of Warsaw, Warsaw, Pol.
SO Transplantation Proceedings (2003), 35(6), 2304-2306
CODEN: TRPPA8; ISSN: 0041-1345
PB Elsevier Science Inc.
DT Journal
LA English
AB Background. Orthotopic liver transplantation has become an established therapeutic option for a large variety of fulminant and chronic liver diseases. Postoperative infections are the major cause of morbidity and the leading cause of mortality. The microbes responsible for these severe infections are predominantly gram-pos. Methods. This article reviews results of linezolid therapy based on the clin. characteristics, microbial features, and outcomes of severe bacterial infections due to known or suspected resistant gram-pos. species in selected liver allograft recipients. Results: Among the 7 patients who received linezolid, methacillin-resistant Staphylococcus aureus was isolated from 3, no pathogen from 2 patients, and serious pulmonary infection in 2 patients, 1 of whom had to be reintubated due to of respiratory failure. Cholangitis observed in 5 of 7 patients was caused by enterococci and staphylococci with septicemia in 1 subject. All patients demonstrated clin. improvement; microbiol. eradication was observed in 4 patients. The majority of reported adverse events were mild or moderate in intensity. No potential drug interactions were observed between linezolid and concomitant medication. Conclusions: In the present study, linezolid proved to be effective and well tolerated. In summary, linezolid may represent an effective and safe antimicrobial agent for the treatment of infections due to susceptible and resistant gram-pos. bacteria after solid organ transplantation.
IT 165800-03-3, Linezolid
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(resistance of gram-pos. pathogens to linezolid antibiotic after liver

transplantation)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 107 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:633448 CAPLUS Full-text
DN 139:185666
TI Coated pharmaceutical tablets with speckled appearance
IN Martino, Alice C.; Noack, Robert M.; Pierman, Steven A.
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003066030	A2	20030814	WO 2003-US3837	20030206
WO 2003066030	A3	20031016		
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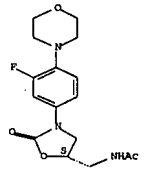
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2474921	A1	20030814	CA 2003-2474921	20030206
AU 2003210930	A1	20030902	AU 2003-210930	20030206
AU 2003210930	B2	20070104		
US 2003180357	A1	20030925	US 2003-359939	20030206
EP 1480624	A2	20041201	EP 2003-737712	20030206
EP 1480624	B1	20061129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

BR 2003007593	A	20050201	BR 2003-7593	20030206
JP 2005517693	T	20050616	JP 2003-565454	20030206
CN 1630512	A	20050622	CN 2003-803580	20030206
NZ 533957	A	20060224	NZ 2003-533957	20030206
RU 2273473	C2	20060410	RU 2004-124065	20030206
AT 346591	T	20061215	AT 2003-737712	20030206
ES 2274248	T3	20070516	ES 2003-3737712	20030206
MX 2004PA06799	A	20041206	MX 2004-PA6799	20040713
ZA 2004005556	A	20050810	ZA 2004-5556	20040713
IN 2004DN02122	A	20071019	IN 2004-DN2122	20040722
NO 2004003716	A	20040906	NO 2004-3716	20040906
HK 1074581	A1	20061020	HK 2005-106918	20050811
PRAI US 2002-355705P	P	20020207		
WO 2003-US3837	W	20030206		

OS MARPAT 139:185666
AB A pharmaceutical tablet is provide comprising a core and a coating adherent thereto, wherein (a) the core comprises solid particles of a water-soluble dye distributed in a matrix and (b) the coating comprises gellan gum. The tablet is suitable for peroral or intraoral administration, for example for delivery of a drug contained in the core of the tablet to a subject. The tablet has a speckled appearance that renders the tablet readily identifiable.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(active ingredients for coated pharmaceutical tablets with speckled appearance)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

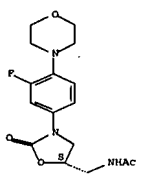
Absolute stereochemistry. Rotation (-).



L8 ANSWER 108 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:582016 CAPLUS Full-text
DN 140:266
TI Effect of linezolid on the phagocytic functions of human polymorphonuclear leukocytes
AU Ballesta, Sofia; Pascual, Alvaro; Garcia, Isabel; Perea, Evelio J.
CS Department of Microbiology, University of Seville School of Medicine, Seville, Spain
SO Chemotherapy (Basel, Switzerland) (2003), 49(4), 163-166
CODEN: CHTHBK; ISSN: 0009-3157
PB S. Karger AG

DT Journal
LA English
AB The effect of linezolid on the phagocytic and bactericidal functions of human polymorphonuclear neutrophils (PMN) against gram-pos. cocci was evaluated. Preincubation (30 min; 37°C) of PMN with different concns. of linezolid (2, 10, and 20 mg/l) did not significantly affect the phagocytosis of either Staphylococcus aureus (methicillin susceptible and resistant) or Enterococcus fecalis (vancomycin susceptible and resistant). Overnight exposure of vancomycin-resistant E. fecalis to 1/4 min. inhibitory concns. (MIC) of linezolid slightly increased phagocytosis by PMN. Preincubation of the other 3 strains with 1/4 MIC of linezolid did not affect phagocytosis by these cells. Preincubation of PMN (30 min; 37°C) using different extracellular concns. of linezolid (2, 10 and 20 mg/l) did not affect their production of either superoxide or hydrogen peroxide radicals. In conclusion, linezolid did not affect the phagocytic and bactericidal functions of human PMN.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(linezolid on phagocytic functions of human polymorphonuclear leukocytes)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

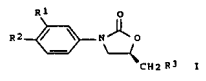


RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 109 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:576097 CAPLUS Full-text
DN 139:85332
TI Preparation of oxazolidone derivatives as antibacterial agents
IN Liu, Jun; Meng, Qingguo; Jin, Jie; Wu, Yanbin
PA Institute of Medical and Biological Technology, Chinese Academy of Medical Sciences, Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 50 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

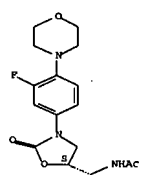
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1355165	A	20020626	CN 2001-144613	20011219
PRAI CN 2001-144613		20011219		

OS CASREACT 139:85332; MARPAT 139:85332
GI



AB Title compds. I (R1 = H, halo, alkyl, or haloalkyl; R2 = morpholinyl, piperidinyl or its derivative, or 4-substituted piperazinyl; R3 = OH, SH, acyloxy, sulfonyloxy, acylamino, diacylimino, pentabasic heterocyclic group or its derivs.; and when R1 = F, R2 or R3 = morpholinyl or acetamido), useful as antibacterial agents against Gram-pos. bacteria, are prepared. For example, (R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-5- (hydroxynethyl)-2-oxazolidinone was converted to mesylate, condensed with potassium phthalimide , and treated with aqueous MeNH2 to give the bactericide linezolid.
IT 165800-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidone derivs. as antibacterial agents)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 110 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:513241 CAPLUS Full-text
DN 139:210667
TI Telithromycin- and fluoroquinolone-resistant Streptococcus pneumoniae in Taiwan with high prevalence of resistance to macrolides and β-lactams: SMART program 2001 data
AU Hsueh, Po-Ren; Teng, Lee-Jene; Wu, Tsu-Lan; Yang, Dine; Huang, Wen-Kuei;

Shyr, Jainn-Ming; Chuang, Yin-Ching; Wan, Jen-Hsien; Yan, Jing-Jou; Lu, Jang-Jih; Wu, Jiunn-Jong; Ko, Wen-Chien; Chang, Feng-Yee; Yang, Yi-Chueh; Lau, Yeu-Jun; Liu, Yung-Ching; Lee, Chun-Ming; Leu, Hsieh-Shong; Liu, Cheng-Yi; Luh, Kwen-Tay

CS Departments of Laboratory Medicine and Internal Medicine, National Taiwan University College of Medicine, National Taiwan University Hospital, Taipei, Taiwan

SO Antimicrobial Agents and Chemotherapy (2003), 47(7), 2145-2151
CODEN: AMACCQ; ISSN: 0066-4804

PB American Society for Microbiology

DT Journal

LA English

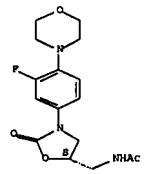
AB There is a high prevalence of β-lactam- and macrolide-resistant Streptococcus pneumoniae in Taiwan. To understand the in vitro susceptibilities of recent isolates of S. pneumoniae to fluoroquinolones and telithromycin (which is not available in Taiwan), the MICs of 23 antimicrobial agents for 936 clin. isolates of S. pneumoniae isolated from different parts of Taiwan from 2000 to 2001 were determined by the agar dilution method. Overall, 72% of isolates were not susceptible to penicillin (with 61% being intermediate and 11% being resistant) and 92% were resistant to erythromycin. Telithromycin MICs were ≥1 μg/mL for 16% of the isolates, and for 99% of these isolates the MICs of all macrolides tested were ≥256 μg/mL, all of these isolates had the constitutive macrolide-lincosamide-streptogramin B phenotype. 8 Y-eight% of the isolates were resistant to 3 or more classes of drugs. The ciprofloxacin MICs were ≥4 μg/mL for 6 (0.6%) isolates from 5 patients collected in 2000 and 2001, and the levofloxacin MICs were ≥8 μg/mL for 5 of these isolates. 7 Isolates for which ciprofloxacin MICs were ≥4 μg/mL, including one isolate recovered in 1999, belonged to 3 serotypes (serotype 19F, 5 isolates; serotype 23A, one isolate; and serotype 23B, one isolate). The isolates from the 6 patients for which ciprofloxacin MICs were ≥4 μg/mL had different pulsed-field gel electrophoresis profiles and random amplified polymorphic DNA patterns, indicating that no clonal dissemination occurred over this time period. Despite the increased rate of fluoroquinolone use, the proportion of pneumococcal isolates for which ciprofloxacin MICs were elevated (≥4 μg/mL) remained low. However, the occurrence of telithromycin resistance is impressive and raises concerns for the future.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(telithromycin- and fluoroquinolone-resistant Streptococcus pneumoniae with high prevalence of resistance to macrolides and β-lactams)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 111 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:509067 CAPLUS Full-text

DN 139:216147

TI The Synthesis of N-Aryl-5(S)-aminomethyl-2-oxazolidinone Antibacterials and Derivatives in One Step from Aryl Carbamates

AU Perrault, William R.; Pearlman, Bruce A.; Godrej, Delara B.; Jeganathan, Azhwarsamy; Yamagata, Koji; Chen, Jiong J.; Lu, Cuong V.; Herrinton, Paul M.; Gadwood, Robert C.; Chan, Lai; Lyster, Mark A.; Maloney, Mark T.; Moeslein, Jeffery A.; Greene, Meredith L.; Barbachyn, Michael R.

CS Early Chemical Process Research and Development, Chemical Process Research and Development, and Medicinal Chemistry Research, Pharmacia Corporation, Kalamazoo, MI, 49001, USA

SO Organic Process Research & Development (2003), 7(4), 533-546
CODEN: OPRDFK; ISSN: 1083-6160

PB American Chemical Society

DT Journal

LA English

OS CASREACT 139:216147

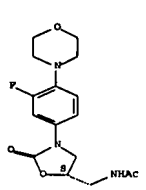
AB Economical methods for the large-scale preparation of N-[(2S)-2-(acetyloxy)-3-chloropropyl]acetamide and tert-Bu [(2S)-3-chloro-2- hydroxypropyl]carbamate from com. available (S)-epichlorohydrin via the common intermediate (2S)-1-amino-3-chloro-2-propanol hydrochloride were developed. General methods for coupling these reagents with N-aryl carbamates to give N-aryl-5(S)-aminomethyl-2-oxazolidinone derivs. in one step were developed. These reagents and procedures have proven widely applicable in the preparation of a diverse array of oxazolidinone analogs in both process and medicinal chemical research.

IT 165800-03-3P, Zyvox
RL: EPR (Engineering process); IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(process development and pilot scale synthesis of N-aryl-5(S)-aminomethyl-2-oxazolidinones and derivs. in one step from aryl carbamates for antibacterial use)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 112 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:396460 CAPLUS Full-text

DN 138:379198

TI Treating infections by administration of oxazolidinones

IN Ford, Charles W.; Watts, Jeffrey L.

PA USA

SO U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003096850	A1	20030522	US 2002-266304	20021008
PRAI US 2001-328665P	P	20011011		

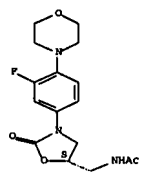
AB A method is disclosed for treating ear infections, soft-tissue infections, acne, or cellulitis in a mammal in need thereof, comprising administration of an oxazolidinone in a pharmaceutical formulation or composition to the skin of the mammal at a site proximal to the site of the infection to deliver a pharmaceutically effective amount of oxazolidinone to the infection to have a concentration of the oxazolidinone at the site of infection of about 0.5-4 μg/mL, provided that the application for administration is not directly to the site of the infection. Preparation of [4(S)-cis]-(-)-N-[(3-(3-Fluoro-4-(tetrahydro-1-oxido-2H-thiopyran-4-y l)phenyl)-2-oxo-5-oxazolidinyl)methyl]acetamide is described.

IT 165800-03-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oxazolidinones for treatment of infections)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 113 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:356028 CAPLUS Full-text

DN 138:350275

TI Crystal structures of ribosome 50S subunit and its complexes with protein synthesis inhibitors and use for homology modeling and rational antibiotic design

IN Steitz, Thomas A.; Moore, Peter B.; Ban, Nenad; Nissen, Poul; Hansen, Jeffrey; Sutcliffe, Joyce A.; Oyelere, Adegboyega K.; Ippolito, Joseph A.

PA Yale University, USA; Rib-X Pharmaceuticals, Inc.

SO Eur. Pat. Appl., 215 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1308457	A1	20030507	EP 2002-255442	20020802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2002086308	A1	20020704	US 2001-922251	20010803
US 6947844	B2	20050920		
EP 1188769	A2	20020320	EP 2001-306825	20010809
EP 1188769	A3	20020710		
EP 1188769	B1	20060524		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
US 2003153002	A1	20030814	US 2002-72634	20020208
US 6952650	B2	20051004		
PRAI US 2001-922251	A	20010803		
EP 2001-306825	A	20010809		
US 2002-348731P	P	20020114		
US 2002-352024P	P	20020125		
US 2002-72634	A	20020208		
US 2000-223977P	P	20000809		
US 2000-635708	A2	20000809		
US 2001-306996P	P	20010720		
US 2001-309281P	P	20010801		

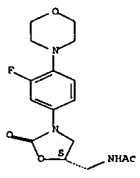
AB The invention provides methods for producing high resolution crystals of ribosomes and ribosomal subunits as well as crystals produced by such methods. The three-dimensional structure of the large 50S ribosomal subunit from Haloarcula marismortui is completely refined at 2.4 Å resolution. The model includes 2876 RNA nucleotides, 2701 amino acids from 28 ribosomal proteins,

117 magnesium ions, 88 monovalent cations, and 7898 water mols. In addition, x-ray diffraction data is used to solve the structure of the large ribosome subunit complexed with each of the following antibiotics: anisomycin, blasticidin, carbomycin A, tylosin, sparsomycin, virginiamycin M, spiramycin, azithromycin, linezolid, or erythromycin. Thus, the invention provides methods for identifying ribosome-related ligands and methods for designing ligands with specific ribosome-binding properties as well as ligands that may act as protein synthesis inhibitors. The methods and compns. of the invention may be used to produce ligands that are designed to specifically kill or inhibit the growth of any target organism. Syntheses are described for production of hybrid antibiotics between sparsomycin and chloramphenicol (two forms of sparsochloramphenicol) and between sparsomycin and anisomycin (sparsoanisomycin).

IT 165800-03-3D, Linezolid, complexes with ribosomal 50S subunit
RL: PRP (Properties)
(crystal structures of ribosome 50S subunit and its complexes with protein synthesis inhibitors and use for homol. modeling and rational antibiotic design)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 114 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:319648 CAPLUS Full-text
DN 138:321575
TI Novel sulfenamide prodrugs of N-H bond-containing compounds
IN Guarino, Victor R.; Karunaratne, Veranja; Stella, Valentino J.
PA University of Kansas, USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003032908	A2	20030424	WO 2002-US32957	20021016
	WO 2003032908	A3	20030710		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

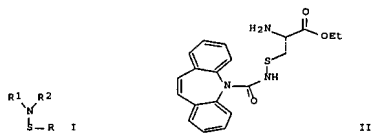
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2461557	A1	20030424	CA 2002-2461557		20021016
AU 2002337861	A1	20030428	AU 2002-337861		20021016
US 2003119814	A1	20030626	US 2002-272330		20021016
EP 1435964	A2	20040714	EP 2002-773763		20021016

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRAI US 2001-329868P P 20011016
WO 2002-US32957 W 20021016
OS MARPAT 138:321575
GI



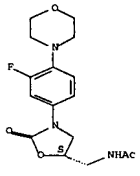
I II

AB The present invention relates to the preparation and use of sulfenamide prodrugs wherein S-containing pro-moieties are attached to pharmaceutical compds. which contain one or more N-H bonds to produce prodrugs containing at least one N-S bond I [wherein R1 and R2 = residues of an N-H bond-containing pharmaceutical compound; R = H, inorg. residue, or optionally functionalized aliphatic, acyl, aryl, or (hetero)cyclyl group with or without addnl. heteroatoms]. These N-S bond-containing prodrugs may have optimized stability, solubility, cell membrane permeability, pharmacokinetic properties, and other pharmaceutical properties over the pharmaceutical compds. from which they are formed, depending upon the nature of the pro-moiety (no data). Reversion of the prodrug to the parent pharmaceutical compound occurs by the reaction of the prodrugs with thiol mols. such as cysteine, glutathione, or any other thiol containing mol. For example, a solution of sulfuryl chloride in CH2Cl2 was added to L-cystine di-Bt ester. Reaction of the resulting sulfenyl chloride (no data) with carbamazepine in the presence of TEA in THF gave the prodrug II.

IT 165800-03-3, Linezolid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sulfenamide prodrugs from N-H bond-containing compds. and S-containing pro-moieties)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

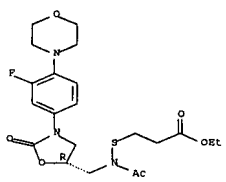
Absolute stereochemistry. Rotation (-).



IT 514815-11-3P 514815-12-4P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prodrug; preparation of sulfenamide prodrugs from N-H bond-containing compds. and S-containing pro-moieties)

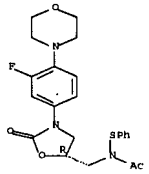
RN 514815-11-3 CAPLUS
CN Propanoic acid, 3-[[acetyl[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]amino]thio]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 514815-12-4 CAPLUS
CN Acetamide, N-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-(phenylthio)- (CA INDEX NAME)

Absolute stereochemistry.

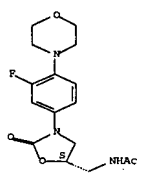


L8 ANSWER 115 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:314060 CAPLUS Full-text
DN 139:214367
TI Synthesis of dihydrooxazole analogues derived from linezolid
AU Einsiedel, Jurgen; Schoerner, Christoph; Gmeiner, Peter
CS Emil Fischer Center, Department of Medicinal Chemistry, Friedrich Alexander University, Erlangen, D-91052, Germany
SO Tetrahedron (2003), 59(19), 3403-3407
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 139:214367
AB Starting from (S)-serine, the linezolid analog with a dihydrooxazole partial structure was synthesized and pharmacol. investigated. With the help of MEP comparisons structural requirements for antibacterial activity were evaluated.

IT 165800-03-3P, Linezolid, analogs
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of dihydrooxazole analogs of linezolid from nitrobenzene and antibacterial activity as related to modeled mol. electrostatic potentials)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 116 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:300892 CAPLUS Full-text
DN 138:297707
TI Treating infections by administration of oxazolidinones to the skin
IN Watts, Jeffrey L.; Ford, Charles W.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

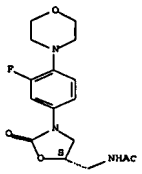
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003030906	A1	20030417	WO 2002-US29843	20021008
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002331878	A1	20030422	AU 2002-331878	20021008
PRAI	US 2001-328665	A	20011011		
	WO 2002-US29843	W	20021008		

AB Disclosed is a method of treating ear infections, soft-tissue infections, acne, or cellulitis in a mammal in need thereof comprising administration of oxazolidinone in a pharmaceutical formulation or composition to the skin of the mammal at a site proximal to the site of the infection to deliver a pharmaceutical-effective amount of oxazolidinone to the infection to have a concentration of the oxazolidinone at the site of infection of about 0.5 to about 4 μg/mL, provided that the application for administration is not directly to the site of the infection.

IT 165800-03-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treating skin and ear infections with oxazolidinones)

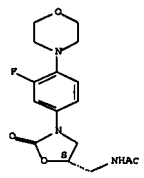
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 118 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:159654 CAPLUS Full-text
DN 138:321170
TI Highly Efficient CuI-Catalyzed Coupling of Aryl Bromides with Oxazolidinones Using Buchwald's Protocol: A Short Route to Linezolid and Toloxatone
AU Mallesham, B.; Rajesh, B. M.; Reddy, P. Rajmohan; Srinivas, D.; Trehan, Sanjay
CS Discovery Research, Dr. Reddy's Laboratories Limited, Hyderabad, 500 050, India
SO Organic Letters (2003), 5(7), 963-965
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 138:321170
GI



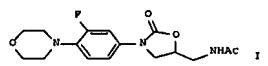
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 117 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:298394 CAPLUS Full-text
DN 139:33169
TI Antimicrobial resistance in recent fecal enterococci from healthy volunteers and food handlers in Spain: Genes and phenotypes
AU Del Campo, R.; Ruiz-Garbajosa, P.; Sanchez-Moreno, M. P.; Baquero, F.; Torres, C.; Canton, R.; Coque, T. M.
CS Servicio de Microbiologia, Hospital Ramon y Cajal, Madrid, Spain
SO Microbial Drug Resistance (Larchmont, NY, United States) (2003), 9(1), 47-60
CODEN: MDREFJ; ISSN: 1076-6294
PB Mary Ann Liebert, Inc.
DT Journal
LA English
AB Susceptibility patterns to 15 different antibiotics and the presence of resistance genes were evaluated in recent fecal Enterococcus isolates recovered from 42 healthy volunteers (HV) and 43 food-handlers (FH). A total of 142 Enterococcus faecalis, 74 Enterococcus faecium, and 23 Enterococcus spp. with different antibiotic susceptibility patterns were studied. A higher percentage of resistance for moxifloxacin, erythromycin, glycopeptides and high-level resistance (HLR) to gentamicin were observed in the FH group. Ampicillin- or linezolid-resistant isolates were not recovered in any of the groups. The tet(M) gene was found in 96% and in 85% of tetracycline-resistant isolates from HV and FH, resp. HLR-kanamycin was mediated by aph(3')-IIIa, or aac(6')-aph(2''), or both genes in all isolates from HV group and in 86% from FH group. The aac(6')-aph(2'') gene was found in all HLR-gentamicin isolates. Ninety-one percent of HV and 71% of FH erythromycin-resistant isolates harbored the erm(B) gene (erythromycin MIC range of 8-128 μg/mL), whereas erm(A), erm(C), or mef(A) genes were not detected. Coexistence of erm(B), aph(3')-IIIa, and tet(M) genes was observed in 17% of the isolates of both groups. The HLR-gentamicin isolates presented unrelated PFGE patterns while 2 out of 3 van A E. faecium isolates showed an indistinguishable SmaI-pulsed-field gel electrophoresis (PFGE) pattern. This study shows that despite 4 yr of official banning of antibiotic growth promoters in animals, enterococci isolated from FH are more resistant than those from HV. This suggests the permanence of resistant clones or transferable resistance elements in farms and a possible exchange between food products and humans, or eventually the long-term permanence of certain clones in the FH intestinal tract.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); FFD (Food or feed use); PAC

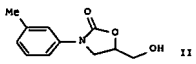
(Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimicrobial resistance and genetic and phenotype anal. of recent fecal enterococci from healthy volunteers and food handlers in Spain)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



I

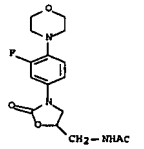


II

AB Aryloxazolidinones, such as linezolid I and toloxatone II, are prepared in 20-99% yields (most in >80% yields) by coupling reactions of substituted aryl bromides with oxazolidinones in the presence of recrystd. copper (I) iodide, trans-1,2-cyclohexanediamine, and potassium carbonate (Buchwald's protocol). E.g., 5-(tetrahydropyranyloxymethyl)-2-oxazolidinone, copper iodide, and potassium carbonate are stirred under argon; trans-1,2-cyclohexanediamine and 4-(4-bromo-2-fluorophenyl)morpholine are added and the mixture is heated at 110° for 15 h to give the coupled oxazolidinone in 85% yield; removal of the THP group, mesylation of the free alc., substitution of the mesylate with sodium azide, and reductive acetylation with thioacetic acid provides I. Com. copper iodide is less effective as a catalyst for the coupling of aryl halides and oxazolidinones than recrystd. copper iodide; the arylation does not proceed when potassium phosphate or cesium carbonate are used as bases.

IT 224323-50-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of linezolid using the copper-catalyzed coupling reaction of an aryl halide and an oxazolidinone as the key step)

RN 224323-50-6 CAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

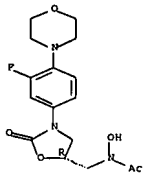


RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 119 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:915641 CAPLUS Full-text
DN 138:268234
TI Synthesis and antibacterial activity of 5-substituted oxazolidinones

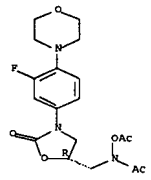
AU Phillips, O. A.; Udo, E. E.; Ali, A. A. M.; Al-Hassawi, N.
CS Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Kuwait University, Safat, 13110, Kuwait
SO Bioorganic & Medicinal Chemistry (2003), 11(1), 35-41
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:268234
AB A series of 5-substituted oxazolidinones with varying substitution at the 5-position of the oxazolidinone ring were synthesized and their in vitro antibacterial activity was evaluated. The compds. demonstrated potent to weak antibacterial activity. A novel compound (PH-027) demonstrated potent antibacterial activity, which is comparable to or better than those of linezolid and vancomycin against antibiotic-susceptible standard and clin. isolated resistant strains of gram-pos. bacteria. Although the presence of the C-5-acetamidomethyl functionality at the C-5 position of the oxazolidinones has been widely claimed and reported as a structural requirement for optimal antimicrobial activity in the oxazolidinone class of compds., our results from this work identified the C-5 triazole substitution as a new structural alternative for potent antibacterial activity in the oxazolidinone class.
IT 503026-34-4P
RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial activity of 5-substituted oxazolidinones)
RN 503026-34-4 CAPLUS
CN Acetamide, N-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



IT 503026-32-3P
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antibacterial activity of 5-substituted oxazolidinones)
RN 503026-33-3 CAPLUS
CN Acetamide, N-(acetyloxy)-N-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

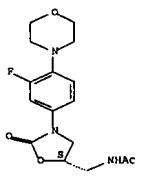
Absolute stereochemistry.



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 120 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:908490 CAPLUS Full-text
DN 138:395484
TI Uptake and intracellular activity of linezolid in human phagocytes and nonphagocytic cells
AU Pascual, Alvaro; Ballesta, Sofia; Garcia, Isabel; Perea, Evelio J.
CS Department of Microbiology, School of Medicine, University of Seville, Seville, 41009, Spain
SO Antimicrobial Agents and Chemotherapy (2002), 46(12), 4013-4015
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB The intracellular penetration and activity of linezolid in human polymorphonuclear leukocytes and tissue-cultured cells (McCoy) were evaluated. Linezolid reached intracellular concns. slightly greater than extracellular ones in both types of cell. The uptake was rapid and not saturable and was affected by environmental temperature and cell viability. Linezolid showed slight intracellular activity against Staphylococcus epidermidis at high extracellular concns.
IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(uptake and intracellular activity of linezolid in human phagocytes and nonphagocytic cells)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

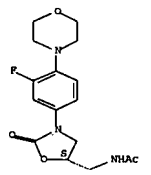
Absolute stereochemistry. Rotation (-).



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 121 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:907204 CAPLUS Full-text
DN 138:249123
TI Detection of oxazolidinone-resistant Enterococcus faecalis and Enterococcus faecium strains by real-time PCR and PCR-restriction fragment length polymorphism analysis
AU Woodford, Neil; Tysall, Luke; Auckland, Cressida; Stockdale, Mark W.; Lawson, Andrew J.; Walker, Rachel A.; Livermore, David M.
CS Antibiotic Resistance Monitoring and Reference Laboratory, London, NW9 5HT, UK
SO Journal of Clinical Microbiology (2002), 40(11), 4298-4300
CODEN: JCMIDW; ISSN: 0095-1137
PB American Society for Microbiology
DT Journal
LA English
AB A real-time PCR assay identified linezolid-resistant Enterococcus faecalis and Enterococcus faecium isolates with a G2576U rDNA mutation. PCR-restriction fragment length polymorphism anal. of ribosomal DNA amplicons with NheI also detected this mutation. Both assays detected isolates heterozygous at this position. Recognition of isolates with what is presently the most frequent oxazolidinone resistance mutation may aid surveillance and individual case management.
IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(resistance to; detection of linezolid-resistant Enterococcus faecalis and E. faecium strains using real-time PCR, PCR-RFLP, and 23S rRNA gene-specific primers/probe)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

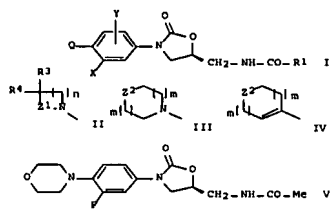


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 122 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:832754 CAPLUS Full-text
DN 137:337876
TI Preparation of pharmaceutically active (S)-2-oxo-5-oxazolidinylmethylacetamides via a one step process from (S)-acetamidoacetoxypropanes and N-aryl-O-alkylcarbamates
IN Perrault, William R.; Pearlman, Bruce A.; Godrej, Delara B.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085849	A2	20021031	WO 2002-US8261	20020415
	WO 2002085849	A3	20030306		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2440600	A1	20021031	CA 2002-2440600	20020415
	AU 2002255803	A1	20021105	AU 2002-255803	20020415
	US 2002169312	A1	20021114	US 2002-122852	20020415
	US 6887995	B2	20050503		
	JP 2005504007	T	20050210	JP 2002-583376	20020415
	NZ 528996	A	20060127	NZ 2002-528996	20020415
	EP 1380121	B1	20070926	EP 2002-725224	20020415
	R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
	AT 374463	T	20071015	AT 2002-725224	20020415
	TW 252229	B	20060401	TW 2002-91108112	20020419
	MX 2003PA09645	A	20040129	MX 2003-PA9645	20031020
	US 2004220407	A1	20041104	US 2004-809125	20040325
	US 7087784	B2	20060808		

PRAI US 2001-285586P P 20010420
US 2002-365581P P 20020319
US 2002-122852 A3 20020415
WO 2002-US8261 W 20020415
OS CASREACT 137:337876; MARPAT 137:337876
GI



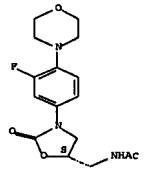
AB Title compds. I [X, Y = H, F; Q = II, III, IV, etc. or Q and X together = dihydropyrrolidine (un)substituted with R5; R1 = CH3 optionally substituted by 1-3 F or Cl atoms; R3 = H, CH3; R4 = H, OH, alkyl, etc.; R5 = COCH3, CHO, COCHCl2, etc.; Z1 = CH2(CH2)p, CH(OH)(CH2)p, CO; Z2 = S, SO, SO2, etc.; m = 0-1; n = 1-3; p= 0-2] were prepd via a one step process from (S)-acetamidoacetoxypropanes and N-aryl-O-alkylcarbamates. For example, to a solution of N-carbobenzoxy-3-fluoro-4-morpholinylaniline (3.125 mmol) in DMF (2.0 mL) and MeOH (6.32 mmol) was added a solution of lithium tert-butoxide in THF (9.39 mmol), while keeping the reaction temperature less than 25 °C with an ice bath. The solution was cooled to 5 °C and (S)-N-[2-(acetyloxy)-3-chloropropyl]acetamide (6.234 mmol) added in one portion. The reaction was allowed to stand for 21 h at 21 °C, then quenched with saturated aqueous NH4Cl (5.0 mL), H2O (30 mL), brine (20 mL) and CH2Cl2 (20 mL). The organic layer was separated, the aqueous phase washed with CH2Cl2 (3 X 20 mL) and the combined CH2Cl2 fractions dried over anhydrous Mg2SO4 and concentrated in vacuo to an oil. The residue was dissolved in xylenes (25 mL), followed by vacuum filtration of the crystalline material to provided oxazolidinylmethylacetamide V in 61.8 % yield. A key-step of the process is the in situ generation of (S)-N- oxiranylmethylacetamide, which is an unstable chiral epoxide that can not be isolated on large scale by the prior art. Approx. 5-specific claimed examples of I and one intermediate were prepared

IT 165800-03-3P 224323-50-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(product; preparation of oxazolidinylmethylacetamides via a one step process
from N-aryl-O-alkylcarbamates and acetamidoacetoxypropanes)

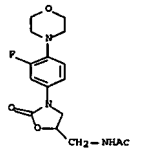
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-

oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 224323-50-6 CAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)



L8 ANSWER 123 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:716061 CAPLUS Full-text
DN 137:237750
TI Composition for rectal delivery of an oxazolidinone antibacterial drug
IN Pena, Lorraine E.; McCurdy, Vincent E.; Clark, Carol S.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002072066	A1	20020919	WO 2002-US3627	20020205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,				

PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2441854	A1	20020919	CA 2002-2441854	20020205
AU 2002258393	A1	20020924	AU 2002-258393	20020205
US 2003008012	A1	20030109	US 2002-72493	20020205
EP 1365739	A1	20031203	EP 2002-728336	20020205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520432	T	20040708	JP 2002-571025	20020205
MX 2003PA06958	A	20031118	MX 2003-PA6958	20030804

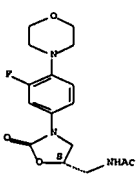
PRAI US 2001-266528P P 20010205
US 2001-285260P P 20010420
WO 2002-US3627 W 20020205
OS MARPAT 137:237750

AB There is provided a pharmaceutical composition suitable for rectal administration, the composition comprising at least 1 oxazolidinone antibacterial drug, e.g., linezolid, in a concentration effective for treatment and/or prophylaxis of a gram-pos. bacterial infection, at least 1 oxazolidinone being in particulate form having a particle size of about 0.5-150 μm, dispersed in a carrier in which the oxazolidinone is poorly soluble The composition is, a suppository, an enema, a microenema or a rectal capsule. Suppositories containing 2.9% linezolid by weight, in a particulate form dispersed in a lipophilic carrier, were prepared by the following procedure. Hard fat (Witepsol H-32 97.123 g) was melted and mixed with 2.877 g linezolid which had been milled to a particle size of 14 μm. The resulting linezolid hard fat mixture was then homogenized at high speed. The homogenized mixture of linezolid and molten hard fat was filled into suppository molds and allowed to cool at room temperature overnight. The resulting solidified suppositories were removed from the molds.

IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition for rectal delivery of oxazolidinone antibacterial drug)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

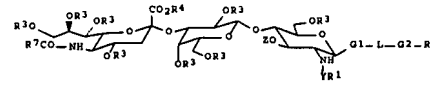


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 124 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:615630 CAPLUS Full-text
DN 137:140730
TI Preparation of linkable sialyl Lewis X analogs as antiinflammatory agents and E-selectin receptors
IN Ranganathan, Ramachandra; Ramalingam, Kondareddiar; Pillai, Radhakrishna; Marinelli, Edmund R.; Swenson, Rolf
PA Bracco International B.V., Neth.
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002062810	A2	20020815	WO 2001-US44590	20011129
WO 2002062810	A3	20030213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2429781	A1	20020815	CA 2001-2429781	20011129
AU 2002251678	A1	20020819	AU 2002-251678	20011129
EP 1337539	A2	20030827	EP 2001-999154	20011129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518704	T	20040624	JP 2002-563162	20011129
US 2004097403	A1	20040520	US 2003-432914	20031117

PRAI US 2000-250238P P 20001129
WO 2001-US44590 W 20011129
OS MARPAT 137:140730
GI



AB Disclosed herein is the preparation of a class of linkable sialooligosaccharides tetrasaccharide compds. I wherein Z is hydrogen, acyl, or sugar residue; Y is C(O), SO2, HNC(O), OC(O), or SC(O); G1 and G2 are each independently C(R9)2, NR10, O, or S; L is alkylidene, cycloalkylidene, arylidene, or vinylidene; R2 is hydrogen, C(O)R8, SO2R8, C(S)R8, COCH3, or 14COCH3; R4 is hydrogen, alkyl, aryl, arylalkyl, substituted aryl, or substituted arylalkyl; R3 and R5 are each independently hydrogen, benzyl, methoxybenzyl, dimethoxybenzyl, acyl; and R1, R7-R10 are each independently

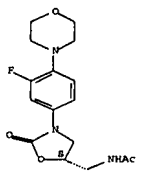
hydrogen, alkyl, aryl, substituted aryl, or a Ph alkenyl group, that includes the amino Ph glycoside of sialyl Lewis X (SLex) and related analogs. These compds. have nucleophilic groups, making them useful in preparing multi-meric SLex compns. In particular, the disclosed SLex compds. can be used to prepare selectin binding ligand conjugates by linking them to a reporter moiety, such as a contrast agent, a radio-diagnostic agent, or a cytotoxic or chemotherapeutic agent. The SLex compds. and conjugates of the invention exhibit binding to selectin that is similar to native Sialyl Lex, and are, therefore, useful for diagnosing and treating selectin-mediated disorders and related conditions.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of linkable sialyl Lewis X analogs as antiinflammatory agents and E-selectin receptors)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 125 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:584150 CAPLUS Full-text

DN 138:260223

TI Stability of oral Zyvox suspension prepared from ground tablets

AU Sugawara, Mitsuru; Ogino, Osamu; Miyazaki, Katsumi

CS Department of Pharmacy, Hokkaido University Hospital, Japan

SO Iryo Yakugaku (2002), 28(3), 256-258
CODEN: IYRAA3

PB Nippon Iryo Yakugakkai

DT Journal

LA Japanese

AB Zyvox (linezolid), an oxazolidinone-class synthetic antibacterial agent, has been approved for the treatment of vancomycin-resistant Enterococcus faecium infections. Although there are three forms of this drug, including injection, tablets and oral suspension, presently available overseas, only injection and tablets have so far been approved in Japan. It is possible to use ground tablets as an oral suspension. However, the quality including the stability of linezolid in such a suspension has not yet been studied. In this study, we therefore, examined the stability of linezolid in a suspension of ground tablets and the characteristics of such a suspension. The solubility of linezolid was low, and approx. 85% of linezolid was suspended in a solid phase. Linezolid was stable in the suspension, and there were no differences

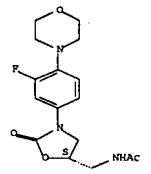
in the pH for up to 24 h. However, precipitation occurred rapidly after the ground tablets had been suspended. The concentration of linezolid in the upper layer of the suspension decreased to half of the initial concentration in 15 min. In conclusion, Zyvox was found to be stable and therefore is considered suitable to be ground and used in an oral suspension. Such suspensions should be re-suspended just before use, especially when the suspension is pre-constituted.

IT 165800-03-3, Zyvox
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stability of oral Zyvox suspension prepared from ground tablets)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 126 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:407970 CAPLUS Full-text

DN 138:49312

TI Drugs, leads, and drug-likeness: an analysis of some recently launched drugs

AU Proudfoot, John R.

CS Department of Medicinal Chemistry, Boehringer Ingelheim Pharmaceuticals Inc., Ridgefield, CT, 06877, USA

SO Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1647-1650
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

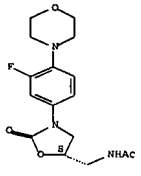
AB An anal. of the origins of recently launched drugs reveals that most were derived by modification of known drug structures or from lead structures obtained from the scientific literature. High-throughput screening did not have a significant impact on the derivation of these drugs. The drug structures are very closely related to their leads.

IT 165800-03-3, Linezolid
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparison anal. of recently launched drugs and leads properties)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 127 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:324951 CAPLUS Full-text

DN 137:345592

TI Novel piperidinyloxy oxazolidinone antibacterial agents. Diversification of the N-Substituent

AU Weidner-Wells, Michele A.; Boggs, Christine M.; Foleno, Barbara D.; Melton, John; Bush, Karen; Goldschmidt, Raul M.; Hlasta, Dennis J.

CS LLC, Antimicrobial Agents Research Team, Johnson and Johnson Pharmaceutical Research and Development, Raritan, NJ, 08869, USA

SO Bioorganic & Medicinal Chemistry (2002), 10(7), 2345-2351
CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 137:345592

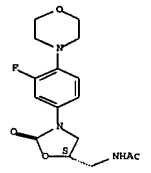
AB Oxazolidinone antibacterial agents, where the morpholino group of linezolid was replaced with an N-substituted piperidinyloxy moiety, were synthesized and shown to be active against a variety of resistant and susceptible Gram-pos. organisms. The functionality attached to the piperidine nitrogen was varied extensively to determine the structure-activity relationship (SAR) for this series. One of the most potent compds., showed in vivo efficacy upon s.c. administration in a Staphylococcus aureus Smith murine systemic infection.

IT 165800-03-3, Linezolid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relationship of novel piperidinyloxy oxazolidinone antibacterial agents)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 128 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:316724 CAPLUS Full-text

DN 137:60121

TI NB2001, a novel antibacterial agent with broad-spectrum activity and enhanced potency against β-Lactamase-producing strains

AU Li, Qing; Lee, Jean Y.; Castillo, Rosario; Hixon, Mark S.; Pujol, Catherine; Doppalapudi, Venkata Ramana; Shepard, H. Michael; Wahl, Geoffrey M.; Lobl, Thomas J.; Chan, Ming Fai

CS NewBiotics, Inc., San Diego, CA, 92121, USA

SO Antimicrobial Agents and Chemotherapy (2002), 46(5), 1262-1268
CODEN: AMACCQ; ISSN: 0066-4804

PB American Society for Microbiology

DT Journal

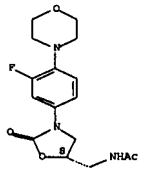
LA English

AB Enzyme-catalyzed therapeutic activation (ECTA) is a novel prodrug strategy to overcome drug resistance resulting from enzyme overexpression. β-Lactamase overexpression is a common mechanism of bacterial resistance to β-lactam antibiotics. We present here the results for one of the β-lactamase ECTA compds., NB2001, which consists of the antibacterial agent triclosan in a prodrug form with a cephalosporin scaffold. Unlike conventional β-lactam antibiotics, where hydrolysis of the β-lactam ring inactivates the antibiotic, hydrolysis of NB2001 by β-lactamase releases triclosan. Evidence supporting the proposed mechanism is as follows. (i) NB2001 is a substrate for TEM-1 β-lactamase, forming triclosan with a second-order rate constant (kcat/Km) of greater than 77,000 M-1 s-1. (ii) Triclosan is detected in NB2001-treated, β-lactamase-producing Escherichia coli but not in E. coli that does not express β-lactamase. (iii) NB2001 activity against β-lactamase-producing E. coli is decreased in the presence of the β-lactamase inhibitor clavulanic acid. NB2001 was similar to or more potent than reference antibiotics against clin. isolates of Staphylococcus aureus (including MRSA), Staphylococcus epidermidis, Streptococcus pneumoniae, vancomycin-resistant Enterococcus faecalis, Moraxella catarrhalis and Haemophilus influenzae. NB2001 is also active against Klebsiella pneumoniae, Enterobacter aerogenes, and Enterobacter cloacae. The results indicate that NB2001 is a potent, broad-spectrum antibacterial agent and demonstrate the potential of ECTA in overcoming β-lactamase-mediated resistance.

IT 165800-03-3, Linezolid
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL

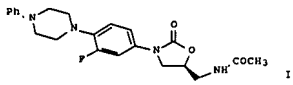
(Biological study); USES (Uses)
(NB2001 antibiotic with broad-spectrum activity and enhanced potency against β-lactamase-producing bacteria compared with antibiotics)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

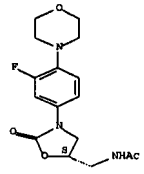
L8 ANSWER 129 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:175757 CAPLUS Full-text
DN 137:279161
TI Synthesis and antibacterial activity of linezolid analogs
AU Du, Yu; Guo, Huiyuan
CS Chinese Academy of Medical Sciences, Peking Union Medical College, Institute of Medicinal, Beijing, 100050, Peop. Rep. China
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(6), 857-859
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 137:279161
GI



AB Several new compds. of oxazolidinone class, e.g. I, were designed and synthesized referring to the structure-activity relationship studies and the synthesis of Linezolid, and their in vitro antibacterial activity was studied.
IT 165800-03-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial activity of linezolid analogs)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



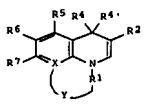
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 130 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:107157 CAPLUS Full-text
DN 136:167388
TI Preparation and use of quinolone and naphthyridine derivatives as inhibitors of cellular efflux pumps of microbes
IN De Souza, Noel J.; Patel, Mahesh V.; Gupta, Shrikant V.; Upadhyay, Dilip J.; Shukla, Milind C.; Chaturvedi, Nishith C.; Bhawsar, Satish B.; Nair, Sheela C.; Jafri, Mohammed A.; Khorakiwala, Habil F.
PA Wockhardt Limited, India
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002009758	A2	20020207	WO 2001-IN139	20010731
WO 2002009758	A3	20021227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6750224	B1	20040615	US 2000-640947	20000817
US 2002165227	A1	20021107	US 2001-850669	20010507
US 6608078	B2	20030819		
WO 2001085728	A2	20011115	WO 2001-IN100	20010508

WO 2001085728	A3	20020912		
W: AL, AM, AU, AZ, BB, BG, CA, CH, CU, CZ, DE, DK, EE, ES, FI, GB, HR, HU, ID, IL, IN, KE, KP, TM, TZ, UA, VN, YU, ZA, RU, TJ				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, AT, BE, CH, CY, DE, DK, FI, FR, GB, GR, IT, LU, BJ, CG, GA, MR, SN, TD, TG				
CA 2417799	A1	20020207	CA 2001-2417799	20010731
AU 200180091	A	20020213	AU 2001-80091	20010731
US 2002177559	A1	20021128	US 2001-919347	20010731
US 7098219	B2	20060829		
EP 1305048	A2	20030502	EP 2001-958373	20010731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2002085886	A2	20021031	WO 2002-IN111	20020424
WO 2002085886	A3	20030522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2003MN00128	A	20060616	IN 2003-MN128	20030127
PRAI US 2000-222201P	P	20000801		
US 2000-640947	A	20000817		
US 2001-286291P	P	20010425		
US 2001-850669	A	20010507		
WO 2001-IN100	A	20010508		
WO 2002-IN111	W	20020424		
US 1999-170676P	P	19991214		
US 2000-202459P	P	20000508		
US 2000-566875	A2	20000508		
WO 2000-IN54	W	20000508		
US 2001-287104P	P	20010427		
WO 2001-IN139	W	20010731		
US 2001-341365P	P	20011213		

OS MARPAT 136:167388
GI



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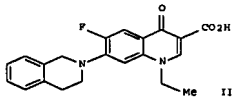
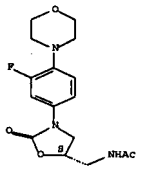


II

AB Title compds. I [R1 = H, (cyclo)alkyl, aryl, aralkyl, arylaminoalkyl, aryloxyalkyl, arylSOO-2 alkyl or when X = C and the nitrogen atom to which R1 is linked forms an (un)substituted 4-7 membered ring with X of the adjacent ring, the ring optionally containing one or more hetero atoms selected from N, O, S, said heteroatom(s) represented by Y; R2 = H, CHO, COOR3, CONHR13, where R13 = H or the NHR13 of CONHR13 is the residue of an amino acid; R3 = H, alkyl, cycloalkyl, aryl, aralkyl, arylaminoalkyl, aryloxyalkyl, arylSOO-2 alkyl, O-carboxy, etc.; R4 = H; R4' = H or R4 and R4' taken together are :O, :S; R5 = H, alkyl, amino, alkylamino, acylamino; R6 = H, alkyl, halo, amino, hydroxy; R7 = OH, halo, NR9R10, etc.; R9-10 = H, alkyl, (CH2)nOA or R9 = H and R10 = 4-7 membered carbocyclic, heterocyclic ring linked to the nitrogen of NR9R10 through an atom of the heterocycle other than the heterocyclic atom, etc.; A = H, alkyl, glycosyl, aralkyl, alkanoyl, aminoalkanoyl wherein the aminoalkanoyl group may be an amino acid residue or A is C6H11O6, SO3H, PO3H2; X = CH, CF, CCl, CCH3, CCF3, COCH3, COCHF2, C-OCF3, N or when X is equal to C it forms together with the nitrogen atom of the adjacent ring an (un)substituted 5-7 membered ring containing carbon atoms and optionally Y atoms representing one or more N, O, S] were prepared For instance, a mixture of 1-ethyl-6,7-difluoro-1,4-dihydro-4-oxoquinolone-3-carboxylic acid and 1,2,3,4-tetrahydroisoquinoline (DMSO, Et3N 140°C, 24 h) provided, after work-up and trituration II as a solid (62% yield), m.p. 220°C. II with ciprofloxacin had a fractional inhibitory concentration (FIC) index of 0.314 observed against S. aureus 1199 B (Nor A+). I are effective at inhibiting efflux pumps, e.g., MefA, MefE, Bmr, PmrA, etc.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and use of quinolone and naphthyridine derivs. as inhibitors of cellular efflux pumps of microbes)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



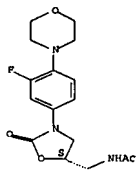
L8 ANSWER 131 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:886164 CAPLUS Full-text
DN 136:11106
TI Cobalamin compounds useful as antibiotic agents and as imaging agents
IN Collins, Douglas A.; Hogenkamp, Henricus P. C.

PA Mayo Foundation for Medical Education and Research, USA; Regents of the University of Minnesota
SO PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001092288	A2	20011206	WO 2001-US17989	20010531
WO 2001092288	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002042394	A1	20020411	US 2001-873164	20010531
PRAI US 2000-208148P	P	20000531		
US 2001-267543P	P	20010209		

OS MARPAT 136:11106
AB The invention provides cobalamin derivs. linked to an antibiotic and/or an imaging agent, as well as pharmaceutical compns. comprising the compds. and methods for using the compds. in treatment or diagnosis of a microbial infection.
IT 165800-02-3D, Zyvox, cobalamin conjugates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cobalamin compds. useful as antibiotic agents and as imaging agents)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

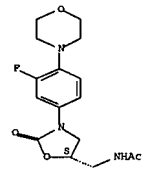
Absolute stereochemistry. Rotation (-).



L8 ANSWER 132 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:772916 CAPLUS Full-text
DN 136:69622
TI Amination Reactions of Aryl Halides with Nitrogen-Containing Reagents Mediated by Palladium/Imidazolium Salt Systems

AU Grasa, Gabriela A.; Viciu, Mihai S.; Huang, Jinkun; Nolan, Steven P.
CS Department of Chemistry, University of New Orleans, New Orleans, LA, 70148, USA
SO Journal of Organic Chemistry (2001), 66(23), 7729-7737
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 136:69622
AB Nucleophilic N-heterocyclic carbenes have been conveniently used as catalyst modifiers in amination reactions involving aryl chlorides, aryl bromides, and aryl iodides with various nitrogen-containing substrates. The scope of a coupling process using a Pd(0) or Pd(II) source and an imidazolium salt in the presence of a base, KOCMe3 or NaOH, was tested using various substrates. The Pd2(dba)3/IPr·HCl [IPr = 1,3-bis(2,6-diisopropylphenyl)imidazol-2-ylidene] system presents the highest activity with respect to electron-neutral and electron-rich aryl chlorides. The ligand is also effective for the synthesis of benzophenone imines, which can be easily converted to the corresponding primary amines by acid hydrolysis. Less reactive indoles were converted to N-aryl-substituted indoles using as supporting ligand the more donating SIPr·HCl [SIPr = 1,3-bis(2,6-diisopropylphenyl)-4,5-dihydroimidazol- 2-ylidene]. The Pd(OAc)2/SIPr·HCl/NaOH system is efficient for the N-arylation of diverse indoles with aryl bromides. The general protocol developed has been applied successfully to the synthesis of a key intermediate in the synthesis of an important new antibiotic. Mechanistically, palladium-to-ligand ratio studies strongly support an active species bearing one nucleophilic carbene ligand.
IT 165800-03-3P, Linezolid
RL: PNU (Preparation, unclassified); PREP (Preparation)
(amination of aryl halides using a palladium-imidazolium salt catalyst)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

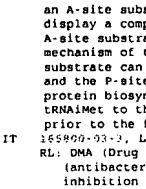


RE.CNT 114 THERE ARE 114 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 133 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:763682 CAPLUS Full-text
DN 136:95615
TI Oxazolidinones mechanism of action: inhibition of the first peptide bond formation

AU Patel, Utpal; Yan, Yong P.; Hobbs, Frank W., Jr.; Kaczmarczyk, Janet; Slee, Andrew M.; Pompliano, David L.; Kurilla, Michael G.; Bobkova, Ekaterina V.
CS DuPont Pharmaceuticals Company, Wilmington, DE, 19880, USA
SO Journal of Biological Chemistry (2001), 276(40), 37199-37205
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB Oxazolidinones are potent inhibitors of bacterial protein biosynthesis. Previous studies have demonstrated that this new class of antimicrobial agent blocks translation by inhibiting initiation complex formation, while post-initiation translation by polysomes and poly(U)-dependent translation is not a target for these compds. We found that oxazolidinones inhibit translation of natural mRNA templates but have no significant effect on poly(A)-dependent translation. Here we show that various oxazolidinones inhibit ribosomal peptidyltransferase activity in the simple reaction of 70 S ribosomes using initiator-tRNA or N-protected CCA-Phe as a P-site substrate and puromycin as an A-site substrate. Steady-state kinetic anal. shows that oxazolidinones display a competitive inhibition pattern with respect to both the P-site and A-site substrates. This is consistent with a rapid equilibrium, ordered mechanism of the peptidyl-transferase reaction, wherein binding of the A-site substrate can occur only after complex formation between peptidyltransferase and the P-site substrate. We propose that oxazolidinones inhibit bacterial protein biosynthesis by interfering with the binding of initiator fMet-tRNAiMet to the ribosomal peptidyltransferase P-site, which is vacant only prior to the formation of the first peptide bond.
IT 165800-03-3, Linezolid
RL: BMA (Drug mechanism of action); BIOL (Biological study)
(antibacterial oxazolidinones mechanism of action in relation to inhibition of the first peptide bond formation)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 134 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:581886 CAPLUS Full-text
DN 135:137512

TI Preparation and characterization of linezolid crystal form II
IN Bergren, Michael S.
PA Pharmacia & Upjohn Co., USA
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001057035	A1	20010809	WO 2001-US657	20010129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2395603	A1	20010809	CA 2001-2395603	20010129
AU 200132755	A	20010814	AU 2001-32755	20010129
AU 782138	B2	20050707		
US 2001051621	A1	20011213	US 2001-772239	20010129
US 6444813	B2	20020903		
BR 2001007667	A	20021008	BR 2001-7667	20010129
EP 1255754	A1	20021113	EP 2001-904805	20010129
EP 1255754	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003522175	T	20030722	JP 2001-557867	20010129
HU 2003001076	A2	20030828	HU 2003-1076	20010129
EE 200200420	A	20031215	EE 2002-420	20010129
NZ 520541	A	20040227	NZ 2001-520541	20010129
AT 297920	T	20050715	AT 2001-904805	20010129
ES 2242728	T3	20051116	ES 2001-1904805	20010129
PT 1255754	T	20051130	PT 2001-904805	20010129
US 6559305	B1	20030506	US 2002-154359	20020523
ZA 2002005162	A	20030929	ZA 2002-5162	20020627
IN 2002MN00871	A	20040313	IN 2002-MN871	20020628
NO 2002003654	A	20020801	NO 2002-3654	20020801
NO 323459	B1	20070514		
MX 2002PA07471	A	20021213	MX 2002-PA7471	20020801
HK 1051196	A1	20060512	HK 2003-103460	20030516
IN 2004MN00623	A	20051118	IN 2004-MN623	20041103
NO 2006005836	A	20020801	NO 2006-5836	20061215
PRAI US 2000-179837P	P	20000202		
US 2001-772239	A3	20010129		
WO 2001-US657	W	20010129		
IN 2002-MN871	A3	20020628		

OS MARPAT 135:137512
GI

I

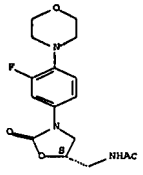
AB Linezolid [I; i.e., (S)-N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide] in crystal form II, characterized by its X-ray diffraction spectrum and IR spectrum, which is useful as an antibacterial agent (no data), is prepared by dissolving the pure I (S) enantiomer in a solvent (e.g., ethanol) at <80° and then separating the crystal form II from the solvent.

IT 165800-03-3, Linezolid
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(preparation and characterization of linezolid crystal form II)

RN 165800-03-3 CAPLUS

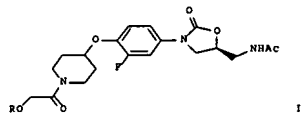
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 135 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:518606 CAPLUS Full-text
DN 135:288719
TI Novel piperidinyloxy oxazolidinone antimicrobial agents
AU Weidner-Wells, M. A.; Boggs, C. M.; Foleno, B. D.; Mira, E.; Bush, K.; Goldschmidt, R. M.; Hlasta, D. J.
CS Drug Discovery, The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1829-1832
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 135:288719
GI



I

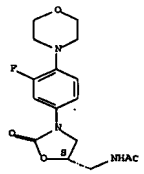
AB Oxazolidinone antibacterial agents, where the N-substituted piperazinyl group of eperezolid was replaced with a N-substituted piperidinyloxy moiety, were synthesized and shown to be active against a variety of resistant and susceptible Gram-pos. organisms. Thus, chiral piperidinyloxy oxazolidinones I (R = PhCH2, H) were prepared via multi-step synthesis. The effect of ring size, positional isomerism, and fluorine substitution on antibacterial activity was examined

IT 165800-03-3D, Linezolid, Linezolid analog
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of antimicrobial piperidinyloxy oxazolidinone linezolid analogs
via multi-step synthesis from hydroxypiperidine)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



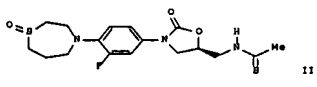
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 136 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:482178 CAPLUS Full-text
DN 135:76881
TI Preparation of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-Jo
PA Pharmacia & Upjohn Company, USA

SO U.S., 93 pp., Cont.-in-part of U.S. 6,218,413.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6255304	B1	20010703	US 1998-200904	19981127
	US 6218413	B1	20010417	US 1998-80751	19980518
	US 6362189	B1	20020326	US 2000-712055	20001114
	US 6342513	B1	20020129	US 2000-713739	20001115
	US 2001041728	A1	20011115	US 2001-822072	20010330
	US 6537986	B2	20030325		
	US 2002016323	A1	20020207	US 2001-822666	20010330
PRAI	US 1997-48342P	P	19970530		
	US 1998-80751	A2	19980518		
	US 1998-200904	A3	19981127		

OS MARPAT 135:76881
GI



II

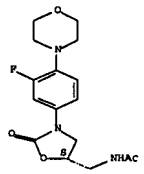
AB RZZ1CH2NHCSR1 [I; R = e.g., N-attached-(oxo)thiaazacycloalkyl; R1 = H, (alkyl)amino, alkyl, alkoxy, etc.; Z = e.g., fluorophenylene; Z1 = e.g., 2-oxooxazolidine-3,5-diyl] were prepared Thus, 1,4-hexahydrothiazepine was N-arylated by 3,4-F2C6H3NO2 and the reduced and N-protected product cyclocondensed with (R)-glycidyl butyrate to give, in 4 addnl. steps, title compound II. Data for biol. activity of I were given.

IT 165800-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 137 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:453092 CAPLUS Full-text
DN 135:61555
TI Preparation of lipopeptides as antibacterial agents
IN Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan
PA Cubist Pharmaceuticals, Inc., USA; et al.
SO PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

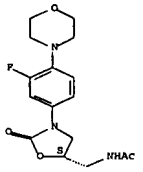
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044274	A1	20010621	WO 2000-US34205	20001215
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2394350	A1	20010621	CA 2000-2394350	20001215
	BR 2000016467	A	20020827	BR 2000-16467	20001215
	EP 1246838	A1	20021009	EP 2000-991867	20001215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003517480	T	20030527	JP 2001-544763	20001215
	US 2004067878	A1	20040408	US 2000-737908	20001215
	IN 2000CA00688	A	20050311	IN 2000-CA688	20001215
	AU 784812	B2	20060629	AU 2001-36357	20001215
	NO 2002002887	A	20020812	NO 2002-2887	20020617
	MX 2002PA06030	A	20040823	MX 2002-PA6030	20020617
	ZA 2002005108	A	20031117	ZA 2002-5108	20020625
PRAI	US 1999-170946P	P	19991215		
	US 2000-208222P	P	20000530		
	WO 2000-US34205	W	20001215		

OS MARPAT 135:61555
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Lipopeptides I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or heteroaryl ring; R1 is defined similarly to R (with provisos); R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group) were prepared for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxyborohydride in dry DMF for 24 h afforded I [R = NHCO(CH2)8Me, R1 = NHCH2C6H4F-4, R2 = CH2COC6H4NH2-o], which showed MIC (S. Aureus) ≤ 1 μg/mL.
IT 165800-02-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of lipopeptides as antibacterial agents)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 138 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:453090 CAPLUS Full-text
DN 135:61554
TI Preparation of novel lipopeptides as antibacterial agents
IN Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova,

Tsvetelina; Watson, Alan D.; Zhang, Yan
PA Cubist Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044272	A2	20010621	WO 2000-US34118	20001215
	WO 2001044272	A3	20011129		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2393907	A1	20010621	CA 2000-2393907	20001215
	US 2002025924	A1	20020228	US 2000-738742	20001215
	US 6911525	B2	20050628		
	EP 1240181	A2	20020918	EP 2000-986444	20001215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2000017026	A	20030107	BR 2000-17026	20001215
	JP 2003517004	T	20030520	JP 2001-544761	20001215
	IN 2000CA00687	A	20050311	IN 2000-CA687	20001215
	AU 784755	B2	20060608	AU 2001-22682	20001215
	NO 2002002888	A	20020802	NO 2002-2888	20020617
	MX 2002PA06029	A	20040823	MX 2002-PA6029	20020617
	ZA 2002005106	A	20030925	ZA 2002-5106	20020625
	US 2005203006	A1	20050915	US 2005-121851	20050504
PRAI	US 1999-170943P	P	19991215		
	US 2000-738742	A3	20001215		
	WO 2000-US34118	W	20001215		

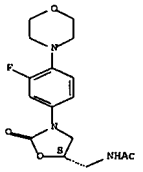
OS MARPAT 135:61554
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Lipopeptides I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl (with provisos); R1 is defined similarly to R; R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, daptomycin was Boc-protected, deacylated using deacylase enzyme, and reacted with octyl isocyanate to give I [R = NHCONH(CH2)7Me, R1 = NH2, R2 = CH2COC6H4NH2-o], which showed MIC (S. Aureus) > 1 ≤ 10 μg/mL mg/kg.

IT 165800-02-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of novel lipopeptides as antibacterial agents)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 139 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:453089 CAPLUS Full-text
DN 135:61553
TI Preparation of novel lipopeptides as antibacterial agents
IN Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan
PA Cubist Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044271	A2	20010621	WO 2000-US34051	20001215
	WO 2001044271	A3	20020307		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2394313	A1	20010621	CA 2000-2394313	20001215
	US 2002058785	A1	20020516	US 2000-739535	20001215
	US 6794490	B2	20040921		
	EP 1240182	A2	20020918	EP 2000-991409	20001215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2000017028	A	20030107	BR 2000-17028	20001215

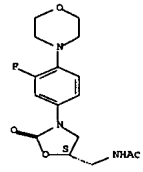
	JP 2003517003	T	20030520	JP 2001-544760	20001215
	IN 2000CA00689	A	20050311	IN 2000-CA689	20001215
	AU 784942	B2	20060803	AU 2001-32640	20001215
	NO 2002002886	A	20020802	NO 2002-2886	20020617
	MX 2002PA06028	A	20040823	MX 2002-PA6028	20020617
	ZA 2002005113	A	20030925	ZA 2002-5113	20020625
PRAI	US 1999-170945P	P	19991215		
	WO 2000-US34051	W	20001215		

OS MARPAT 135:61553
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Lipopeptides I [R and R1 are -N(B)(X)n-A; B is X'RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl,heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl; alternatively, B and A together form a 5-7 membered heterocyclic or heteroaryl ring; R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, sulfamic acid (89.9 mg) and sodium nitrite (51.1 mg) were added to a solution of daptomycin (1 g) in 0.1 M HCl (31 mL) at 0°. Aqueous potassium O-ethylxanthic acid (497 mg) was added and the mixture was heated at 60° for 1 h to afford I [R = NHCO(CH2)8Me, R1 = NH2, R2 = CH2CO-o-C6H4SC(S)OEt], which showed MIC (S. Aureus and E. faecalis) and ED50 > 1 ≤ 10 μg/mL or mg/kg, resp.
IT 165800-03-3, Linezolid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of novel lipopeptides as antibacterial agents)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

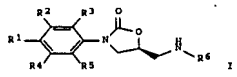
Absolute stereochemistry. Rotation (-).



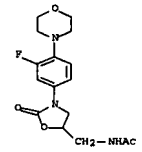
L8 ANSWER 140 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:392067 CAPLUS Full-text
DN 135:5606
TI Preparation of oxazolidinones as bactericides
IN Gordeev, Mikhail F.; Luehr, Gary W.; Patel, Dinesh V.; Ni, Zhi-jie; Gordon, Eric
PA Pharmacia & Upjohn Company, USA
SO U.S., 104 pp., Cont.-in-part of U.S. Ser. No. 12,535, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6239152	B1	20010529	US 1999-235771	19990122
	US 7002020	B1	20060221	US 2000-641396	20000817
	US 6531470	B1	20030311	US 2000-652250	20000830
	US 2002183371	A1	20021205	US 2001-34754	20011228
	US 6562844	B2	20030513		
	US 2005004174	A1	20050106	US 2004-884717	20040702
PRAI	US 1998-12535	B2	19980123		
	US 1998-86702	B2	19980528		
	US 1999-235771	A3	19990122		
	US 2000-641396	A1	20000817		
	US 2000-652250	A3	20000830		

OS MARPAT 135:5606
GI



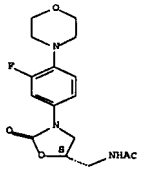
AB Title compds. [e.g., I; R = H; R1 = SR11, CONR7R8, etc.; R7,R8,R11 = H, alkyl, (hetero)aryl, etc.] were prepared Thus, 3,4-F(Me3CO2C)C6H3NHCO2CH2Ph (preparation given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in several steps to I (R = resin, R1 = CO2C6F5) which was amidated by morpholine to give, after resin cleavage, I (R = H, R1 = CONHR8, R8 = morpholino). Data for biol. activity of I were given.
IT 224323-50-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinones as bactericides)
RN 224323-50-6 CAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)



RE.CNT 157 THERE ARE 157 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

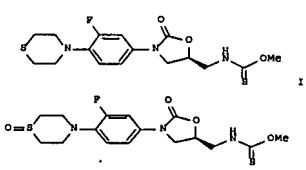
L8 ANSWER 141 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:331109 CAPLUS Full-text
DN 135:131667
TI Determination of linezolid in human plasma by LC-MS-MS
AU Phillips, Oludotun A.; Abdel-Hamid, Mohammed E.; Al-Hassawi, Nada A.
CS Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Health Sciences Center, Kuwait University, Safat, 13110, Kuwait
SO Analyst (Cambridge, United Kingdom) (2001), 126(5), 609-614
CODEN: ANALAO; ISSN: 0003-2654
PB Royal Society of Chemistry
DT Journal
LA English
AB A rapid, sensitive and selective LC-atmospheric pressure-chemical ionization-MS-MS method for the determination of the new antimicrobial agent, linezolid, in human plasma using selected reaction monitoring (SRM) was developed. Linezolid and the internal standard were extracted from the biol. samples by solid phase extraction (SPE) and analyzed on a reversed-phase Shim Pack CLC-CN, C18 column with the mobile phase of MeCN and 20 mM ammonium acetate solution (4 +1 volume/volume). Detection was accomplished using an LCQTM mass spectrometer (Finnigan), which was programmed in pos. MS-MS mode to permit measurement of the fragment ions of linezolid and internal standard at m/z 296.2 and 223.2, resp. The assay run-time was <3.5 min. Quant. anal. was based on peak area ratio of linezolid to the internal standard Calibration plots were established over the concentration range of 0.1-20 μg ml-1 of linezolid with the lowest detection limit of 0.05 μg ml-1 using 10 μL sample volume The SPE technique quant. recovered linezolid and the internal standard from the plasma samples at a percentage range of 89.1-93.7%. Determination of control samples of linezolid in plasma validated the LC-MS-MS-SRM method. Intra-assay and inter-assay precision were at 5.1-11.4% relative standard deviation, whereas the intra- and inter-accuracy were at 97.5-114.0% of the nominal concns. of linezolid added. The data confirmed that the plasma samples of linezolid were stable at room temperature and when stored at -20° for at least 10 d. The developed LC-MS-MS-SRM method is recommended for the determination of linezolid in human plasma.
IT 165800-03-3, Linezolid
RL: ANT (Analyte); ANST (Analytical study)
(determination of linezolid in human plasma by LC-MS-MS)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

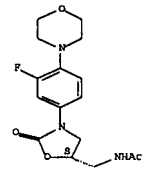
L8 ANSWER 142 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:252573 CAPLUS Full-text
DN 135:31129
TI Structure-activity relationship (SAR) studies on oxazolidinone antibacterial agents. 3. Synthesis and evaluation of 5-thiocarbamate oxazolidinones
AU Tokuyama, Ryukou; Takahashi, Yoshiei; Tomita, Yayoi; Tsubouchi, Masatoshi; Iwasaki, Nobuhiko; Kado, Noriyuki; Okezaki, Eiichi; Nagata, Osamu
CS Research and Development Division, Hokuriku Seiyaku Co., Ltd., Fukui, 911-8555, Japan
SO Chemical & Pharmaceutical Bulletin (2001), 49(4), 361-367
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
OS CASREACT 135:31129
GI



AB A series of 5-thiocarbamate oxazolidinones was prepared and tested for in vitro and in vivo antibacterial activities. The results of in vitro antibacterial activity indicated that the 5-thiocarbamate group was a suitable

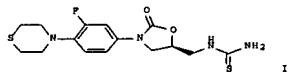
substituent for the activity by the 5-moderate hydrophilicity. The compds. within a favorable log P value range were found to have potent in vitro antibacterial activity against gram-pos. bacteria, including methicillin-resistant Staphylococcus aureus and vancomycin-resistant enterococci. Compds. I and II were superior to linezolid in both in vitro and in vivo potency and were considered to be hopeful compds. The pharmacokinetic properties of several compds. in mice are also discussed.
IT 165800-03-3, Linezolid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity of)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 143 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:252571 CAPLUS Full-text
DN 135:31127
TI Structure-activity relationship (SAR) studies on oxazolidinone antibacterial agents. 1. Conversion of 5-substituent on oxazolidinone
AU Tokuyama, Ryukou; Takahashi, Yoshiei; Tomita, Yayoi; Suzuki, Tomio; Yoshida, Toshihiko; Iwasaki, Nobuhiko; Kado, Noriyuki; Okezaki, Eiichi; Nagata, Osamu
CS Research and Development Division, Hokuriku Seiyaku Co., Ltd., Fukui, 911-8555, Japan
SO Chemical & Pharmaceutical Bulletin (2001), 49(4), 347-352
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
OS CASREACT 135:31127
GI



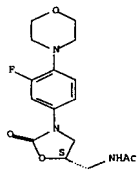
AB A structure-activity relationship (SAR) study on 5-substituted oxazolidinones as antibacterial agents is described. Oxazolidinones, whose 5-acetylaminomethyl moiety was converted to other functions, were prepared and evaluated for antibacterial activity. Elongation of the methylene chain and conversion of the acetamido moiety to a guanidino moiety decreased the antibacterial activity. The replacement of carbonyl O (C=O) by thiocarbonyl S (C=S) enhanced in vitro antibacterial activity. Especially (I), which had a 5-thiourea group, showed 4-8-fold stronger in vitro activity than linezolid. SAR study revealed that the antibacterial activity was greatly affected by the conversion of the 5-substituent.

IT 165800-03-3, Linezolid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(structure-activity relationship studies on oxazolidinone antibacterial agents)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 144 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:784124 CAPLUS Full-text

DN 134:304844

TI Linezolid, Pharmacia Corp

AU Barrett, John F.

CS Pharmaceutical Research and Development Division, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA

SO Current Opinion in Investigational Drugs (PharmaPress Ltd.) (2000), 1(2), 181-187

CODEN: COIDAZ

PB PharmaPress Ltd.

DT Journal; General Review

LA English

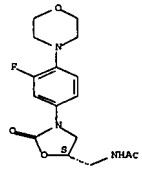
AB A review with 81 refs. Linezolid is an oxazolidinone developed by Pharmacia (formerly Pharmacia & Upjohn) for the treatment of multiresistant gram-pos. infections. It binds to ribosomal 50S subunits, most likely within domain V within the 23S rRNA peptidyl transferase and a secondary interaction with the 30S subunit. This results in inhibition of the initiation of protein translation at an early point, which is probably N-formylmethionyl-tRNA. No direct action on DNA or RNA synthesis has been observed Linezolid resistance due to a 23S rRNA mutation may emerge in Enterococci during therapy with this antimicrobial and may be associated with clin. failure. Following FDA approval, linezolid was launched in May 2000. In Apr. 2000, the FDA approved linezolid injections, tablets and oral suspensions for the treatment of patients with infections caused by gram-pos. bacteria. It is indicated for adults in the treatment of nosocomial pneumonia, community-acquired pneumonia (CAP), complicated and uncomplicated skin and skin structure infections and vancomycin-resistant Enterococcus infections caused by methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant Enterococcus faecium and penicillin-susceptible Streptococcus pneumoniae. The FDA, however, did not grant Pharmacia indications for linezolid in the treatment of CAP due to either penicillin-resistant S. aureus or MRSA.

IT 165800-03-3P, Linezolid
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(antibacterial pharmacol. of linezolid)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 145 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:535370 CAPLUS Full-text

DN 133:144893

TI Assays for modulators of elongation factor p activity

IN Poorman, Roger A.; Wells, Peter Andrew; Marotti, Keith R.; Shinabarger, Dean L.

PA Pharmacia and Upjohn, USA

SO PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000045177	A1	20000803	WO 1999-US12073	19990528
	W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ, DE, DE, DK, DK, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9942246	A1	20000818	AU 1999-42246	19990528
	EP 1147422	A1	20011024	EP 1999-926086	19990528
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002535680	T	20021022	JP 2000-596378	19990528
	US 6511813	B1	20030128	US 2000-704321	20001102
PRAI	US 1999-117473P	P	19990127		
	US 1999-322732	A3	19990528		
	WO 1999-US12073	W	19990528		

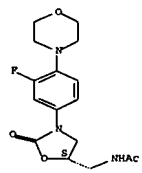
AB Disclosed are novel methods of using elongation factor p (efp) and related constituents of ribosomal complexes which comprise efp, the 50S ribosomal subunit, the 30S ribosomal subunit, the 70S initiation complex, and related proteins, cofactors and enzymes. Methods of identifying compds. which modulate prokaryotic elongation factor p and modify cell function are described. Both in vitro and in vivo methods for identifying compds. which modulate such constituents and affect cell function are described. Such identified compds., including various antibiotics, which specifically affect cell growth, methods of treating various disorders with such compds., and antiseptics containing such compds. are described. The present invention is also directed to methods and compds. that modulate prokaryotic elongation factor p.

IT 165800-03-3, Linezolid
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(drug screening and assays for modulators of elongation factor p activity)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 146 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:384192 CAPLUS Full-text

DN 133:30719

TI Oxazolidinone antibacterial agents having a thiocarbonyl functionality

IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-jo

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 183 pp.

CODEN: PIXXD2

DT Patent

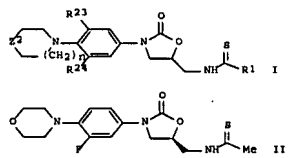
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032599	A1	20000608	WO 1998-US25308	19981127
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2351062	A1	20000608	CA 1998-2351062	19981127
	AU 9917053	A	20000619	AU 1999-17053	19981127
	AU 764980	B2	20030904		
	EP 1133493	A1	20010919	EP 1998-961822	19981127
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002531455	T	20020924	JP 2000-585241	19981127
	NZ 511963	A	20031031	NZ 1998-511963	19981127
	MX 2001PA05287	A	20000821	MX 2001-PA5287	20010525
PRAI	WO 1998-US25308	W	19981127		

OS MARPAT 133:30719

GI



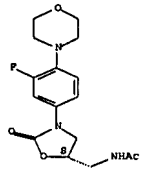
AB The title compds. (I) [wherein Z2 = SO2, S(O), S, O, or (un)substituted NH; n = 0-3; R23 and R24 = independently H or F; R1 = H, NH2, NH(alkyl), N(alkyl)2, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, alkyl(thio), alkoxy(carbonyl), CN, or cycloalkyl] were prepared by various methods, including conversion of the corresponding amides to (alkyl)thioureas or thioamides. Replacement of the O atom with S atom unexpectedly improved the antimicrobial properties of the compds. For example, II was prepared by treating the corresponding acetamide with Lawesson's Reagent. II inhibited growth of tested gram pos. organisms at concns. 2-4 times lower than the comparison carbonyl-containing compound

IT 165800-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of antibacterial oxazolidinone (alkyl)thioamides or thioureas from the corresponding amides or amines)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 147 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:111851 CAPLUS Full-text
DN 132:305627
TI Substituent effects on the antibacterial activity of nitrogen-carbon-

linked (azolylphenyl)oxazolidinones with expanded activity against the fastidious Gram-negative organisms Haemophilus influenzae and Moraxella catarrhalis

AU Genin, Michael J.; Allwine, Debra A.; Anderson, David J.; Barbachyn, Michael R.; Emmert, D. Edward; Garmon, Stuart A.; Graber, David R.; Grega, Kevin C.; Hester, Jackson B.; Hutchinson, Douglas K.; Morris, Joel; Reischer, Robert J.; Ford, Charles W.; Zurenko, Gary E.; Hamel, Judith C.; Schaadt, Ronda D.; Stapert, Douglas; Yagi, Betty H.

CS Pharmacia Upjohn Inc., Kalamazoo, MI, 49001, USA

SO Journal of Medicinal Chemistry (2000), 43(5), 953-970
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

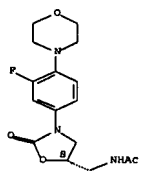
AB A series of new nitrogen-carbon-linked (azolylphenyl)oxazolidinone antibacterial agents has been prepared in an effort to expand the spectrum of activity of this class of antibiotics to include Gram-neg. organisms. Pyrrole, pyrazole, imidazole, triazole, and tetrazole moieties have been used to replace the morpholine ring of linezolid. These changes resulted in the preparation of compds. with good activity against the fastidious Gram-neg. organisms Haemophilus influenzae and Moraxella catarrhalis. The unsubstituted pyrrolyl analog 3 and the 1H-1,2,3-triazolyl analog 6 have MICs against H. influenzae = 4 μg/mL and M. catarrhalis = 2 μg/mL. Various substituents were also placed on the azole moieties in order to study their effects on antibacterial activity in vitro and in vivo. Differences in activity were observed for many analogs that cannot be rationalized solely on the basis of sterics and position/number of nitrogen atoms in the azole ring. Differences in activity rely strongly on subtle changes in the electronic character of the overall azole systems. Aldehyde, aldoxime, and cyano azoles generally led to dramatic improvements in activity against both Gram-pos. and Gram-neg. bacteria relative to unsubstituted counterparts. However, amide, ester, amino, hydroxy, alkoxy, and alkyl substituents resulted in no improvement or a loss in antibacterial activity. The placement of a cyano moiety on the azole often generates analogs with interesting antibacterial activity in vitro and in vivo. In particular, the 3-cyanopyrrole, 4-cyanopyrazole, and 4-cyano-1H-1,2,3-triazole congeners 28, 50, and 90 had S. aureus MICs ≤ 0.5-1 μg/mL and H. influenzae and M. catarrhalis MICs = 2-4 μg/mL. These analogs are also very effective vs. S. aureus and S. pneumoniae in mouse models of human infection with ED50s in the range of 1.2-1.9 mg/kg vs. 2.8-4.0 mg/kg for the eperezolid (1) control.

IT 165800-03-3, Linezolid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity of nitrogen-carbon-linked (azolylphenyl)oxazolidinones against Haemophilus influenzae and Moraxella catarrhalis)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 148 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:795599 CAPLUS Full-text
DN 132:35987
TI Preparation of multivalent amino glycoside, lincosamide, oxazolidinone, streptogramin, and tetracycline macrolides as antibiotics
IN Griffin, John H.; Pace, John L.
PA Advanced Medicine, Inc., USA
SO PCT Int. Appl., 292 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 31

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9963937	A2	19991216	WO 1999-US12771	19990608
	WO 9963937	A3	20000302		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6288234	B1	20010911	US 1999-325662	19990604
	SG 106036	A1	20040930	SG 1999-2845	19990607
	AT 322910	T	20060415	AT 1999-928455	19990607
	PT 1005356	T	20060731	PT 1999-928455	19990607
	ES 2263274	T3	20061201	ES 1999-928455	19990607
	CA 2320241	A1	19991216	CA 1999-2320241	19990608
	SG 80631	A1	20010522	SG 1999-2719	19990608
	EP 1124528	A1	20010822	EP 1999-928452	19990608
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002517422	T	20020618	JP 2000-553011	19990608
	SG 90053	A1	20020723	SG 1999-2944	19990608
	US 6566509	B1	20030520	US 1999-327899	19990608
	TW 239959	B	20050921	TW 1999-88109469	19990608
	ZA 2000004086	A	20010810	ZA 2000-4086	20000810
	ZA 2000004558	A	20011130	ZA 2000-4558	20000831

	ZA 2000004559	A	20020402	ZA 2000-4559	20000831
	US 2002028943	A1	20020307	US 2001-760827	20010117
	US 2004023290	A1	20040205	US 2002-161279	20020603
	US 2003176670	A1	20030918	US 2002-330381	20021227
	US 7179794	B2	20070220		
PRAI	US 1998-88448P	P	19980608		
	US 1998-93072P	P	19980716		
	US 1999-325662	A3	19990604		
	US 1999-327899	A1	19990608		
	US 1999-328071	B1	19990608		
	WO 1999-US12771	W	19990608		
	US 2000-502938	A1	20000211		

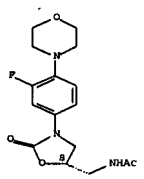
AB Disclosed are multibinding compds. which include macrolide antibiotics, amino glycosides, lincosamides, oxazolidinones, streptogramins, tetracycline and/or other compds. which bind to bacterial rRNA and/or to one or more proteins involved in ribosomal protein synthesis in the bacterium, which are useful in treating bacterial infections. The compds. adversely affect protein expression and have an antibacterial effect. The multi-binding compds. of this invention containing from 2 to 10 ligands covalently attached to one or more linkers. Each ligand is macrolide antibiotic, amino glycoside, lincosamide, oxazolidinone, streptogramin, tetracycline or other compound which binds to bacterial rRNA and/or one or more proteins involved in ribosomal protein synthesis in the bacterium (no data).

IT 165800-03-3DP, Linezolid, multivalent compds. bound to bacteria
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of multivalent amino glycoside lincosamide oxazolidinone streptogramin and tetracycline macrolides as antibiotics)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 149 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:783923 CAPLUS Full-text
DN 132:15659
TI Topical administration of oxazolidinones for transdermal delivery
IN Ford, Charles W.; Watts, Jeffrey L.
PA Pharmacia and Upjohn Company, USA

SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

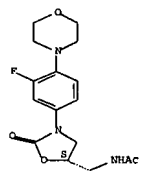
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9962504	A2	19991209	WO 1999-US10463	19990526
WO 9962504	A3	20000224		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2327326	A1	19991209	CA 1999-2327326	19990526
AU 9941848	A	19991220	AU 1999-41848	19990526
AU 749523	B2	20020627		
BR 9910318	A	20010130	BR 1999-10318	19990526
EP 1083900	A2	20010321	EP 1999-925598	19990526
EP 1083900	B1	20020717		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200003205	T2	20010521	TR 2000-3205	19990526
US 2002009483	A1	20020124	US 1999-320428	19990526
US 6613349	B2	20030902		
NZ 508636	A	20020301	NZ 1999-508636	19990526
HU 2001002191	A2	20020529	HU 2001-2191	19990526
HU 225234	B1	20060828		
JP 2002516852	T	20020611	JP 2000-551760	19990526
AT 220548	T	20020815	AT 1999-925598	19990526
ES 2179658	T3	20030116	ES 1999-925598	19990526
CZ 292420	B6	20030917	CZ 2000-4432	19990526
SK 285352	B6	20061103	SK 2000-1626	19990526
PL 193535	B1	20070228	PL 1919-3451	19990526
TW 224000	B	20041121	TW 1999-88108864	19990528
ZA 2000005886	A	20020422	ZA 2000-5886	20001020
NO 2000006161	A	20001204	NO 2000-6161	20001204
MX 2000PA11990	A	20010419	MX 2000-PA11990	20001204
HK 1036593	A1	20050520	HK 2001-107513	20011029
US 2004072841	A1	20040415	US 2003-443399	20030916
PRAI US 1998-88283P	P	19980605		
US 1999-320428	A3	19990526		
WO 1999-US10463	W	19990526		

AB Disclosed is a method of treating a non-topical infection selected from the group consisting of ear infections, skin and soft tissue infections, acne, infected wounds, bacteremia, in a useful warm blooded mammal who is in need of such treatment which comprises topical administration of a pharmaceutical formulation containing a transdermally effective amount of an oxazolidinone. A male having acne was treated with an ointment containing 30 mg/mL (S)-N-[[3-[3-fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo- 5-oxazolidinyl]methyl]acetamide twice daily until the redness and swelling were gone.

IT 165800-03-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(topical administration of oxazolidinones for transdermal delivery)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

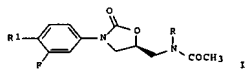
Absolute stereochemistry. Rotation (-).



L8 ANSWER 150 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:487281 CAPLUS Full-text
DN 131:116228
TI Preparation of oxazolidinones as bactericides
IN Gordeev, Mikhail F.; Luehr, Gary W.; Patel, Dinesh V.; Ni, Zhi-Jie; Gordon, Eric
PA Versicor, Inc., USA
SO PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9937630	A1	19990729	WO 1999-US1318	19990122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318969	A1	19990729	CA 1999-2318969	19990122
AU 9924644	A	19990809	AU 1999-24644	19990122
AU 764184	B2	20030814		
EP 1049682	A1	20001108	EP 1999-904193	19990122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002501059	T	20020115	JP 2000-528553	19990122
BR 9907183	A	20030610	BR 1999-7183	19990122
NZ 505902	A	20030829	NZ 1999-505902	19990122
MX 2000PA07150	A	20011211	MX 2000-PA7150	20000721
PRAI US 1998-12535	A	19980123		
US 1998-86702	A	19980528		
WO 1999-US1318	W	19990122		

OS MARPAT 131:116228
GI

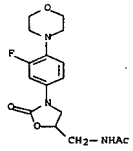


I

AB Title compds. [e.g., I; R = H; R1 -SR11, CONR7R8, etc.; R7,R8. R11 = H, alkyl, (hetero)aryl, etc.] were prepared Thus, 3,4-F(Me3CO2C)C6H3NHCO2CH2Ph (preparation given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in several steps to I (R = resin, R1 = CO2C6F5) which was amidated by morpholine to give, after resin cleavage, I (R = H, R1 = CONHR8, R8 = morpholino). Data for biol. activity of I were given.

IT 224323-50-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinones as bactericides)

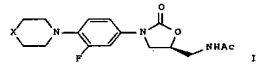
RN 224323-50-6 CAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 151 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:429192 CAPLUS Full-text
DN 131:184892
TI A short synthesis of oxazolidinone derivatives Linezolid and Eperezolid: a new class of antibacterials
AU Lohray, Braj B.; Baskaran, Sundarababu; Rao, B. Srinivasa; Reddy, B. Yadi; Rao, I. Nageswara
CS Basic Research & Drug Discovery, Dr. Reddy's Research Foundation, Hyderabad, 500 050, India
SO Tetrahedron Letters (1999), 40(26), 4855-4856

CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
GI



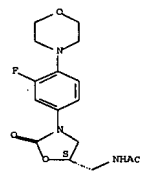
I

AB Oxazolidinone deriva. such as Linezolid (I, X = O) and Eperezolid (I, X = HOCH2CON) have been synthesized from 1,2,5,6-dianhydro-3,4-isopropylidene- D-mannitol in good yield.

IT 165800-03-3P, Linezolid
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxazolidinone antibacterials)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 152 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:350596 CAPLUS Full-text
DN 131:724
TI Use of oxazolidinone derivatives for treating psoriasis and arthritis and reducing the toxicity of cancer chemotherapy
IN Batts, Donald H.; Ulrich, Roger G.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9925344	A1	19990527	WO 1998-US23233	19981110
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2303961	A1	19990527	CA 1998-2303961	19981110
	AU 9915823	A	19990607	AU 1999-15823	19981110
	AU 743941	B2	20020207		
	EP 1032386	A1	20000906	EP 1998-960157	19981110
	EP 1032386	B1	20030226		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9815615	A	20001024	BR 1998-15615	19981110
	JP 2001522886	T	20011120	JP 2000-520777	19981110
	NZ 504612	A	20020828	NZ 1998-504612	19981110
	AT 233092	T	20030315	AT 1998-960157	19981110
	EP 1304107	A2	20030423	EP 2002-28171	19981110
	EP 1304107	A3	20031119		
	EP 1304107	B1	20050706		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	PT 1032386	T	20030731	PT 1998-960157	19981110
	ES 2193592	T3	20031101	ES 1998-960157	19981110
	CN 1546030	A	20041117	CN 2004-10002790	19981110
	AT 299021	T	20050715	AT 2002-28171	19981110
	PT 1304107	T	20050930	PT 2002-28171	19981110
	ES 2243650	T3	20051201	ES 2002-28171	19981110
	HK 1036591	A1	20040930	HK 2001-107458	20011026
	AU 780197	B2	20050310	AU 2001-97205	20011212
PRAI	US 1997-65689P	P	19971118		
	US 1998-71297P	P	19980116		
	US 1998-73662P	P	19980204		
	US 1998-75247P	P	19980219		
	US 1998-77672P	P	19980312		
	AU 1999-15823	A3	19981110		
	EP 1998-960157	A3	19981110		
	WO 1998-US23233	W	19981110		

AB A method for treating a person who has psoriasis or arthritis or for reducing the toxicity of cancer chemotherapy comprises administering to the patient an anti-psoriasis effective amount of an oxazolidinone, preferably (S)-N-[(3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5- oxazolidinyl)methyl]acetamide.

IT 165800-03-3

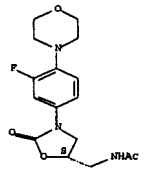
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(oxazolidinone deriv. for treatment of psoriasis and arthritis and reduction of cancer chemotherapy toxicity)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 153 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:325897 CAPLUS Full-text

DN 130:338099

TI Process to produce oxazolidinones

IN Pearlman, Bruce A.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DT Patent

LA English

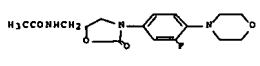
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924393	A1	19990520	WO 1998-US20934	19981013
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2304100	A1	19990520	CA 1998-2304100	19981013
	AU 9910672	A	19990531	AU 1999-10672	19981013
	AU 748128	B2	20020530		
	TR 200001199	T2	20000821	TR 2000-1199	19981013
	BR 9813187	A	20000822	BR 1998-13187	19981013
	US 6107519	A	20000822	US 1998-170776	19981013
	EP 1028940	A1	20000823	EP 1998-953258	19981013
	EP 1028940	B1	20070418		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, AL, MK				
	EE 200000210	A	20010416	EE 2000-210	19981013
	EE 4370	B1	20041015		
	HU 2000004388	A2	20010428	HU 2000-4388	19981013
	JP 2001522828	T	20011120	JP 2000-520407	19981013
	NZ 504372	A	20021220	NZ 1998-504372	19981013
	RU 2205822	C2	20030610	RU 2000-114835	19981013
	IL 135912	A	20041215	IL 1998-135912	19981013
	IL 159736	A	20050725	IL 1998-159736	19981013

	IL 159737	A	20050725	IL 1998-159737	19981013
	IL 159738	A	20050725	IL 1998-159738	19981013
	EP 1772453	A1	20070411	EP 2007-100547	19981013
	R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, AL, LT, LV, MK, RO, SI				
	AT 359994	T	20070515	AT 1998-953258	19981013
	TW 555752	B	20031001	TW 1998-87117213	19981019
	TW 250155	B	20060301	TW 2003-92112352	19981019
	US 6362334	B1	20020326	US 2000-546357	20000410
	BG 104377	A	20010131	BG 2000-104377	20000425
	BG 64794	B1	20060428		
	MX 2000PA04244	A	20001211	MX 2000-PA4244	20000502
	NO 2000002373	A	20000505	NO 2000-2373	20000505
	US 2002032348	A1	20020314	US 2001-927007	20010809
	US 6410788	B2	20020625		
	US 2002095054	A1	20020718	US 2002-47705	20020115
	US 6492555	B2	20021210		
	US 2003065219	A1	20030403	US 2002-271861	20021016
	US 6563003	B2	20030513		
	US 2003130509	A1	20030710	US 2003-352533	20030128
	US 6613944	B2	20030902		
	US 2003216572	A1	20031120	US 2003-422334	20030424
	US 6740754	B2	20040525		
	US 2004006238	A1	20040108	US 2003-607697	20030627
	US 6716980	B2	20040406		
PRAI	US 1997-64738P	P	19971107		
	US 1996-15499P	P	19960411		
	EP 1998-953258	A3	19981013		
	IL 1998-135912	A3	19981013		
	US 1998-170776	A3	19981013		
	WO 1998-US20934	W	19981013		
	US 2000-546357	A3	20000410		
	US 2001-927007	A3	20010809		
	US 2002-47705	A3	20020115		
	US 2002-271861	A3	20021016		
	US 2003-352533	A3	20030128		
	US 2003-422334	A3	20030424		

OS CASREACT 130:338099; MARPAT 130:338099

GI



I

AB Title compound I, pharmacol. useful oxazolidinones, and stereoisomers thereof were prepared through processes of reduction, cyclization with 1-amino-3-chloro-2-propanol and 1-phthalimido-3-chloro-2-propanol as novel intermediates.

IT 165800-03-3P 224323-50-6P

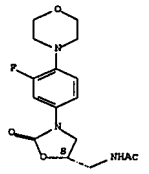
RL: SPN (Synthetic preparation); PREP (Preparation)

(process to produce oxazolidinones)

RN 165800-03-3 CAPLUS

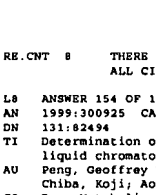
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 224323-50-6 CAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 154 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:300925 CAPLUS Full-text

DN 131:82494

TI Determination of linezolid in plasma by reversed-phase high-performance liquid chromatography

AU Peng, Geoffrey W.; Stryd, Ronald P.; Murata, Shoiji; Igarashi, Mayumi; Chiba, Koji; Aoyama, Hiroyuki; Aoyama, Makiko; Zenki, Tomoko; Ozawa, Naoki

CS Drug Metabolism Research Laboratories, Pharmacia and Upjohn Co., Kalamazoo, MI, 49007, USA

SO Journal of Pharmaceutical and Biomedical Analysis (1999), 20(1-2), 65-73
CODEN: JPBADA; ISSN: 0731-7085

PB Elsevier Science B.V.

DT Journal

LA English

AB An HPLC-UV method was developed for assay of linezolid in dog, rat, mouse, and rabbit plasma. Linezolid and the internal standard were extracted on a solid phase cartridge (SPE) and separated on a reversed-phase column (C8, 4.6 × 150 mm, 5 μm) with 20% acetonitrile in water as mobile phase. The SPE quant.

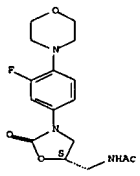
recovered linezolid and the internal standard from plasma samples. The chromatog. peak height ratio or peak area ratio based on UV absorbency at 251 nm was used for quant. anal. The assay procedures were simple and the assay was specific and had adequate precision and accuracy. Calibration stds. with concns. over the range of 0.01-20 μg/mL were validated for routine sample anal. to support the pharmacokinetic and toxicol. studies with linezolid in dog, rat, mouse, and rabbit. Anal. of quality control samples showed the coeffs. of variation were usually < 10% and the measured and theor. concns. differed by < 10% in most assays. Linezolid in the plasma samples was stable when stored at below -20°C for at least 63 days, at room temperature (22-23°C) for up to 24 h, and after three freeze-thaw cycles. This HPLC method has been successfully used in multiple labs. to assay plasma samples from pharmacokinetic and toxicol. studies with linezolid in the animal species.

IT 165800-03-3, Linezolid
RL: ANT (Analyte); ANST (Analytical study)
(linezolid determination in blood by reversed-phase HPLC)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

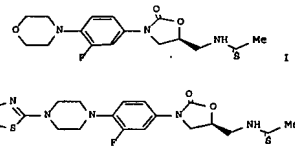
L8 ANSWER 155 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:794995 CAPLUS Full-text
DN 130:38373
TI Preparation of thiocarbonyloxazolidinones as antibacterial agents
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-jo
PA Pharmacia & Upjohn Company, USA; Hester, Jackson B., Jr.
SO PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9854161	A1	19981203	WO 1998-US9889	19980518
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,				

NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9874883	A	19981230	AU 1998-74883	19980513
AU 737995	B2	20010906		
CA 2288750	A1	19981203	CA 1998-2288750	19980518
EP 984947	A1	20000315	EP 1998-922303	19980518
EP 984947	B1	20050420		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9815518	A	20001121	BR 1998-15518	19980518
HU 2000001393	A2	20010628	HU 2000-1393	19980518
HU 2000001393	A3	20010730		
NZ 501412	A	20011130	NZ 1998-501412	19980518
JP 2002501530	T	20020115	JP 1999-500722	19980518
RU 2208613	C2	20030720	RU 1999-128083	19980518
AT 293609	T	20050515	AT 1998-922303	19980518
ES 2242280	T3	20051101	ES 1998-922303	19980518
NO 9905846	A	20000128	NO 1999-5846	19991129
NO 315798	B1	20031027		
FI 9902555	A	19991130	FI 1999-2555	19991130
MX 9911069	A	20000430	MX 1999-11069	19991130
HK 1027569	A1	20040618	HK 2000-106696	20001023
PRAI US 1997-48342P	P	19970530		
WO 1998-US9889	W	19980518		

OS MARPAT 130:38373
GI



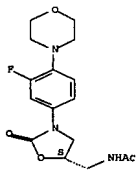
AB Chiral title compds. AGCH2NHCSR [A is (un)substituted Ph, indolinyl; G is 2-oxo-5-oxazolidinyl; R is H, NH2, alkyl, cycloalkyl, etc.] or pharmaceutical acceptable salts are prepared, from amines with Lawesson's Reagent or 1,1'-thiocarbonyldi-2(1H)-pyridone, as antibacterial agents. Title compds. I and II were tested in vitro by standard agar dilution method.

IT 165800-03-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(preparation of thiocarbonyloxazolidinones as antibacterial agents)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

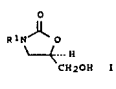


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 156 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:752261 CAPLUS Full-text
DN 130:25061
TI Preparation of hydroxymethyloxazolidinones as pharmaceutical intermediates
IN Pearlman, Bruce A.; Perrault, William R.; Barbachyn, Michael R.; Manninen, Peter R.; Toops, Dana S.; Houser, David J.; Fleck, Thomas J.
PA Pharmacia & Upjohn Co., USA
SO U.S., 24 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5837870	A	19981117	US 1997-828923	19970328
PRAI US 1997-828923		19970328		

OS CASREACT 130:25061; MARPAT 130:25061
GI



AB Title compds. [I; R1 = RZ, 1-acyl-2,3-dihydro-5-indolyl, etc.; R = N-attached azacycloalkyl, pyrrolo, imidazolo, etc.; Z = (3(S)-(di)fluoro] 4,1-phenylene] were prepared Thus, RZNHCO2CH2Ph [RZ = 4-(4- benzyloxycarbonyl-1-piperazinyl)-3-fluorophenyl] was cyclocondensed with (S)-ClCH2CH(OH)CH2OH to give I [R1 = 4-(4-benzyloxycarbonyl-1-piperazinyl)- 3-fluorophenyl].

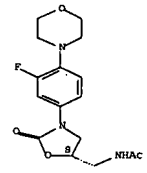
IT 165800-03-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)
(preparation of hydroxymethyloxazolidinones as pharmaceutical intermediates)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 157 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:684393 CAPLUS Full-text
DN 127:358852
TI Process to prepare oxazolidinones
IN Pearlman, Bruce A.; Perrault, William R.; Barbachyn, Michael R.; Manninen, Peter R.; Toops, Dana S.; Houser, David J.; Fleck, Thomas J.
PA Pharmacia & Upjohn Co., USA; Pearlman, Bruce A.; Perrault, William R.; Barbachyn, Michael R.; Manninen, Peter R.; Toops, Dana S.; Houser, David J.; Fleck, Thomas J.
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9737980	A1	19971016	WO 1997-US3458	19970328
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2248143	A1	19971016	CA 1997-2248143	19970328
CA 2557862	A1	19971016	CA 1997-2557862	19970328
AU 9723182	A	19971029	AU 1997-23182	19970328
AU 706117	B2	19990610		
EP 892792	A1	19990127	EP 1997-915865	19970328
EP 892792	B1	20011121		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

CN	1215393	A	19990428	CN 1997-193658	19970328
CN	1082953	B	20020417		
NZ	332278	A	20000526	NZ 1997-332278	19970328
JP	2000508312	T	20000704	JP 1997-536189	19970328
EP	1114819	A1	20010711	EP 2001-302264	19970328

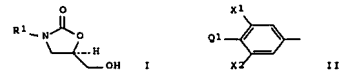
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

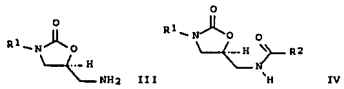
RU	2176643	C2	20011210	RU 1998-120398	19970328
AT	209193	T	20011215	AT 1997-915865	19970328
SG	85683	A1	20020115	SG 1999-5133	19970328
ES	2166073	T3	20020401	ES 1997-915865	19970328
PT	892792	T	20020531	PT 1997-915865	19970328
CN	1496983	A	20040519	CN 2003-2003159474	19970328
SK	284703	B6	20050908	SK 1998-1336	19970328
HU	2005000044	A3	20050928	HU 2005-44	19970328
PL	192691	B1	20061130	PL 1997-329295	19970328
CZ	298060	B6	20070606	CZ 1998-2871	19970328
TW	449593	B	20010811	TW 1997-86104216	19970402
ZA	9702983	A	19981008	ZA 1997-2983	19970408
IN	1997DE00923	A	20050311	IN 1997-DE923	19970409
NO	9804737	A	19981209	NO 1998-4737	19981009
NO	311837	B1	20020204		
KR	2000005358	A	20000125	KR 1998-708079	19981010
HK	1018785	A1	20021122	HK 1999-103839	19990903
CN	1381454	A	20021127	CN 2001-133003	20010913
NO	2001005253	A	19981209	NO 2001-5253	20011026
NO	312728	B1	20020624		
US	2002095054	A1	20020718	US 2002-47705	20020115
US	6492555	B2	20021210		
IN	2007DE01454	A	20070831	IN 2007-DE1454	20070710

PRAI US 1996-15499P P 19960411
CA 1997-2248143 A3 19970328
EP 1997-915865 A3 19970328
WO 1997-US3458 W 19970328
IN 1997-DE923 A3 19970409
US 1997-64738P P 19971107
US 1998-170776 A3 19981013
US 2000-546357 A3 20000410
US 2001-927007 A3 20010809

OS CASREACT 127:358852; MARPAT 127:358852

GI



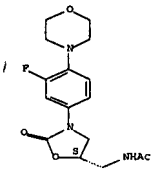


AB 5-Hydroxymethyl substituted oxazolidinone alcs. I (R1 = II; X1, X2 = H, F; Q1 = 1-pyrrolyl, 1-imidazolyl, etc.) were prepared by reaction of carbamate R1NHCOOM2 (M2 = C1-20 alkyl, C3-7 cycloalkyl, CH2:CHCH2, etc.) or a trifluoroacetamide R1NHCOCF3 with a dihydroxy compound M1CH2CH(OH)CH2OH (M1 = Cl, Br, MeC6H4SO3) or glycidol. Compds. I were converted to the corresponding 5-aminomethyl substituted oxazolidinone amines III which were acylated to form com. useful antibacterial (no data) 5-acylamidomethyl substituted oxazolidinone IV.

IT 165800-03-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process to prepare oxazolidinones)

RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 158 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:324112 CAPLUS Full-text
DN 126:293348
TI Preparation of 5-acylaminomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs
IN Gadwood, Robert C.; Kamdar, Bharat V.
PA Upjohn Co., USA; Gadwood, Robert C.; Kamdar, Bharat V.
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9710223	A1	19970320	WO 1996-US14135	19960909

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,

IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI

AU	9669640	A	19970401	AU 1996-69640	19960909
JP	11512429	T	19991026	JP 1996-511993	19960909
EP	1019385	A1	20000719	EP 1996-930676	19960909
EP	1019385	B1	20040114		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI

US	6277985	B1	20010821	US 1996-709998	19960909
AT	257829	T	20040115	AT 1996-930676	19960909
PT	1019385	T	20040630	PT 1996-930676	19960909
ES	2214546	T3	20040916	ES 1996-930676	19960909
US	2001051722	A1	20011213	US 2001-894019	20010628
US	6512112	B2	20030128		
US	2002107402	A1	20020808	US 2001-988078	20010628
US	6441188	B2	20020827		
US	2002120152	A1	20020829	US 2001-988079	20010628
US	6515135	B2	20030204		
US	2002177707	A1	20021128	US 2001-988076	20010628
US	6525193	B2	20030225		
US	6518427	B1	20030211	US 2001-988077	20010628

PRAI US 1995-3838P P 19950915
US 1996-709998 A3 19960909
WO 1996-US14135 W 19960909

OS MARPAT 126:293348

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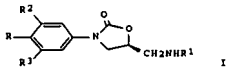
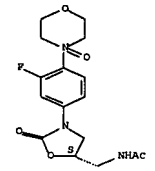


AB Title compds. [I; R = N-attached-N-oxido-hetero(bi)cyclyl; R1 = CHO, Ac, CO2Me, etc.; R2,R3 = H, F, Cl] were prepared Thus, I (R = 4-hydroxyacetyl-1-piperazinyl, R1 = Ac, R2 = F, R3 = H) was oxidized to give I (R = 4-hydroxyacetyl-1-oxido-1-piperazinyl, R1 = Ac, R2 = F, R3 = H). Data for biol. activity of I were given.

IT 189038-36-6P 189038-45-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-acylaminomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs)

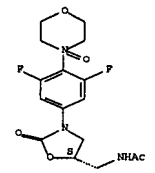
RN 189038-36-6 CAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-(4-oxido-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 189038-45-7 CAPLUS
CN Acetamide, N-[[3-[3,5-difluoro-4-(4-oxido-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

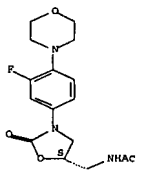
Absolute stereochemistry.



IT 165800-03-3
RL: RCT (Reactant), RACT (Reactant or reagent)
(preparation of 5-acylaminomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs)

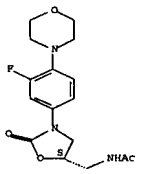
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 159 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:100935 CAPLUS Full-text
DN 126:112677
TI Linezolid. Oxazolidinone antibacterial
AU Lizondo, J.; Rabasseda, X.; Castaner, J.
CS Prous Science Publishers, Barcelona, 08080, Spain
SO Drugs of the Future (1996), 21(11), 1116-1123
CODEN: DRFUD4; ISSN: 0377-8282
PB Prous
DT Journal; General Review
LA English
AB A review, with 35 refs., of the preparation and pharmacol. of the oxazolidinone antibacterial linezolid.
IT 165800-03-3P, Linezolid
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(linezolid. Oxazolidinone antibacterial)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

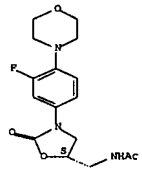
Absolute stereochemistry. Rotation (-).



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 160 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:58412 CAPLUS Full-text
DN 124:232297
TI Synthesis and Antibacterial Activity of U-100592 and U-100766, Two Oxazolidinone Antibacterial Agents for the Potential Treatment of Multidrug-Resistant Gram-Positive Bacterial Infections
AU Brickner, Steven J.; Hutchinson, Douglas K.; Barbachyn, Michael R.; Manninen, Peter R.; Ulanowicz, Debra A.; Garmon, Stuart A.; Grega, Kevin C.; Hendges, Susan K.; Toops, Dana S.; et al.
CS Upjohn Laboratories, Upjohn Company, Kalamazoo, MI, 49001, USA
SO Journal of Medicinal Chemistry (1996), 39(3), 673-9
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Bacterial resistance development has become a very serious clin. problem for many classes of antibiotics. The 3-aryl-2-oxazolidinones are a relatively new class of synthetic antibacterial agents, having a new mechanism of action which involves very early inhibition of bacterial protein synthesis. Two potent, synthetic oxazolidinones, U-100592 [i.e., (S)-N-[[3-[3-fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5- oxazolidinyl]methyl]acetamide] and U-100766 [i.e., (S)-N-[[3-[3-fluoro-4- (4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide] were prepared, which are currently in clin. development for the treatment of serious multidrug-resistant Gram-pos. bacterial infections caused by strains of staphylococci, streptococci, and enterococci. The in vitro and in vivo (po and i.v.) activities of U-100592 and U-100766 against representative strains are similar to those of vancomycin. U-100592 and U-100766 demonstrate potent in vitro activity against Mycobacterium tuberculosis. A novel and practical asym. synthesis of (5S)-(acetamidomethyl)-2- oxazolidinones was developed and was employed for the synthesis of U-100592 and U-100766. This involved the reaction of N-lithioarylcarbamates with (R)-glycidyl butyrate, resulting in excellent yields and high enantiomeric purity of the intermediate (R)-5-(hydroxymethyl)-2-oxazolidinones.
IT 165800-03-3P, U 100766
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of U-100592 and U-100766)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 161 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1995:846512 CAPLUS Full-text
DN 123:256742
TI Preparation of substituted oxazine- and thiazineoxazolidinone antibiotics
IN Barbachyn, Michael R.; Brickner, Steven J.; Hutchinson, Douglas K.
PA Upjohn Co., USA
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9507271	A1	19950316	WO 1994-US8904	19940816
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	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	CA 2168560	A1	19950316	CA 1994-2168560	19940816
	CA 2168560	C	20010814		
	AU 9475570	A	19950327	AU 1994-75570	19940816
	AU 687866	B2	19980305		
	EP 717738	A1	19960626	EP 1994-925765	19940816
	EP 717738	B1	19991020		
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	CN 1130379	A	19960904	CN 1994-193313	19940816
	CN 1057087	B	20001004		
	JP 09502436	T	19970311	JP 1994-508665	19940816
	AT 185804	T	19991115	AT 1994-925765	19940816
	ES 2139093	T3	20000201	ES 1994-925765	19940816
	JP 3176630	B2	20010618	JP 1995-508665	19940816
	IL 110802	A	20000928	IL 1994-110802	19940829
	US 5688792	A	19971118	US 1996-617877	19960305
	US 5880118	A	19990309	US 1997-886965	19970702
	GR 3031809	T3	20000229	GR 1999-402902	19991110
	LV 12605	B	20010520	LV 2000-142	20001020
PRAI	US 1993-119279	A	19930909		
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	WO 1994-US8904	W	19940816		
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OS MARPAT 123:256742
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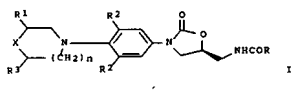
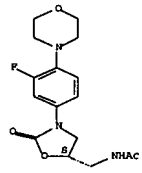


I

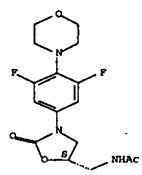
AB The title compds. [I; R = H, (un)substituted C1-8 alkyl, C3-6 cycloalkyl, (un)substituted NH2, C1-8 alkoxy; R1 = H except when X is O, then R1 = H, CH3, CN, CO2H, CO2R, etc.; R2 = H, F, Cl; R3 = H except when X is O and R1 is CH3, then R3 = CH3; X = O, S, SO, SO2, etc.; n = 0-2], useful as antibiotics against gram-pos. aerobic bacteria (e.g., multiply resistant Staphylococci, Streptococci and Enterococci), as well as anaerobic organisms (e.g., Bacteroides species and Clostridia species), and acid-fast organisms (e.g., Mycobacterium tuberculosis, Mycobacterium avium etc.), are prepared Thus, (S)-N-[[3-[3-fluoro-4-(thiomorpholinyl)phenyl]-2- oxo-5-oxazolidinyl]methyl]acetamide, prepared from 3,4-difluoronitrobenzene in 6 steps, demonstrated a ED50 for S. aureus (UC number 9213)-injected mice of 1.25 mg/kg, when administered p.o.
IT 165800-03-3P 168828-61-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted oxazine- and thiazineoxazolidinone antibiotics)
RN 165800-03-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 168828-61-3 CAPLUS
CN Acetamide, N-[[3-[3,5-difluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L2           17 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 09:36:03 ON 01 NOV 2007
L3         1283 S L2
L4          113 S L3 AND PREP/RL
L5           68 S L3 AND (CRYST? OR POLYMORPH? OR XRD OR "X-RAY" OR "X RAY" OR
L6          162 S L4 OR L5
L7            1 S US200!-524478/APPS
L8          161 S L6 NOT L7

     FILE 'REGISTRY' ENTERED AT 09:37:45 ON 01 NOV 2007

     FILE 'CAPLUS' ENTERED AT 09:38:01 ON 01 NOV 2007

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FULL ESTIMATED COST                              852.71    1051.00

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CA SUBSCRIBER PRICE                             -126.36    -126.36

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DICTIONARY FILE UPDATES:  30 OCT 2007  HIGHEST RN 952091-02-0

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     FILE 'CAPLUS' ENTERED AT 09:36:03 ON 01 NOV 2007
L3         1283 S L2
L4          113 S L3 AND PREP/RL
L5           68 S L3 AND (CRYST? OR POLYMORPH? OR XRD OR "X-RAY" OR "X RAY" OR
L6          162 S L4 OR L5
L7            1 S US200!-524478/APPS
L8          161 S L6 NOT L7

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     FILE 'CAPLUS' ENTERED AT 09:38:01 ON 01 NOV 2007

     FILE 'REGISTRY' ENTERED AT 09:39:38 ON 01 NOV 2007

=> sav tem l2 brd524478/a

=> log hold
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                  ENTRY    SESSION
FULL ESTIMATED COST                                0.45    1051.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                0.00    -126.36

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:40:00 ON 01 NOV 2007
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